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CLAIM 23 & 42

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TERMINAL (ENTER 1, 2, 3, OR ?):2

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NEWS	1		Web Page for STN Seminar Schedule - N. America
NEWS	2	NOV 21	CAS patent coverage to include exemplified prophetic substances identified in English-, French-, German-, and Japanese-language basic patents from 2004-present
NEWS	3	NOV 26	MARPAT enhanced with FSORT command
NEWS	4	NOV 26	CHEMSAFE now available on STN Easy
NEWS	5	NOV 26	Two new SET commands increase convenience of STN searching
NEWS	6	DEC 01	ChemPort single article sales feature unavailable
NEWS	7	DEC 12	GBFULL now offers single source for full-text coverage of complete UK patent families
NEWS	8	DEC 17	Fifty-one pharmaceutical ingredients added to PS
NEWS	9	JAN 06	The retention policy for unread STNmail messages will change in 2009 for STN-Columbus and STN-Tokyo
NEWS	10	JAN 07	WPIDS, WPINDEX, and WPIX enhanced Japanese Patent Classification Data
NEWS	11	FEB 02	Simultaneous left and right truncation (SLART) added for CERAB, COMPUAB, ELCOM, and SOLIDSTATEM
NEWS	12	FEB 02	GENBANK enhanced with SET PLURALS and SET SPELLING

NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,
AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS LOGIN	Welcome Banner and News Items
NEWS IPC8	For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that specific topic.

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FILE 'HOME' ENTERED AT 08:23:51 ON 02 FEB 2009

=> FIL REG

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.22	0.22

FILE 'REGISTRY' ENTERED AT 08:24:05 ON 02 FEB 2009

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 30 JAN 2009 HIGHEST RN 1098270-10-0
DICTIONARY FILE UPDATES: 30 JAN 2009 HIGHEST RN 1098270-10-0

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TSCA INFORMATION NOW CURRENT THROUGH July 5, 2008.

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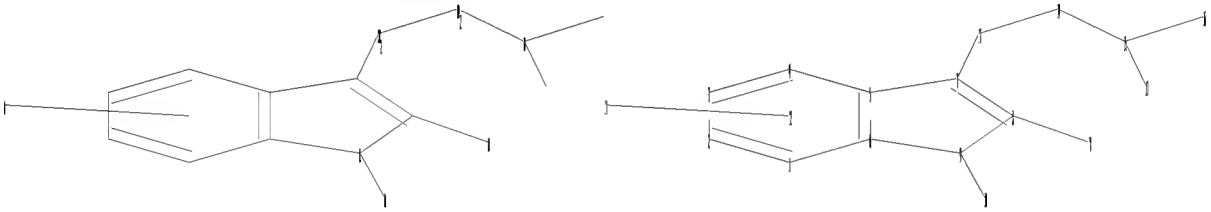
REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>
Uploading C:\Program Files\STNEXP\Queries\10539151\claim 23.str



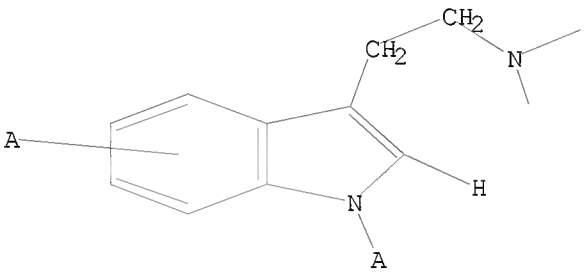
chain nodes :
10 11 13 14 18
ring nodes :
1 2 3 4 5 6 7 8 9
ring/chain nodes :
15 16 17
chain bonds :
7-13 8-18 9-10 13-14 14-15
ring/chain bonds :
15-16 15-17
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9
exact/norm bonds :
5-7 6-9 7-8 8-9 9-10 15-16 15-17
exact bonds :
7-13 8-18 13-14 14-15

normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:CLASS 12:Atom 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS

L1 STRUCTURE UPLOADED

=> D
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> S L1
SAMPLE SEARCH INITIATED 08:24:20 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 20566 TO ITERATE

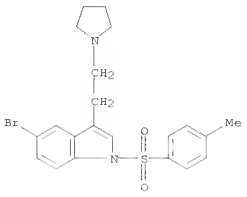
9.7% PROCESSED 2000 ITERATIONS 1 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 402733 TO 419907
PROJECTED ANSWERS: 13 TO 397

L2 1 SEA SSS SAM L1

=> D SCAN

L2 1 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 1H-Indole, 5-bromo-1-[(4-methylphenyl)sulfonyl]-3-[2-(1-pyrrolidinyl)ethyl]-
MF C21 H23 Br N2 O2 S



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

ALL ANSWERS HAVE BEEN SCANNED

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=> S L1 FULL
FULL SEARCH INITIATED 08:24:29 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -   408569 TO ITERATE

100.0% PROCESSED   408569 ITERATIONS                              676 ANSWERS
SEARCH TIME: 00.00.02

L3          676 SEA SSS FUL L1
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=> FIL CAPLUS
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                   ENTRY    SESSION
FULL ESTIMATED COST                               185.88     186.10

FILE 'CAPLUS' ENTERED AT 08:24:34 ON 02 FEB 2009
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FILE COVERS 1907 - 2 Feb 2009  VOL 150 ISS 6
FILE LAST UPDATED: 30 Jan 2009  (20090130/ED)

Caplus now includes complete International Patent Classification (IPC)
reclassification data for the third quarter of 2008.

CAS Information Use Policies apply and are available at:

http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate
substance identification.
```

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=> S L3
L4          194 L3
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=> D IBIB 1-10
```

L4 ANSWER 1 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:1508167 CAPLUS
DOCUMENT NUMBER: 150:55989
TITLE: Method for the preparation of high purity almotriptan
INVENTOR(S): Ridvan, Ludek; Hruby, Petr; Stach, Jan; Radl, Stanislav; Voslar, Michal; Petrickova, Hana; Tisovska, Lucie; Zatopkova, Monika
PATENT ASSIGNEE(S): Zentiva, A.S., Czech Rep.
SOURCE: PCT Int. Appl., 25pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008151584	A1	20081218	WO 2008-CZ67	20080613
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

PRIORITY APPLN. INFO.: CZ 2007-408 A 20070613

OTHER SOURCE(S): CASREACT 150:55989
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 2 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:1334422 CAPLUS
DOCUMENT NUMBER: 149:534194
TITLE: Preparation of pyrrolopyridines as tumor necrosis factor-α (TNF-α) production inhibitors
INVENTOR(S): Mareska, David A.; Groneberg, Robert D.
PATENT ASSIGNEE(S): Array Biopharma, Inc., USA
SOURCE: PCT Int. Appl., 96pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008134354	A1	20081106	WO 2008-US61257	20080423
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

PRIORITY APPLN. INFO.: US 2007-924045P P 20070427

OTHER SOURCE(S): MARPAT 149:534194
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 3 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:1210429 CAPLUS
DOCUMENT NUMBER: 149:448420
TITLE: Pyrimidine hydrazide compounds as PGD2 inhibitors and their preparation, pharmaceutical compositions and use in the treatment of diseases
INVENTOR(S): Aldous, Suzanne C.; Fennie, Michael W.; Jiang, John Z.; John, Stanly; Mu, Lan; Pedgrift, Brian; Pribish, James R.; Rauckman, Barbara; Sabol, Jeffrey S.; Stoklosa, Grzegorz T.; Thurairatnam, Sukanthini; Vandeusen, Christopher L.
PATENT ASSIGNEE(S): Sanofi-Aventis, Fr.
SOURCE: PCT Int. Appl., 262pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008121670	A1	20081009	WO 2008-US58347	20080327
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

PRIORITY APPLN. INFO.: US 2007-909171P P 20070330

OTHER SOURCE(S): MARPAT 149:448420
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 4 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:1187784 CAPLUS
DOCUMENT NUMBER: 149:420514
TITLE: Selective quenchers of luciferase luminescence for use in dual enzyme luminescence assays
INVENTOR(S): Daily, William; Hawkins, Erika; Klaubert, Dieter; McDougall, Mark; Unch, James; Wood, Keith V.; Zhou, Wenhui; Zhu, Ji
PATENT ASSIGNEE(S): Promega Corporation, USA
SOURCE: PCT Int. Appl., 104pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008118445	A1	20081002	WO 2008-US3924	20080326
WO 2008118445	A9	20081204		
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
US 20080248511	A1	20081009	US 2008-56073	20080326

PRIORITY APPLN. INFO.: US 2007-920050P P 20070326

OTHER SOURCE(S): MARPAT 149:420514
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 5 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:1030323 CAPLUS
DOCUMENT NUMBER: 149:486946
TITLE: The structure of human serotonin 2c G-protein-coupled receptor bound to agonists and antagonists
AUTHOR(S): Bray, Jenelle K.; Goddard, William A.
CORPORATE SOURCE: Materials and Process Simulation Center, California Institute of Technology, Pasadena, CA, 91125, USA
SOURCE: Journal of Molecular Graphics & Modelling (2008), 27(1), 66-81
CODEN: JMGMFI; ISSN: 1093-3263
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
REFERENCE COUNT: 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 6 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:881207 CAPLUS
DOCUMENT NUMBER: 149:168025
TITLE: Use of 5-HT6 antagonists to prevent relapse into addiction
INVENTOR(S): De Bruin, Natasja M. W. J.; Van Loevezijn, Arnold; Wijnen, Johan; Herremans, Arnoldus H. J.; Kruse, Cornelis G.
PATENT ASSIGNEE(S): Solvay Pharmaceuticals B.V., Neth.
SOURCE: PCT Int. Appl., 28pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008087123	A2	20080724	WO 2008-EP50360	20080115
WO 2008087123	A3	20081127		
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			

PRIORITY APPLN. INFO.: EP 2007-100576 A 20070116
US 2007-880421P P 20070116

OTHER SOURCE(S): MARPAT 149:168025

L4 ANSWER 7 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:858203 CAPLUS
DOCUMENT NUMBER: 149:144007
TITLE: Use of 5-HT6 antagonists to prevent relapse into addiction
INVENTOR(S): De Bruin, Natasja M. W. J.; Van Loevezijn, Arnold; Wijnen, Johan; Herremans, Arnoldus H. J.; Kruse, Cornelis G.
PATENT ASSIGNEE(S): Solvay Pharmaceuticals B.V., Neth.
SOURCE: U.S. Pat. Appl. Publ., 15pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20080171779	A1	20080717	US 2008-13898	20080114

PRIORITY APPLN. INFO.: US 2007-880421P P 20070116

OTHER SOURCE(S): MARPAT 149:144007

L4 ANSWER 8 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:844860 CAPLUS
DOCUMENT NUMBER: 149:332283
TITLE: Synthesis of novel rigid analogs of tryptamine as potential serotonin ligands through Pd(0)-catalyzed diaryl coupling reactions
AUTHOR(S): Kambhampati, Ramasastri; Kothmirkar, Prabhakar; Deshpande, Amol D.; Arepalli, Sobhanadri; Karturi, Kameswara Rao; Pamuleti, Narasimha Reddy G.; Shinde, Anil K.; Nirogi, Ramakrishna V. S.
CORPORATE SOURCE: Medicinal Chemistry Discovery Research, Suven Life Sciences Ltd, Hyderabad, India
SOURCE: Synthetic Communications (2008), 38(14), 2419-2428
CODEN: SYNCAV; ISSN: 0039-7911
PUBLISHER: Taylor & Francis, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 149:332283
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 9 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:808444 CAPLUS
DOCUMENT NUMBER: 149:315118
TITLE: Unanticipated acylaminomethylation of sumatriptan indole
nitrogen atom and its implications in prodrug design
AUTHOR(S): Rodrigues, Tiago; Moreira, Rui; Guedes, Rita C.; Iley, Jim; Lopes, Francisca
CORPORATE SOURCE: iMed.UL, CECF, Faculty of Pharmacy, University of Lisbon, Lisbon, Port.
SOURCE: Archiv der Pharmazie (Weinheim, Germany) (2008), 341(6), 344-350
CODEN: ARPMAS; ISSN: 0365-6233
PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA
DOCUMENT TYPE: Journal
LANGUAGE: English
REFERENCE COUNT: 40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:735009 CAPLUS
TITLE: Aminimides as Potential CNS-Acting Agents. III. Design, Synthesis, and Receptor Binding of Aminimide Analogues of Dopamine, Serotonin, Morphine, and Nicotine
AUTHOR(S): Capuano, Ben; Crosby, Ian T.; Lloyd, Edward J.; Neve, Juliette E.; Taylor, David A.
CORPORATE SOURCE: Department of Medicinal Chemistry, Victorian College of Pharmacy, Monash University, Parkville, VIC, 3052, Australia
SOURCE: Australian Journal of Chemistry (2008), 61(6), 422-431
CODEN: AJCHAS; ISSN: 0004-9425
PUBLISHER: CSIRO Publishing
DOCUMENT TYPE: Journal
LANGUAGE: English
REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 11 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:175725 CAPLUS
DOCUMENT NUMBER: 148:456880
TITLE: A validated reversed phase HPLC method for the determination of process-related impurities in almotriptan malate active pharmaceutical ingredient
AUTHOR(S): Kumar, A. Phani; Ganesh, V. R. L.; Rao, D. V. Subba; Anil, C.; Rao, B. Venugopala; Hariharakrishnan, V. S.; Suneetha, A.; Sundar, B. Syama
CORPORATE SOURCE: Analytical Research, SMS Pharma Research Center, Hyderabad, Andhra Pradesh, 500 018, India
SOURCE: Journal of Pharmaceutical and Biomedical Analysis (2008), 46(4), 792-798
CODEN: JPBADA; ISSN: 0731-7085
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 12 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:166950 CAPLUS
DOCUMENT NUMBER: 148:426807
TITLE: Synthesis and Characterization of Potential Impurities of the Antimigraine Drug, Rizatriptan Benzoate
AUTHOR(S): Sarma, P. Seetharama; Rao, C. Nageswar; Surayanarayana, M. V.; Reddy, Padi Pratap; Khalilluah, M.; Praveen, Cherukupally
CORPORATE SOURCE: Research and Development Centre, Integrated Product Development Organization-Active Pharmaceutical Ingredients, Dr. Reddy's Laboratories Ltd., Andhra Pradesh, Hyderabad, India
SOURCE: Synthetic Communications (2008), 38(4), 603-612
CODEN: SYNCAV; ISSN: 0039-7911
PUBLISHER: Taylor & Francis, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 148:426807
REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 13 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:81500 CAPLUS
DOCUMENT NUMBER: 148:369245
TITLE: Binding of Serotonin and N1-Benzenesulfonyltryptamine-Related Analogs at Human 5-HT6 Serotonin Receptors: Receptor Modeling Studies
AUTHOR(S): Dukat, Malgorzata; Mosier, Philip D.; Kolanos, Renata; Roth, Bryan L.; Glennon, Richard A.
CORPORATE SOURCE: Department of Medicinal Chemistry, School of Pharmacy, Medical College of Virginia, Virginia Commonwealth University, Richmond, VA, 23298-0540, USA
SOURCE: Journal of Medicinal Chemistry (2008), 51(3), 603-611
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 148:369245
REFERENCE COUNT: 49 THERE ARE 49 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 14 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:1469363 CAPLUS
DOCUMENT NUMBER: 148:93272
TITLE: Combination of a cholinesterase inhibitor and a compound with 5-HT6 receptor affinity, and therapeutic use
INVENTOR(S): Codony-Soler, Xavier; Buschmann, Helmut Henrich
PATENT ASSIGNEE(S): Laboratorios Del Dr. Esteve, S.A., Spain
SOURCE: PCT Int. Appl., 254pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007147833	A1	20071227	WO 2007-EP56234	20070622
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

PRIORITY APPLN. INFO.: EP 2006-384012 A 20060623

OTHER SOURCE(S): MARPAT 148:93272
REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 15 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:1182956 CAPLUS
DOCUMENT NUMBER: 148:405
TITLE: Discovery of N1-(6-Chloroimidazo[2,1-b][1,3]thiazole-5-sulfonyl)tryptamine as a Potent, Selective, and Orally Active 5-HT6 Receptor Agonist
AUTHOR(S): Cole, Derek C.; Stock, Joseph R.; Lennox, William J.; Bernotas, Ronald C.; Ellingboe, John W.; Boikess, Steve; Coupet, Joseph; Smith, Deborah L.; Leung, Louis; Zhang, Guo-Ming; Feng, Xidong; Kelly, Michael F.; Galante, Rocco; Huang, Pingzhong; Dawson, Lee A.; Marquis, Karen; Rosenzweig-Lipson, Sharon; Beyer, Chad E.; Schechter, Lee E.
CORPORATE SOURCE: Chemical and Screening Sciences, Wyeth Research, Pearl River, NY, 10965, USA
SOURCE: Journal of Medicinal Chemistry (2007), 50(23), 5535-5538
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 148:405
REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 16 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:862450 CAPLUS
DOCUMENT NUMBER: 147:427558
TITLE: Synthesis of desformylflustrabromine and its evaluation as an α4β2 and α7 nACh receptor modulator
AUTHOR(S): Kim, Jin-Sung; Padnya, Anshul; Weltzin, Maegan; Edmonds, Brian W.; Schulte, Marvin K.; Glennon, Richard A.
CORPORATE SOURCE: Department of Medicinal Chemistry, School of Pharmacy, Virginia Commonwealth University, Richmond, VA, 23298, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2007), 17(17), 4855-4860
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 147:427558
REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 17 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:816979 CAPLUS
DOCUMENT NUMBER: 147:211904
TITLE: Substituted indolyl-alkyl-amino-pyrimidine derivatives, processes for preparing them, pharmaceutical compositions containing them, and their use as inhibitors of histone deacetylase
INVENTOR(S): Angibaud, Patrick Rene; Pilatte, Isabelle Noeelle Constance; Roux, Bruno; Arts, Janine
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
SOURCE: PCT Int. Appl., 51pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007082878	A1	20070726	WO 2007-EP50376	20070116
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2007206946	A1	20070726	AU 2007-206946	20070116
CA 2631876	A1	20070726	CA 2007-2631876	20070116
EP 1981874	A1	20081022	EP 2007-703891	20070116
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS				
US 20090018152	A1	20090115	US 2008-160140	20080707
PRIORITY APPLN. INFO.:			EP 2006-100584 A	20060119
			WO 2007-EP50376 W	20070116

OTHER SOURCE(S): MARPAT 147:211904
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 18 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:554017 CAPLUS
DOCUMENT NUMBER: 147:166513
TITLE: Total synthesis of (-)- and ent-(+)-4-desacetoxy-5-desethylvindoline
AUTHOR(S): Ishikawa, Hayato; Boger, Dale L.
CORPORATE SOURCE: Department of Chemistry and The Skaggs Institute for Chemical Biology, The Scripps Research Institute, La Jolla, CA, 92037, USA
SOURCE: Heterocycles (2007), 72, 95-102
CODEN: HTCYAM; ISSN: 0385-5414
PUBLISHER: Japan Institute of Heterocyclic Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 147:166513
REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 19 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:412979 CAPLUS
DOCUMENT NUMBER: 148:426900
TITLE: Process for the preparation of substituted benzothiazinoindoles from substituted 1-benzenesulfonyl-7-bromo-1H-indoles
INVENTOR(S): Nirogi, Ramakrishna Venkata Satya; Shreekrishna, Shirasath Vikas; Sastri, Kambhampati Rama; Dinkar, Kashpande Amol; Prabhakar, Kothmirkar; Venkateswarlu, Jasti
PATENT ASSIGNEE(S): Suven Life Sciences Limited, India
SOURCE: Indian Pat. Appl., 20pp.
CODEN: INXXBQ
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
IN 2005CH00225	A	20070316	IN 2005-CH225	20050308
AU 2005328870	A1	20060914	AU 2005-328870	20050623
CA 2600271	A1	20060914	CA 2005-2600271	20050623
WO 2006095360	A1	20060914	WO 2005-IN214	20050623
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 1856132	A1	20071121	EP 2005-761235	20050623
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU				
JP 2008532996	T	20080821	JP 2008-500341	20050623
NO 2007004350	A	20071102	NO 2007-4350	20070827
KR 2007113211	A	20071128	KR 2007-719843	20070830
MX 200710980	A	20071107	MX 2007-10980	20070907
CN 101166746	A	20080423	CN 2005-80049477	20071015
US 20080119646	A1	20080522	US 2007-885389	20071129

PRIORITY APPLN. INFO.: IN 2005-CH225 A 20050308
WO 2005-IN214 W 20050623

OTHER SOURCE(S): CASREACT 148:426900; MARPAT 148:426900

L4 ANSWER 20 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:228836 CAPLUS
DOCUMENT NUMBER: 146:434182
TITLE: Further studies on the binding of N1-substituted tryptamines at h5-HT6 receptors
AUTHOR(S): Nyandege, Abner; Kolanos, Renata; Roth, Bryan L.; Glennon, Richard A.
CORPORATE SOURCE: Department of Medicinal Chemistry, School of Pharmacy, Commonwealth University, Richmond, VA, 23298-0540, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2007), 17(6), 1691-1694
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 146:434182
REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 21 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:188209 CAPLUS
DOCUMENT NUMBER: 146:351556
TITLE: Whole spectrum analysis of ligand efficacy at constitutively active human wild-type and S267K 5-HT6 receptors in HEK-293F cells
AUTHOR(S): Romero, Gonzalo; Pujol, Marta; Perez, Pilar; Buschmann, Helmut; Pauwels, Petrus J.
CORPORATE SOURCE: Laboratorios Dr. Esteve S.A., Barcelona, 08041, Spain
SOURCE: Journal of Pharmacological and Toxicological Methods (2007), 55(2), 144-150
CODEN: JPTMEZ; ISSN: 1056-8719
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 22 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2006:1205246 CAPLUS
DOCUMENT NUMBER: 146:93287
TITLE: Effect of the 5-HT6 serotonin antagonist MS-245 on the actions of (-)nicotine
AUTHOR(S): Young, Richard; Bondareva, Tatiana; Wesolowska, Anna; Young, Shawquia; Glennon, Richard A.
CORPORATE SOURCE: Department of Medicinal Chemistry, School of Pharmacy, Medical College of Virginia Campus, Virginia Commonwealth University, Richmond, VA, 23298-0540, USA
SOURCE: Pharmacology, Biochemistry and Behavior (2006), 85(1), 170-177
CODEN: PBBHAU; ISSN: 0091-3057
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
REFERENCE COUNT: 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 23 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2006:1048528 CAPLUS
DOCUMENT NUMBER: 146:38423
TITLE: Interaction of N1-unsubstituted and N1-benzenesulfonyltryptamines at h5-HT6 receptors
AUTHOR(S): Kolanos, Renata; Dukat, Malgorzata; Roth, Bryan L.; Glennon, Richard A.
CORPORATE SOURCE: Department of Medicinal Chemistry, School of Pharmacy, Virginia Commonwealth University, Richmond, VA, 23298-0540, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2006), 16(22), 5832-5835
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 146:38423
REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 24 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2006:945851 CAPLUS
DOCUMENT NUMBER: 145:336061
TITLE: Process for preparing substituted benzothiazinoindoles via palladium-catalyzed cyclization of benzenesulfonyl-7-bromo-1H-indole derivatives
INVENTOR(S): Ramakrishna, Venkata, Satya, Nirogi; Shirsath, Vikas, Shreekrishna; Kambhampati, Rama, Sastri; Deshpande, Amol, Dinkar; Kothmirkar, Prabhakar; Jasti, Venkateswarlu
PATENT ASSIGNEE(S): Suven Life Sciences Limited, India
SOURCE: PCT Int. Appl., 22 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006095360	A1	20060914	WO 2005-IN214	20050623
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
IN 2005CH00225	A	20070316	IN 2005-CH225	20050308
AU 2005328870	A1	20060914	AU 2005-328870	20050623
CA 2600271	A1	20060914	CA 2005-2600271	20050623
EP 1856132	A1	20071128	EP 2005-761235	20050623
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU				
JP 2008532996	T	20080821	JP 2008-500341	20050623
NO 2007004350	A	20071102	NO 2007-4350	20070827
KR 2007113211	A	20071128	KR 2007-719843	20070830
MX 200710980	A	20071107	MX 2007-10980	20070907
CN 101166746	A	20080423	CN 2005-80049477	20071015
US 20080119646	A1	20080522	US 2008-885389	20071129
PRIORITY APPLN. INFO.:			IN 2005-CH225 A	20050308
			WO 2005-IN214 W	20050623

OTHER SOURCE(S): CASREACT 145:336061; MARPAT 145:336061
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 25 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2006:797434 CAPLUS
DOCUMENT NUMBER: 145:419350
TITLE: Generation of Aza-ortho-xylylenes via Ring Opening of 2-(2-Acylaminophenyl)aziridines: Application in the Construction of the Communesin Ring System
AUTHOR(S): Crawley, Seth L.; Funk, Raymond L.
CORPORATE SOURCE: Department of Chemistry, Pennsylvania State University, University Park, PA, 16802, USA
SOURCE: Organic Letters (2006), 8(18), 3995-3998
CODEN: ORLEF7; ISSN: 1523-7060
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 145:419350
REFERENCE COUNT: 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 26 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2006:777654 CAPLUS
DOCUMENT NUMBER: 145:328192
TITLE: Identification of novel small molecule inhibitors of amyloid precursor protein synthesis as a route to lower Alzheimer's disease amyloid-β peptide
AUTHOR(S): Utsuki, Tada; Yu, Qian-sheng; Davidson, Diane; Chen, Demao; Holloway, Harold W.; Brossi, Arnold; Sambamurti, Kumar; Lahiri, Debomoy K.; Greig, Nigel H.; Giordano, Tony
CORPORATE SOURCE: Department of Biochemistry and Molecular Biology, Feist-Weiller Cancer Center, Louisiana State University Health Sciences Center, Shreveport, LA, USA
SOURCE: Journal of Pharmacology and Experimental Therapeutics (2006), 318(2), 855-862
CODEN: JPETAB; ISSN: 0022-3565
PUBLISHER: American Society for Pharmacology and Experimental Therapeutics
DOCUMENT TYPE: Journal
LANGUAGE: English
REFERENCE COUNT: 40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 27 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2006:729425 CAPLUS
DOCUMENT NUMBER: 145:377493
TITLE: Total Synthesis of (-)- and ent-(+)-Vindoline and Related Alkaloids
AUTHOR(S): Ishikawa, Hayato; Elliott, Gregory I.; Velcicky, Juraj; Choi, Younggi; Boger, Dale L.
CORPORATE SOURCE: Department of Chemistry and The Skaggs Institute for Chemical Biology, The Scripps Research Institute, La Jolla, CA, 92037, USA
SOURCE: Journal of the American Chemical Society (2006), 128(32), 10596-10612
CODEN: JACSAT; ISSN: 0002-7863
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 145:377493
REFERENCE COUNT: 106 THERE ARE 106 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 28 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2006:605602 CAPLUS
DOCUMENT NUMBER: 145:83313
TITLE: Preparation of thiazolopyridinones as MCH receptor antagonists for treating and preventing symptoms associated with obesity and related diseases
INVENTOR(S): Amegadzie, Albert Kudzovi; Beck, James Peter; Gardinier, Kevin Matthew; Hembre, Erik James; Ruble, James Craig; Savin, Kenneth Allen; Wakefield, Brian David
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 154 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006066174	A1	20060622	WO 2005-US45866	20051216
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2005316314	A1	20060622	AU 2005-316314	20051216
CA 2589695	A1	20060622	CA 2005-2589695	20051216
EP 1828207	A1	20070905	EP 2005-854554	20051216
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
CN 101080411	A	20071128	CN 2005-80043112	20051216
JP 2008524250	T	20080710	JP 2007-546991	20051216
MX 200707227	A	20070821	MX 2007-7227	20070614
IN 2007KN02669	A	20070831	IN 2007-KN2669	20070718
PRIORITY APPLN. INFO.:			US 2004-637145P	P 20041217
			WO 2005-US45866	W 20051216

OTHER SOURCE(S): MARPAT 145:83313
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 29 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2006:548787 CAPLUS
DOCUMENT NUMBER: 145:159081
TITLE: Binding of methoxy-substituted N1-benzenesulfonylindole analogs at human 5-HT6 serotonin receptors
AUTHOR(S): Siripurapu, Uma; Kolanos, Renata; Bakat, Malgorzata; Roth, Bryan L.; Glennon, Richard A.
CORPORATE SOURCE: Department of Medicinal Chemistry, School of Pharmacy, Virginia Commonwealth University, Richmond, VA, 23298-0540, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2006), 16(14), 3793-3796
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 145:159081
REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 30 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2006:428111 CAPLUS
DOCUMENT NUMBER: 145:23970
TITLE: The structural and synthetic implications of the biosynthesis of the calycanthaceous alkaloids, the communesins, and nomofungin
AUTHOR(S): May, Jeremy A.; Stoltz, Brian
CORPORATE SOURCE: The Arnold and Mable Beckman Laboratory for Chemical Synthesis, Division of Chemistry and Chemical Engineering, California Institute of Technology, Pasadena, CA, 91125, USA
SOURCE: Tetrahedron (2006), 62(22), 5262-5271
CODEN: TETRAB; ISSN: 0040-4020
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 145:23970
REFERENCE COUNT: 61 THERE ARE 61 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 31 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2006:411817 CAPLUS
DOCUMENT NUMBER: 144:450614
TITLE: Preparation of indole derivatives as serotonin selective agents
INVENTOR(S): Sard, Howard P.; Shuster, Louis; Roth, Bryan; Morency, Cynthia; Kumaran, Govindaraj; Xu, Liang
PATENT ASSIGNEE(S): Organix, Inc., USA
SOURCE: PCT Int. Appl., 62 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006047032	A2	20060504	WO 2005-US34413	20050927
WO 2006047032	A3	20061012		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2005300045	A1	20060504	AU 2005-300045	20050927
CA 2582079	A1	20060504	CA 2005-2582079	20050927
US 20060100266	A1	20060511	US 2005-237318	20050927
EP 1799640	A2	20070627	EP 2005-851213	20050927
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
JP 2008514629	T	20080508	JP 2007-533705	20050927

PRIORITY APPLN. INFO.: US 2004-613944P P 20040927
WO 2005-US34413 W 20050927

OTHER SOURCE(S): CASREACT 144:450614; MARPAT 144:450614

L4 ANSWER 32 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2006:376696 CAPLUS
DOCUMENT NUMBER: 145:63072
TITLE: Synthesis and Antitumor Characterization of Pyrazolic Analogues of the Marine Pyrroloquinoline Alkaloids: Wakayin and Tsitsikammamines
AUTHOR(S): Legentil, Laurent; Benel, Laurent; Bertrand, Viviane; Lesur, Brigitte; Delfourne, Evelyne
CORPORATE SOURCE: Laboratoire SPCMIB UMR-CNRS 5068, Universite Paul Sabatier, Toulouse, 31062, Fr.
SOURCE: Journal of Medicinal Chemistry (2006), 49(10), 2979-2988
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 145:63072
REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 33 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2006:103439 CAPLUS
DOCUMENT NUMBER: 144:192268
TITLE: Preparation of substituted indolyl alkyl amino derivatives as novel inhibitors of histone deacetylase
INVENTOR(S): Verdonck, Marc Gustaaf Celine; Angibaud, Patrick Rene; Roux, Bruno; Pilatte, Isabelle, Noeelle Constance; Ten Holte, Peter; Arts, Janine; Van Emelen, Kristof
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
SOURCE: PCT Int. Appl., 100 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006010750	A2	20060202	WO 2005-EP53612	20050725
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2005266312	A1	20060202	AU 2005-266312	20050725
CA 2572833	A1	20060202	CA 2005-2572833	20050725
EP 1781639	A1	20070509	EP 2005-767934	20050725
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
CN 1993353	A	20070704	CN 2005-80025629	20050725
JP 2008508235	T	20080321	JP 2007-523073	20050725
BR 2005012676	A	20080401	BR 2005-12676	20050725
IN 2007DN00693	A	20070803	IN 2007-DN693	20070125
MX 2007001120	A	20070315	MX 2007-1120	20070126
KR 2007046118	A	20070502	KR 2007-703650	20070215
NO 2007001125	A	20070228	NO 2007-1125	20070228

PRIORITY APPLN. INFO.: EP 2004-77072 A 20040728
US 2004-592192P P 20040729
WO 2005-EP53612 W 20050725

OTHER SOURCE(S): CASREACT 144:192268; MARPAT 144:192268
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 34 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:1265122 CAPLUS
DOCUMENT NUMBER: 144:22809
TITLE: Indole compounds
INVENTOR(S): Hsieh, Hsing-Pang; Liou, Jing-Ping; Chang, Jang-Yang; Chang, Chun-Wei
PATENT ASSIGNEE(S): Taiwan
SOURCE: U.S. Pat. Appl. Publ., 41 pp., Cont.-in-part of U.S. Ser. No. 318,337.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20050267108	A1	20051201	US 2005-195531	20050801
US 20030195244	A1	20031016	US 2002-318337	20021212
US 6933316	B2	20050823		

PRIORITY APPLN. INFO.: US 2001-340317P P 20011213
US 2002-318337 A2 20021212

OTHER SOURCE(S): CASREACT 144:22809; MARPAT 144:22809

L4 ANSWER 35 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:1265117 CAPLUS
DOCUMENT NUMBER: 144:22808
TITLE: Preparation of indole compounds for treating angiogenesis-related disorders
INVENTOR(S): Hsieh, Hsing-Pang; Liou, Jing-Ping; Chang, Jang-Yang; Chang, Chun-Wei
PATENT ASSIGNEE(S): Taiwan
SOURCE: U.S. Pat. Appl. Publ., 28 pp., Cont.-in-part of U.S. Ser. No. 318,337.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20050267194	A1	20051201	US 2005-195524	20050801
US 20030195244	A1	20031016	US 2002-318337	20021212
US 6933316	B2	20050823		
PRIORITY APPLN. INFO.:			US 2001-340317P	P 20011213
			US 2002-318337	A2 20021212

OTHER SOURCE(S): CASREACT 144:22808; MARPAT 144:22808

L4 ANSWER 36 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:980862 CAPLUS
DOCUMENT NUMBER: 143:278414
TITLE: SAR of psilocybin analogs: Discovery of a selective 5-HT2C agonist
AUTHOR(S): Sard, Howard; Kumaran, Govindaraj; Morency, Cynthia; Roth, Bryan L.; Toth, Beth Ann; He, Ping; Shuster, Louis
CORPORATE SOURCE: Organix, Inc., Woburn, MA, 01801, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2005), 15(20), 4555-4559
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 143:278414
REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 37 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:921290 CAPLUS
DOCUMENT NUMBER: 143:406031
TITLE: Total Synthesis of (-)- and ent-(+)-Vindoline
AUTHOR(S): Choi, Younggi; Ishikawa, Hayato; Velcicky, Juraj; Elliott, Gregory I.; Miller, Michael M.; Boger, Dale L.
CORPORATE SOURCE: Department of Chemistry and Skaggs Institute for Chemical Biology, Scripps Research Institute, La Jolla, CA, 92037, USA
SOURCE: Organic Letters (2005), 7(20), 4539-4542
CODEN: ORLEF7; ISSN: 1523-7060
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 143:406031
REFERENCE COUNT: 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 38 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:811739 CAPLUS
DOCUMENT NUMBER: 143:229863
TITLE: A manufacturing of (triazolylmethyl)indole derivatives and their intermediates
INVENTOR(S): Martin, Pierre; Berens, Ulrich; Boudier, Andreas; Dosenbach, Oliver
PATENT ASSIGNEE(S): Ratiopharm G.m.b.H., Germany
SOURCE: PCT Int. Appl., 67 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005075422	A1	20050818	WO 2005-EP793	20050127
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2553652	A1	20050818	CA 2005-2553652	20050127
EP 1751104	A1	20070214	EP 2005-707035	20050127
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				
IN 2006DN03983	A	20070824	IN 2006-DN3983	20060711
US 20070123711	A1	20070531	US 2006-586958	20061128
PRIORITY APPLN. INFO.:			EP 2004-100303	A 20040128
			US 2004-543463P	P 20040210
			WO 2005-EP793	W 20050127

OTHER SOURCE(S): CASREACT 143:229863; MARPAT 143:229863
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 39 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:583336 CAPLUS
DOCUMENT NUMBER: 143:241352
TITLE: Interaction of chiral MS-245 analogs at h5-HT6 receptors
AUTHOR(S): Abate, Carmen; Kolanos, Renata; Dukat, Malgorzata; Setola, Vince; Roth, Bryan L.; Glennon, Richard A.
CORPORATE SOURCE: Department of Medicinal Chemistry, School of Pharmacy,
Virginia Commonwealth University, Richmond, VA, 23298-0540, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2005), 15(15), 3510-3513
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 143:241352
REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 40 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:470334 CAPLUS
DOCUMENT NUMBER: 143:125834
TITLE: A Three-Dimensional Pharmacophore Model for 5-Hydroxytryptamine6 (5-HT6) Receptor Antagonists
AUTHOR(S): Lopez-Rodriguez, Maria L.; Benhamu, Bellinda; de la Fuente, Tania; Sanz, Arantxa; Pardo, Leonardo; Campillo, Mercedes
CORPORATE SOURCE: Departamento de Quimica Organica I, Facultad de Ciencias Quimicas, Universidad Complutense, Madrid, E-28040, Spain
SOURCE: Journal of Medicinal Chemistry (2005), 48(13), 4216-4219
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
REFERENCE COUNT: 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 41 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:346791 CAPLUS
DOCUMENT NUMBER: 142:411376
TITLE: A preparation of imidazopyrazine derivatives, useful as antiarrhythmics
INVENTOR(S): Plouvier, Bertrand M. C.; Fedida, David; Beatch, Gregory N.; Chou, Doug Ta Hung; Yifru, Aregahegn S.; Jung, Grace
PATENT ASSIGNEE(S): Cardiome Pharma Corporation, Can.
SOURCE: PCT Int. Appl., 100 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005034837	A2	20050421	WO 2004-IB3601	20041008
WO 2005034837	A3	20050714		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2003-510010P P 20031008

OTHER SOURCE(S): CASREACT 142:411376; MARPAT 142:411376
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 42 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:286341 CAPLUS
DOCUMENT NUMBER: 143:314
TITLE: Binding of isotryptamines and indenes at h5-HT6 serotonin receptors
AUTHOR(S): Kolanos, Renata; Siripurapu, Uma; Pullaqurla, Manik; Riaz, Mohamed; Setola, Vince; Roth, Bryan L.; Dukat, Malgorzata; Glennon, Richard A.
CORPORATE SOURCE: Department of Medicinal Chemistry, School of Pharmacy, Virginia Commonwealth University, Richmond, VA, 23298-0540, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2005), 15(8), 1987-1991
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 143:314
REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 43 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:817857 CAPLUS
DOCUMENT NUMBER: 141:332041
TITLE: Preparation of melatonin derivatives for treating neurological dysfunctions
INVENTOR(S): Schann, Stephan; Neuville, Pascal
PATENT ASSIGNEE(S): Faust Pharmaceuticals, Fr.
SOURCE: PCT Int. Appl., 67 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004085392	A1	20041007	WO 2004-EP3119	20040324

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: EP 2003-360041 A 20030325

OTHER SOURCE(S): CASREACT 141:332041; MARPAT 141:332041
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 44 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:773121 CAPLUS
DOCUMENT NUMBER: 141:424159
TITLE: Novel 5-HT7 Receptor Inverse Agonists. Synthesis and Molecular Modeling of Arylpiperazine- and 1,2,3,4-Tetrahydroisoquinoline-Based Arylsulfonamides
AUTHOR(S): Vermeulen, Erik S.; Van Smeden, Marjan; Schmidt, Anne W.; Sprouse, Jeffrey S.; Wikstroem, Haakan V.; Grol, Cor J.
CORPORATE SOURCE: Department of Medicinal Chemistry, Center for Pharmacy, State University of Groningen, Groningen, NL-9713, Neth.
SOURCE: Journal of Medicinal Chemistry (2004), 47(22), 5451-5466
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 141:424159
REFERENCE COUNT: 48 THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 45 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:740131 CAPLUS
DOCUMENT NUMBER: 141:260732
TITLE: Preparation of tryptamine, hexahydropyrrolo[2,3-b]indole, and pyrrolidinone derivatives for the treatment of β-amyloid peptide (Aβ)-associated diseases, disorders, and conditions
INVENTOR(S): Creig, Nigel H.; Yu, Qian-sheng; Utsuki, Tadanobu; Giordano, Anthony; Sturgess, Michael A.; Yang, Ke; Powers, Gordon D.
PATENT ASSIGNEE(S): Message Pharmaceuticals, Inc., USA; National Institutes of Health
SOURCE: PCT Int. Appl., 54 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004075847	A2	20040910	WO 2004-US5391	20040223
WO 2004075847	A3	20050630		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:			US 2003-449295P	P 20030221

OTHER SOURCE(S): MARPAT 141:260732

L4 ANSWER 46 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:626199 CAPLUS
DOCUMENT NUMBER: 141:218315
TITLE: Possible differences in modes of agonist and antagonist binding at human 5-HT6 receptors
AUTHOR(S): Pullagurla, Manik R.; Westkaemper, Richard B.; Glennon, Richard A.
CORPORATE SOURCE: Department of Medicinal Chemistry, School of Pharmacy, Virginia Commonwealth University, Richmond, VA, 23298-0540, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2004), 14(17), 4569-4573
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 47 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:561454 CAPLUS
DOCUMENT NUMBER: 141:199468
TITLE: CoMFA and CoMSIA 3D QSAR analysis on N1-arylsulfonylindole compounds as 5-HT6 antagonists
AUTHOR(S): Doddareddy, Munikumar Reddy; Cho, Yong Seo; Koh, Hun Yeong; Pae, Ae Nim
CORPORATE SOURCE: Biochemicals Research Center, Korea Institute of Science and Technology, Seoul, 130-650, S. Korea
SOURCE: Bioorganic & Medicinal Chemistry (2004), 12(15), 3977-3985
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 48 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:546477 CAPLUS
DOCUMENT NUMBER: 141:89009
TITLE: Synthesis of tryptamine derivatives and intermediates thereof
INVENTOR(S): Berens, Ulrich; Dosenbach, Oliver; Sprenger, Daniel
PATENT ASSIGNEE(S): Ciba Specialty Chemicals Holding Inc., Switz.
SOURCE: PCT Int. Appl., 84 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004056769	A2	20040708	WO 2003-EP50992	20031212
WO 2004056769	A3	20040916		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2508290	A1	20040708	CA 2003-2508290	20031212
AU 2003299227	A1	20040714	AU 2003-299227	20031212
EP 1572647	A2	20050914	EP 2003-799560	20031212
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
CN 1729174	A	20060201	CN 2003-80107086	20031212
JP 2006516128	T	20060622	JP 2004-561492	20031212
US 20060058367	A1	20060316	US 2005-539151	20050616
IN 2005CN01638	A	20070622	IN 2005-CN1638	20050719
IN 2007CN05032	A	20080321	IN 2007-CN5032	20071107
PRIORITY APPLN. INFO.:			EP 2002-[illegible]	A 20021220
			WO 2003-EP50992	W 20031212
			IN 2005-CN1638	A3 20050719

OTHER SOURCE(S): MARPAT 141:89009
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 49 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:519903 CAPLUS
DOCUMENT NUMBER: 141:236311
TITLE: Modulation of the stimulus effects of (+)amphetamine by the 5-HT6 antagonist MS-245
AUTHOR(S): Pullagurla, Manik; Bondareva, Tatiana; Young, Richard; Glennon, Richard A.
CORPORATE SOURCE: Department of Medicinal Chemistry, School of Pharmacy, Medical College of Virginia Campus, Virginia Commonwealth University, Richmond, VA, 23298-0540, USA
SOURCE: Pharmacology, Biochemistry and Behavior (2004), 78(2), 263-268
CODEN: PBBHAU; ISSN: 0091-3057
PUBLISHER: Elsevier Science Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English
REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS FORMAT
RECORD. ALL CITATIONS AVAILABLE IN THE RE

L4 ANSWER 50 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:339469 CAPLUS
DOCUMENT NUMBER: 141:117363
TITLE: Binding of tryptamine analogs at h5-HT1E receptors: a structure-affinity investigation
AUTHOR(S): Dukat, Malgorzata; Smith, Carol; Herrick-Davis, Katharine; Teitler, Milt; Glennon, Richard A.
CORPORATE SOURCE: School of Pharmacy, Department of Medicinal Chemistry, Virginia Commonwealth University, Richmond, VA, 23298, USA
SOURCE: Bioorganic & Medicinal Chemistry (2004), 12(10), 2545-2552
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS FORMAT
RECORD. ALL CITATIONS AVAILABLE IN THE RE

L4 ANSWER 51 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:51809 CAPLUS
DOCUMENT NUMBER: 140:287242
TITLE: 3-(2-Pyrrolidin-1-ylethyl)-5-(1,2,3,6-tetrahydropyridin-4-yl)-1H-indole derivatives as high affinity human 5-HT1b/1D ligands
AUTHOR(S): Egle, Ian; MacLean, Neil; Demchyshyn, Lidia; Edwards, Louise; Slassi, Abdelmalik; Tehim, Ashok
CORPORATE SOURCE: NPS Pharmaceuticals Inc, Mississauga, ON, 6850, Can.
SOURCE: Bioorganic & Medicinal Chemistry Letters (2004), 14(3), 727-729
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 140:287242
REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 52 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:2891 CAPLUS
DOCUMENT NUMBER: 140:77139
TITLE: Preparation of novel tetracyclic arylsulfonyl indoles having serotonin receptor affinity
INVENTOR(S): Jasti, Venkateswarlu; Ramakrishna, Venkata Satya Nirogi; Kambhampati, Rama Sastri; Battula, Srinivasa Reddy; Veeraraeddy, Arava; Rao, Venkata Satya Veerabhadra Vadlamudi
PATENT ASSIGNEE(S): Suven Pharmaceuticals Ltd., India; Suven Life Sciences Ltd.
SOURCE: PCT Int. Appl., 72 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004000849	A2	20031231	WO 2003-IN222	20030619
WO 2004000849	A3	20040325		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
IN 2002MA00478	A	20061027	IN 2002-MA478	20020621
CA 2490254	A1	20031231	CA 2003-2490254	20030619
AU 2003249582	A1	20040106	AU 2003-249582	20030619
AU 2003249582	B2	20060803		
BR 2003012176	A	20050405	BR 2003-12176	20030619
EP 1523486	A2	20050420	EP 2003-760857	20030619
EP 1523486	B1	20071107		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
CN 1662544	A	20050831	CN 2003-814602	20030619
CN 100378108	C	20080402		
JP 2005535621	T	20051124	JP 2004-515418	20030619
NZ 537770	A	20070330	NZ 2003-537770	20030619
AT 377603	T	20071115	AT 2003-760857	20030619
ES 2297216	T3	20080501	ES 2003-760857	20030619
RU 2340619	C2	20081210	RU 2005-101344	20030619
ZA 2004009886	A	20060726	ZA 2004-9886	20041207
MX 2004012832	A	20050527	MX 2004-12832	20041216
US 20050203154	A1	20050915	US 2005-519219	20050513
HK 1074843	A1	20080627	HK 2005-108865	20051006

PRIORITY APPLN. INFO.: IN 2002-MA478 A 20020621

L4 ANSWER 52 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
IN 2002-CH478 A 20020621
WO 2003-IN222 W 20030619

OTHER SOURCE(S): MARPAT 140:77139
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 53 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:2887 CAPLUS
DOCUMENT NUMBER: 140:77024
TITLE: Preparation of tetracyclic arylalkyl indoles having serotonin receptor affinity
INVENTOR(S): Jasti, Venkateswarlu; Ramakrishna, Venkata Satya Nirogi; Kambhampati, Rama Sastri; Battula, Srinivasa Reddy; Rao, Venkata Satya Veerabhadra Vadlamudi
PATENT ASSIGNEE(S): Suven Pharmaceuticals Ltd., India
SOURCE: PCT Int. Appl., 66 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004000845	A1	20031231	WO 2003-IN224	20030619
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
IN 2002MA00476	A	20070518	IN 2002-MA476	20020621
CA 2490115	A1	20031231	CA 2003-2490115	20030619
AU 2003249584	A1	20040106	AU 2003-249584	20030619
AU 2003249584	B2	20071025		
AU 2003249584	B9	20080515		
BR 2003012175	A	20050405	BR 2003-12175	20030619
EP 1537113	A1	20050608	EP 2003-760859	20030619
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CN 1662538	A	20050831	CN 2003-814597	20030619
JP 2006501175	T	20060112	JP 2004-515420	20030619
NZ 537772	A	20070531	NZ 2003-537772	20030619
RU 2320663	C2	20080327	RU 2005-101343	20030619
MX 2004012834	A	20050425	MX 2004-12834	20041216
US 20050203103	A1	20050915	US 2005-518624	20050513
US 7297711	B2	20071120		

PRIORITY APPLN. INFO.: IN 2002-MA476 A 20020621
WO 2003-IN224 W 20030619

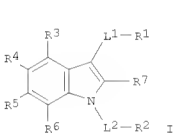
OTHER SOURCE(S): MARPAT 140:77024
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

=> D IBIB ABS HITSTR 34, 35, 48, 52-194

L4 ANSWER 34 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:1265122 CAPLUS
DOCUMENT NUMBER: 144:22809
TITLE: Indole compounds
INVENTOR(S): Hsieh, Hsing-Pang; Liou, Jing-Ping; Chang, Jang-Yang; Chang, Chun-Wei
PATENT ASSIGNEE(S): Taiwan
SOURCE: U.S. Pat. Appl. Publ., 41 pp., Cont.-in-part of U.S. Ser. No. 318,337.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 20050267108	A1	20051201	US 2005-195531		20050801
US 20030195244	A1	20031016	US 2002-318337		20021212
US 6933316	B2	20050823			
PRIORITY APPLN. INFO.:			US 2001-340317P	P	20011213
			US 2002-318337	A2	20021212

OTHER SOURCE(S): CASREACT 144:22809; MARPAT 144:22809
GI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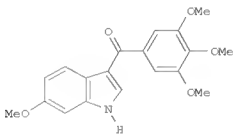
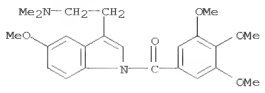

II

AB The title compds. [I; L1 = CO; L2 = a bond; R1 = aryl or heteroaryl; R2 = H, aryl, heteroaryl, halo, etc.; R3-R6 = halo, nitro, nitroso, CN, etc.; or R4 and R5, R3 and R4, or R5 and R6 taken together are O(CH2)nO; R7 = H, alkyl, alkenyl, alkynyl, etc.; n = 1-5], were prepared Thus, treating 6-methoxyindole with ZnCl2 and EtMgBr in CH2Cl2 in CH2Cl2 followed by addition of solution of 3,4,5-trimethoxybenzoyl chloride in CH2Cl2 and after 1 h AlCl3 afforded 72% II. Unexpectedly, when tested in cell growth inhibition assay, many compds. I had IC50 values of <5 μM and some of the test compds. had IC50 values as low as <10 nM. The compds. I were tested in tubulin polymerization assay and results showed that a test indole compound of 2 μM inhibited tubulin polymerization
IT 613679-42-8P

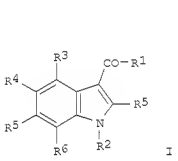
L4 ANSWER 34 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of indole compds. for treatment of angiogenesis-related disorders)
RN 613679-42-8 CAPLUS
CN Methanone, [3-[2-(dimethylamino)ethyl]-5-methoxy-1H-indol-1-yl](3,4,5-trimethoxyphenyl)- (CA INDEX NAME)



L4 ANSWER 35 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:1265117 CAPLUS
DOCUMENT NUMBER: 144:22808
TITLE: Preparation of indole compounds for treating angiogenesis-related disorders
INVENTOR(S): Hsieh, Hsing-Pang; Liou, Jing-Ping; Chang, Jang-Yang; Chang, Chun-Wei
PATENT ASSIGNEE(S): Taiwan
SOURCE: U.S. Pat. Appl. Publ., 28 pp., Cont.-in-part of U.S. Ser. No. 318,337.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 20050267194	A1	20051201	US 2005-195524		20050801
US 20030195244	A1	20031016	US 2002-318337		20021212
US 6933316	B2	20050823			
PRIORITY APPLN. INFO.:			US 2001-340317P	P	20011213
			US 2002-318337	A2	20021212

OTHER SOURCE(S): CASREACT 144:22808; MARPAT 144:22808
GI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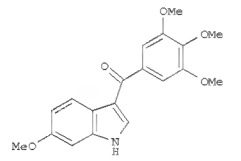
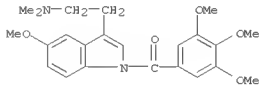

II

AB The invention relates to synthetic indole derivs. I [R2 is aryl or heteroaryl; R1, R3-R6 are independently H, alkenyl, aryl, heteroaryl, heterocyclyl, halo, nitro, nitroso, cyano, acyloxy, sulfonyl groups, etc.; or any two of R3-R6 may form O(CH2)1-5O] for use in inhibiting tubulin polymerization and treating cancer and other angiogenesis-related disorders. Thus, treating 6-methoxyindole with ZnCl2 and EtMgBr in CH2Cl2 followed by addition of a solution of 3,4,5-trimethoxybenzoyl chloride in CH2Cl2 and after 1 h AlCl3 afforded 72% compound II. Some compds. of the invention showed IC50 values < 10 nM in the cell growth inhibition assay. Compds. I inhibited tubulin polymerization at 2 μM.
IT 613679-42-8P

L4 ANSWER 35 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of indole compds. for treating angiogenesis-related disorders)
RN 613679-42-8 CAPLUS
CN Methanone, [3-[2-(dimethylamino)ethyl]-5-methoxy-1H-indol-1-yl](3,4,5-trimethoxyphenyl)- (CA INDEX NAME)



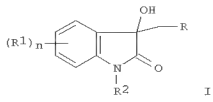
L4 ANSWER 48 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:546477 CAPLUS
DOCUMENT NUMBER: 141:89009
TITLE: Synthesis of tryptamine derivatives and intermediates thereof
INVENTOR(S): Berens, Ulrich; Dosenbach, Oliver; Sprenger, Daniel
PATENT ASSIGNEE(S): Ciba Specialty Chemicals Holding Inc., Switz.
SOURCE: PCT Int. Appl., 84 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004056769	A2	20040708	WO 2003-EP50992	20031212
WO 2004056769	A3	20040916		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, CA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2508290	A1	20040708	CA 2003-2508290	20031212
AU 2003299227	A1	20040714	AU 2003-299227	20031212
EP 1572647	A2	20050914	EP 2003-799560	20031212
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
CN 1729174	A	20060201	CN 2003-80107086	20031212
JP 2006516128	T	20060622	JP 2004-561492	20031212
US 20060058367	A1	20060316	US 2005-539151	20050616
IN 2005CN01638	A	20070622	IN 2005-CN1638	20050719
IN 2007CN05032	A	20080321	IN 2007-CN5032	20071107

PRIORITY APPLN. INFO.: EP 2002-406128 A 20021220
WO 2003-EP50992 W 20031212
IN 2005-CN1638 A3 20050719

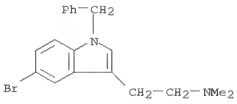
OTHER SOURCE(S): MARPAT 141:89009
GI

L4 ANSWER 48 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



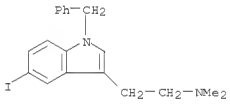
I

AB Indoleacetates I [R = CO2R3; R1 = (un)substituted alkyl, aryl, heterocyclyl, alkylsulfonyl, OH, SH, NO2, halogen, CN, CONH2, CONHNH2, CO2H, alkenyl, alkynyl, cycloalkyl, acyloxy, NH2, NHNH2, B(OH)2; R2 = H, (un)substituted alkyl, CO2H, arylsulfonyl, alkylsulfonyl, aryl, CONH2, silyl; R3 = (un)substituted alkyl; n = 0-4] were prepared and converted to I [R = CONR4R5; R4, R5 = (un)substituted alkyl; R4R5 = (un)substituted alkylene] which were in turn converted to indoleacetamides and tryptamines. The synthesis methods and products are useful in the synthesis of pharmaceuticals. Thus, 5-bromoisatin was treated with CH2(CO2H)2 and ClCONMe2 to give I [R = CONMe2, R1 = 5-Br, R2 = H] which was treated with BF3.Et2O and BH3.Me2SO to give 2-(5-bromo-1H-indol-3-yl)-N,N-dimethylacetamide or with BF3.Et2O and NaBH4 to give [2-(5-bromo-1H-indol-3-yl)ethyl]-N,N-dimethylacetamide.
IT 220018-07-5P 717139-82-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of tryptamine derivs. and intermediates thereof)
RN 220018-07-5 CAPLUS
CN 1H-Indole-3-ethanamine, 5-bromo-N,N-dimethyl-1-(phenylmethyl)- (CA INDEX NAME)



RN 717139-82-7 CAPLUS
CN 1H-Indole-3-ethanamine, 5-iodo-N,N-dimethyl-1-(phenylmethyl)- (CA INDEX NAME)

L4 ANSWER 48 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 52 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:2891 CAPLUS
DOCUMENT NUMBER: 140:77139
TITLE: Preparation of novel tetracyclic arylsulfonyl indoles having serotonin receptor affinity
INVENTOR(S): Jasti, Venkateswarlu; Ramakrishna, Venkata Satya Nirogi; Kambhampati, Rama Sastri; Battula, Srinivasa Reddy; Veeraraeddy, Arava; Rao, Venkata Satya Veerabhadra Vadlamudi
PATENT ASSIGNEE(S): Suven Pharmaceuticals Ltd., India; Suven Life Sciences Ltd.
SOURCE: PCT Int. Appl., 72 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004000849	A2	20031231	WO 2003-IN222	20030619
WO 2004000849	A3	20040325		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
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IN 2002MA00478	A	20061027	IN 2002-MA478	20020621
CA 2490254	A1	20031231	CA 2003-2490254	20030619
AU 2003249582	A1	20040106	AU 2003-249582	20030619
AU 2003249582	B2	20060803		
BR 2003012176	A	20050405	BR 2003-12176	20030619
EP 1523486	A2	20050420	EP 2003-760857	20030619
EP 1523486	B1	20071107		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
CN 1662544	A	20050831	CN 2003-814602	20030619
CN 100378108	C	20080402		
JP 2005535621	T	20051124	JP 2004-515418	20030619
NZ 537770	A	20070330	NZ 2003-537770	20030619
AT 377603	T	20071115	AT 2003-760857	20030619
ES 2297216	T3	20080501	ES 2003-760857	20030619
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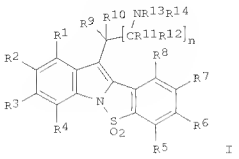
MX 2004012832	A	20050527	MX 2004-12832	20041216
US 20050203154	A1	20050915	US 2005-519219	20050513
HK 1074843	A1	20080627	HK 2005-108865	20051006

PRIORITY APPLN. INFO.: IN 2002-MA478 A 20020621
IN 2002-CH478 A 20020621
WO 2003-IN222 W 20030619

L4 ANSWER 52 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
OTHER SOURCE(S): MARPAT 140:77139
GI



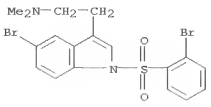
I

AB The title compds. [I; R1-R12 = H, halo, oxo, thio, etc.; or the adjacent groups like R1 and R2 together with carbon atoms to which they are attached may form 5-7 membered ring which may further contain one or more double bonds and/or one or more heteroatoms such as O, N, S, Se; or R9 and R10 or R11 and R12 together represent double bond attached to O or S; or R9 and R10 or R11 and R12 together with the carbon atoms to which they are attached may form 3-6 membered ring which may further contain one or more double bonds, and/or one or more heteroatoms such as O, N, S or Se; R13, R14 = H, alkyl, alkenyl, cycloalkyl, aryl, etc.; or NR13R14 = 3-7 membered heterocyclyl; n = 1-8], useful for treating conditions where a modulation of 5-HT activity is desired (no data given), were prepared Thus, reacting 1-(2'-bromophenylsulfonyl)-N,N-dimethyltryptamine with N,N-dimethylacetamide in the presence of PdCl2[P(o-tolyl)3]2 and AcOK afforded 6-(2-N,N-dimethylaminoethyl)benzo[d]isothiazolo[3,2-a]indole-S,S-dioxide. This invention also relates to processes for preparing compds I, compns. containing effective amts. of compound I and the use of such compound/composition in therapy.

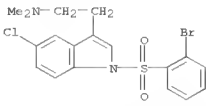
IT 639795-13-4P 639795-15-6P 639795-17-8P
639795-19-0P 639795-21-4P 639795-26-9P
639795-28-1P 639795-30-5P 639795-32-7P
639795-34-9P 639795-36-1P 639795-38-3P
639795-40-7P 639795-43-0P 639795-45-2P
639795-47-4P 639795-49-6P 639795-51-0P
639795-53-2P 639795-55-4P 639795-56-5P
639795-57-6P 639795-74-7P 639795-77-0P
639795-80-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of novel tetracyclic arylsulfonyl indoles having serotonin

L4 ANSWER 52 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
receptor affinity)

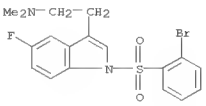
RN 639795-13-4 CAPLUS
CN 1H-Indole-3-ethanamine, 5-bromo-1-[(2-bromophenyl)sulfonyl]-N,N-dimethyl- (CA INDEX NAME)



RN 639795-15-6 CAPLUS
CN 1H-Indole-3-ethanamine, 1-[(2-bromophenyl)sulfonyl]-5-chloro-N,N-dimethyl- (CA INDEX NAME)

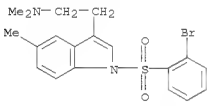


RN 639795-17-8 CAPLUS
CN 1H-Indole-3-ethanamine, 1-[(2-bromophenyl)sulfonyl]-5-fluoro-N,N-dimethyl- (CA INDEX NAME)

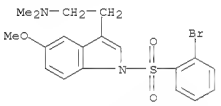


RN 639795-19-0 CAPLUS
CN 1H-Indole-3-ethanamine, 1-[(2-bromophenyl)sulfonyl]-N,N,5-trimethyl- (CA INDEX NAME)

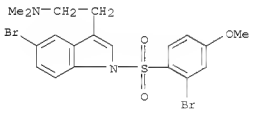
L4 ANSWER 52 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



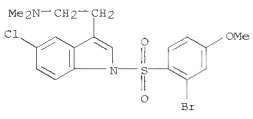
RN 639795-21-4 CAPLUS
CN 1H-Indole-3-ethanamine, 1-[(2-bromophenyl)sulfonyl]-5-methoxy-N,N-dimethyl- (CA INDEX NAME)



RN 639795-26-9 CAPLUS
CN 1H-Indole-3-ethanamine, 5-bromo-1-[(2-bromo-4-methoxyphenyl)sulfonyl]-N,N-dimethyl- (CA INDEX NAME)

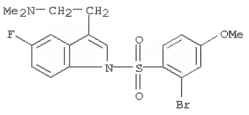


RN 639795-28-1 CAPLUS
CN 1H-Indole-3-ethanamine, 1-[(2-bromo-4-methoxyphenyl)sulfonyl]-5-chloro-N,N-dimethyl- (CA INDEX NAME)

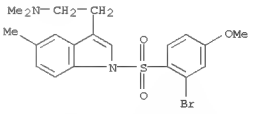


RN 639795-30-5 CAPLUS

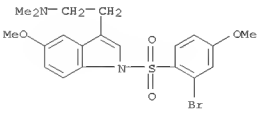
L4 ANSWER 52 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
CN 1H-Indole-3-ethanamine, 1-[(2-bromo-4-methoxyphenyl)sulfonyl]-5-fluoro-N,N-dimethyl- (CA INDEX NAME)



RN 639795-32-7 CAPLUS
CN 1H-Indole-3-ethanamine, 1-[(2-bromo-4-methoxyphenyl)sulfonyl]-N,N,5-trimethyl- (CA INDEX NAME)

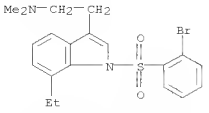


RN 639795-34-9 CAPLUS
CN 1H-Indole-3-ethanamine, 1-[(2-bromo-4-methoxyphenyl)sulfonyl]-5-methoxy-N,N-dimethyl- (CA INDEX NAME)

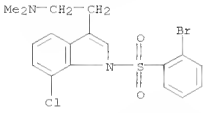


RN 639795-36-1 CAPLUS
CN 1H-Indole-3-ethanamine, 1-[(2-bromophenyl)sulfonyl]-7-ethyl-N,N-dimethyl- (CA INDEX NAME)

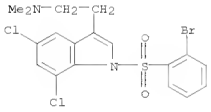
L4 ANSWER 52 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 639795-38-3 CAPLUS
CN 1H-Indole-3-ethanamine, 1-[(2-bromophenyl)sulfonyl]-7-chloro-N,N-dimethyl- (CA INDEX NAME)

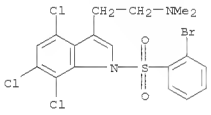


RN 639795-40-7 CAPLUS
CN 1H-Indole-3-ethanamine, 1-[(2-bromophenyl)sulfonyl]-5,7-dichloro-N,N-dimethyl- (CA INDEX NAME)

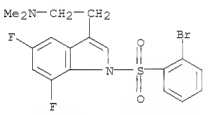


RN 639795-43-0 CAPLUS
CN 1H-Indole-3-ethanamine, 1-[(2-bromophenyl)sulfonyl]-6,7-dichloro-N,N-dimethyl- (CA INDEX NAME)

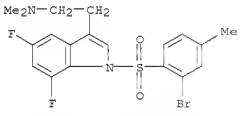
L4 ANSWER 52 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



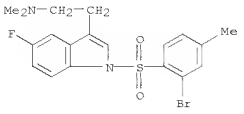
RN 639795-51-0 CAPLUS
CN 1H-Indole-3-ethanamine, 1-[(2-bromophenyl)sulfonyl]-5,7-difluoro-N,N-dimethyl- (CA INDEX NAME)



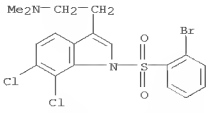
RN 639795-53-2 CAPLUS
CN 1H-Indole-3-ethanamine, 1-[(2-bromo-4-methylphenyl)sulfonyl]-5,7-difluoro-N,N-dimethyl- (CA INDEX NAME)



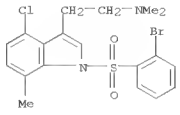
RN 639795-55-4 CAPLUS
CN 1H-Indole-3-ethanamine, 1-[(2-bromo-4-methylphenyl)sulfonyl]-5-fluoro-N,N-dimethyl- (CA INDEX NAME)



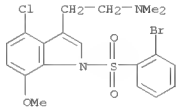
L4 ANSWER 52 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 639795-45-2 CAPLUS
CN 1H-Indole-3-ethanamine, 1-[(2-bromophenyl)sulfonyl]-4-chloro-N,N,7-trimethyl- (CA INDEX NAME)



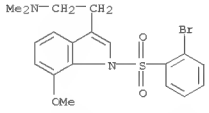
RN 639795-47-4 CAPLUS
CN 1H-Indole-3-ethanamine, 1-[(2-bromophenyl)sulfonyl]-4-chloro-7-methoxy-N,N-dimethyl- (CA INDEX NAME)



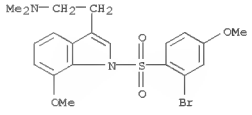
RN 639795-49-6 CAPLUS
CN 1H-Indole-3-ethanamine, 1-[(2-bromophenyl)sulfonyl]-4,6,7-trichloro-N,N-dimethyl- (CA INDEX NAME)

L4 ANSWER 52 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

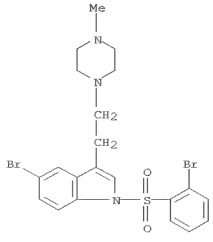
RN 639795-56-5 CAPLUS
CN 1H-Indole-3-ethanamine, 1-[(2-bromophenyl)sulfonyl]-7-methoxy-N,N-dimethyl- (CA INDEX NAME)



RN 639795-57-6 CAPLUS
CN 1H-Indole-3-ethanamine, 1-[(2-bromo-4-methoxyphenyl)sulfonyl]-7-methoxy-N,N-dimethyl- (CA INDEX NAME)

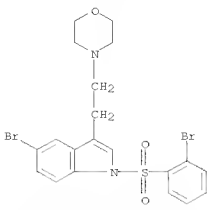


RN 639795-74-7 CAPLUS
CN 1H-Indole, 5-bromo-1-[(2-bromophenyl)sulfonyl]-3-[2-(4-methyl-1-piperazinyl)ethyl]- (CA INDEX NAME)

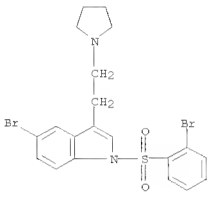


RN 639795-77-0 CAPLUS
CN 1H-Indole, 5-bromo-1-[(2-bromophenyl)sulfonyl]-3-[2-(4-morpholinyl)ethyl]-

L4 ANSWER 52 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
(CA INDEX NAME)



RN 639795-80-5 CAPLUS
CN 1H-Indole,
5-bromo-1-[(2-bromophenyl)sulfonyl]-3-[2-(1-pyrrolidinyl)ethyl]-
(CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 53 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:2887 CAPLUS
DOCUMENT NUMBER: 140:77024
TITLE: Preparation of tetracyclic arylalkyl indoles having serotonin receptor affinity
INVENTOR(S): Jasti, Venkateswarlu; Ramakrishna, Venkata Satya Nirogi; Rambhampati, Rama Sastri; Battula, Srinivasa Reddy; Rao, Venkata Satya Veerabhadra Vadlamudi
PATENT ASSIGNEE(S): Suven Pharmaceuticals Ltd., India
SOURCE: PCT Int. Appl., 66 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004000845	A1	20031231	WO 2003-IN224	20030619
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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AU 2003249584	B2	20071025		
AU 2003249584	B9	20080515		
BR 2003012175	A	20050405	BR 2003-12175	20030619
EP 1537113	A1	20050608	EP 2003-760859	20030619
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
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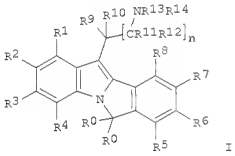
US 20050203103	A1	20050915	US 2005-518624	20050513
US 7297711	B2	20071120		

PRIORITY APPLN. INFO.: IN 2002-MA476 A 20020621
WO 2003-IN224 W 20030619

OTHER SOURCE(S): MARPAT 140:77024
GI

L4 ANSWER 53 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



I

AB The title compds. [I; R0 = H, alkyl; R1-R12 = H, halo, oxo, thio, etc.; or
the adjacent groups like R1 and R2, etc. together with carbon atoms to which they are attached may form 5-7 membered ring which may further contain one or more double bonds and/or one or more heteroatoms such as O,
N, S or Se; or R9 and R10 or R11 and R12 together with the carbon atoms to
which they are attached may form a 3-6 membered ring which may further contain one or more double bonds and/or one or more heteroatoms such as O,
N, S or Se; R13 and R14 = H, alkyl, cycloalkyl, aryl, etc.; or NR13R14 = 3-7 membered heterocyclyl; n = 1-8], useful for treating conditions where a modulation of 5-HT and/or serotonin activity is desired (no data), were prepared. Thus, reacting 1-(2'-bromobenzyl)-N,N-dimethyltryptamine with N,N-dimethylacetamide in the presence of PdCl2[P(o-tolyl)3]2 and AcOK afforded 11-(2-N,N-dimethylaminoethyl)-6H-isoindolo[2,1-a]indole. This invention also relates to processes for preparing the compds. I, compns. containing effective amts. of the compound I and the use of such a compound/composition
in therapy.
IT 639808-93-8P 639808-94-9P 639808-95-0P
639808-96-1P 639808-97-2P 639808-98-3P
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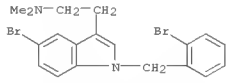
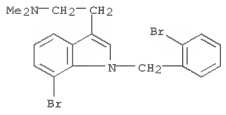
639809-02-2P 639809-03-3P 639809-04-4P
639809-05-5P 639809-06-6P 639809-07-7P
639809-08-8P 639809-10-2P 639809-11-3P
639809-18-0P 639809-20-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of isoindolo[2,1-a]indoles having serotonin receptor affinity)
RN 639808-93-8 CAPLUS
CN 1H-Indole-3-ethanamine, 5-bromo-1-[(2-bromophenyl)methyl]-N,N-dimethyl-
(CA INDEX NAME)

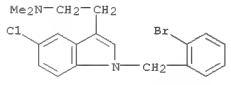
L4 ANSWER 53 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



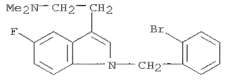
RN 639808-94-9 CAPLUS
CN 1H-Indole-3-ethanamine, 7-bromo-1-[(2-bromophenyl)methyl]-N,N-dimethyl-
(CA INDEX NAME)



RN 639808-95-0 CAPLUS
CN 1H-Indole-3-ethanamine, 1-[(2-bromophenyl)methyl]-5-chloro-N,N-dimethyl-
(CA INDEX NAME)

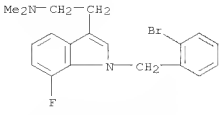


RN 639808-96-1 CAPLUS
CN 1H-Indole-3-ethanamine, 1-[(2-bromophenyl)methyl]-5-fluoro-N,N-dimethyl-
(CA INDEX NAME)

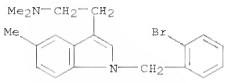


RN 639808-97-2 CAPLUS
CN 1H-Indole-3-ethanamine, 1-[(2-bromophenyl)methyl]-7-fluoro-N,N-dimethyl-
(CA INDEX NAME)

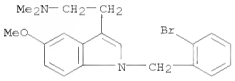
L4 ANSWER 53 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



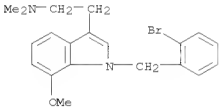
RN 639808-98-3 CAPLUS
CN 1H-Indole-3-ethanamine, 1-[(2-bromophenyl)methyl]-N,N,5-trimethyl- (CA INDEX NAME)



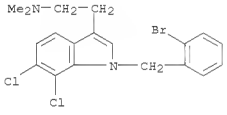
RN 639808-99-4 CAPLUS
CN 1H-Indole-3-ethanamine, 1-[(2-bromophenyl)methyl]-5-methoxy-N,N-dimethyl- (CA INDEX NAME)



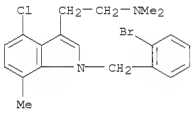
RN 639809-00-0 CAPLUS
CN 1H-Indole-3-ethanamine, 1-[(2-bromophenyl)methyl]-7-methoxy-N,N-dimethyl- (CA INDEX NAME)



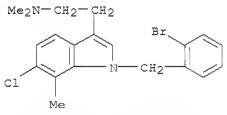
L4 ANSWER 53 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



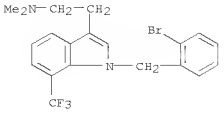
RN 639809-05-5 CAPLUS
CN 1H-Indole-3-ethanamine, 1-[(2-bromophenyl)methyl]-4-chloro-N,N,7-trimethyl- (CA INDEX NAME)



RN 639809-06-6 CAPLUS
CN 1H-Indole-3-ethanamine, 1-[(2-bromophenyl)methyl]-6-chloro-N,N,7-trimethyl- (CA INDEX NAME)

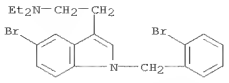


RN 639809-07-7 CAPLUS
CN 1H-Indole-3-ethanamine, 1-[(2-bromophenyl)methyl]-N,N-dimethyl-7-(trifluoromethyl)- (CA INDEX NAME)

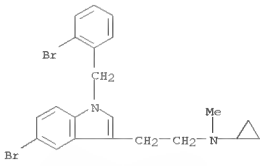


L4 ANSWER 53 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

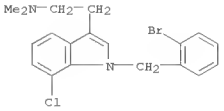
RN 639809-01-1 CAPLUS
CN 1H-Indole-3-ethanamine, 5-bromo-1-[(2-bromophenyl)methyl]-N,N-diethyl- (CA INDEX NAME)



RN 639809-02-2 CAPLUS
CN 1H-Indole-3-ethanamine, 5-bromo-1-[(2-bromophenyl)methyl]-N-cyclopropyl-N-methyl- (CA INDEX NAME)



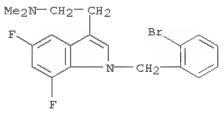
RN 639809-03-3 CAPLUS
CN 1H-Indole-3-ethanamine, 1-[(2-bromophenyl)methyl]-7-chloro-N,N-dimethyl- (CA INDEX NAME)



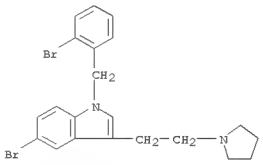
RN 639809-04-4 CAPLUS
CN 1H-Indole-3-ethanamine, 1-[(2-bromophenyl)methyl]-6,7-dichloro-N,N-dimethyl- (CA INDEX NAME)

L4 ANSWER 53 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

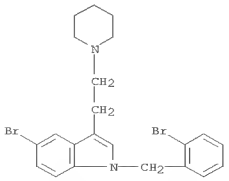
RN 639809-08-8 CAPLUS
CN 1H-Indole-3-ethanamine, 1-[(2-bromophenyl)methyl]-5,7-difluoro-N,N-dimethyl- (CA INDEX NAME)



RN 639809-10-2 CAPLUS
CN 1H-Indole, 5-bromo-1-[(2-bromophenyl)methyl]-3-[2-(1-pyrrolidinyl)ethyl]- (CA INDEX NAME)

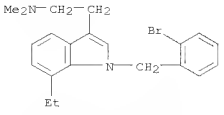


RN 639809-11-3 CAPLUS
CN 1H-Indole, 5-bromo-1-[(2-bromophenyl)methyl]-3-[2-(1-piperidinyl)ethyl]- (CA INDEX NAME)

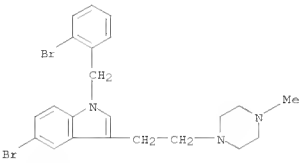


RN 639809-18-0 CAPLUS
CN 1H-Indole-3-ethanamine, 1-[(2-bromophenyl)methyl]-7-ethyl-N,N-dimethyl- (CA INDEX NAME)

L4 ANSWER 53 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 639809-20-4 CAPLUS
CN 1H-Indole, 5-bromo-1-[(2-bromophenyl)methyl]-3-[2-(4-methyl-1-piperazinyl)ethyl]- (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L4 ANSWER 54 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:2617 CAPLUS
DOCUMENT NUMBER: 140:77023
TITLE: Preparation of novel tetracyclic arylcarbonyl indoles having serotonin receptor affinity
INVENTOR(S): Jasti, Venkateswarlu; Ramakrishna, Venkata Satya Nirogi; Rambhampati, Rama Sastri; Battula, Srinivasa Reddy; Rao, Venkata Satya Veerabhadra Vadlamudi
PATENT ASSIGNEE(S): Suven Pharmaceuticals Ltd., India; Suven Life Sciences Ltd.
SOURCE: PCT Int. Appl., 63 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004000205	A2	20031231	WO 2003-IN223	20030619
WO 2004000205	A3	20040408		
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IN 2002MA00477	A	20060915	IN 2002-MA477	20020621
CA 2490002	A1	20031231	CA 2003-2490002	20030619
AU 2003249583	A1	20040106	AU 2003-249583	20030619
AU 2003249583	B2	20070607		
EP 1517909	A2	20050330	EP 2003-760858	20030619
EP 1517909	B1	20061025		
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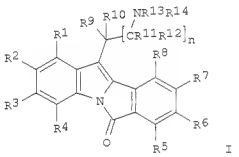
BR 2003012174	A	20050405	BR 2003-12174	20030619
CN 1665815	A	20050907	CN 2003-814592	20030619
JP 2005537239	T	20051208	JP 2004-515419	20030619
AT 343580	T	20061115	AT 2003-760858	20030619
ES 2276109	T3	20070616	ES 2003-760858	20030619
NZ 537771	A	20080328	NZ 2003-537771	20030619
RU 2325392	C2	20080527	RU 2005-101345	20030619
MX 2004012836	A	20050425	MX 2004-12836	20041216
US 20050250834	A1	20051110	US 2005-518612	20050513
US 7317035	B2	20080108		
HK 1074630	A1	20070119	HK 2005-108744	20050930

PRIORITY APPLN. INFO.: IN 2002-MA477 A 20020621
WO 2003-IN223 W 20030619

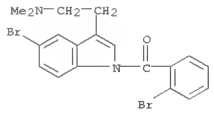
L4 ANSWER 54 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
OTHER SOURCE(S): MARPAT 140:77023
GI



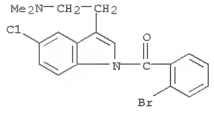
I

AB The title compds. [I; R1-R12 = H, halo, oxo, thio, etc.; or the adjacent groups like R1 and R2, etc. together with carbon atoms to which they are attached may form 5-7 membered ring which may further contain one or more double bonds and/or one or more heteroatoms such as O, N, S or Se; or R9 and R10 or R11 and R12 together with the carbon atoms to which they are attached may form a 3-6 membered ring which may further contain one or more double bonds and/or one or more heteroatoms such as O, N, S or Se; R13 and R14 = H, alkyl, cycloalkyl, aryl, etc.; or NR13R14 = 3-7 membered heterocyclyl; n = 1-8], useful for treating conditions where a modulation of 5-HT and/or serotonin activity is desired (no data), were prepared
Thus,
reacting 1-(2'-bromobenzoyl)-N,N-dimethyltryptamine with N,N-dimethylacetamide in the presence of PdCl2[P(o-tolyl)3]2 and AcOK afforded 11-(2-N,N-dimethylaminoethyl)-6H-isoindolo[2,1-a]indol-6-one. This invention also relates to processes for preparing the compds. I, compns.
containing effective amts. of the compound I and the use of such a compound/composition
in therapy.
IT 639805-31-5P 639805-32-6P 639805-33-7P
639805-34-8P 639805-35-9P 639805-36-0P
639805-37-1P 639805-38-2P 639805-39-3P
639805-40-6P 639805-41-7P 639805-42-8P
639805-43-9P 639805-44-0P 639805-45-1P
639805-46-2P 639805-47-3P 639805-49-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of isoindolo[2,1-a]indolones having serotonin receptor affinity)
RN 639805-31-5 CAPLUS
CN Methanone, [5-bromo-3-[2-(dimethylamino)ethyl]-1H-indol-1-yl](2-bromophenyl)- (CA INDEX NAME)

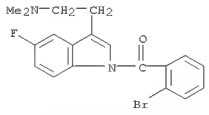
L4 ANSWER 54 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



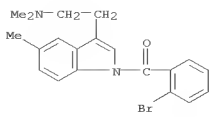
RN 639805-32-6 CAPLUS
CN Methanone, (2-bromophenyl)[5-chloro-3-[2-(dimethylamino)ethyl]-1H-indol-1-yl]- (CA INDEX NAME)



RN 639805-33-7 CAPLUS
CN Methanone, (2-bromophenyl)[3-[2-(dimethylamino)ethyl]-5-fluoro-1H-indol-1-yl]- (CA INDEX NAME)

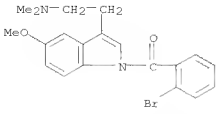


RN 639805-34-8 CAPLUS
CN Methanone, (2-bromophenyl)[3-[2-(dimethylamino)ethyl]-5-methyl-1H-indol-1-yl]- (CA INDEX NAME)

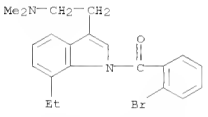


L4 ANSWER 54 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

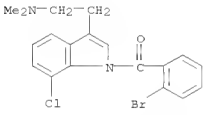
RN 639805-35-9 CAPLUS
CN Methanone, (2-bromophenyl)[3-[2-(dimethylamino)ethyl]-5-methoxy-1H-indol-1-yl]- (CA INDEX NAME)



RN 639805-36-0 CAPLUS
CN Methanone, (2-bromophenyl)[3-[2-(dimethylamino)ethyl]-7-ethyl-1H-indol-1-yl]- (CA INDEX NAME)

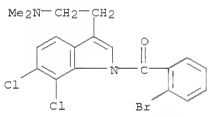


RN 639805-37-1 CAPLUS
CN Methanone, (2-bromophenyl){7-chloro-3-[2-(dimethylamino)ethyl]-1H-indol-1-yl}- (CA INDEX NAME)

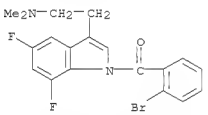


RN 639805-38-2 CAPLUS
CN Methanone, (2-bromophenyl){3-[2-(dimethylamino)ethyl]-7-methoxy-1H-indol-1-yl}- (CA INDEX NAME)

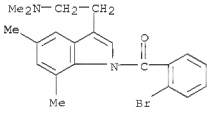
L4 ANSWER 54 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



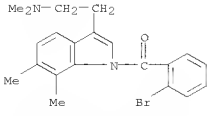
RN 639805-42-8 CAPLUS
CN Methanone, (2-bromophenyl)[3-[2-(dimethylamino)ethyl]-5,7-difluoro-1H-indol-1-yl]- (CA INDEX NAME)



RN 639805-43-9 CAPLUS
CN Methanone, (2-bromophenyl)[3-[2-(dimethylamino)ethyl]-5,7-dimethyl-1H-indol-1-yl]- (CA INDEX NAME)

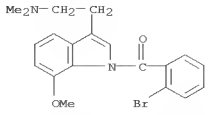


RN 639805-44-0 CAPLUS
CN Methanone, (2-bromophenyl)[3-[2-(dimethylamino)ethyl]-6,7-dimethyl-1H-indol-1-yl]- (CA INDEX NAME)

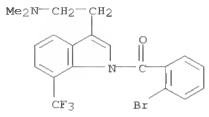


RN 639805-45-1 CAPLUS
CN Methanone, (2-bromophenyl)[4-chloro-3-[2-(dimethylamino)ethyl]-7-methyl-1H-

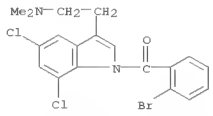
L4 ANSWER 54 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 639805-39-3 CAPLUS
CN Methanone, (2-bromophenyl)[3-[2-(dimethylamino)ethyl]-7-(trifluoromethyl)-1H-indol-1-yl]- (CA INDEX NAME)

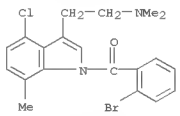


RN 639805-40-6 CAPLUS
CN Methanone, (2-bromophenyl)[5,7-dichloro-3-[2-(dimethylamino)ethyl]-1H-indol-1-yl]- (CA INDEX NAME)

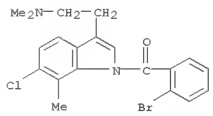


RN 639805-41-7 CAPLUS
CN Methanone, (2-bromophenyl)[6,7-dichloro-3-[2-(dimethylamino)ethyl]-1H-indol-1-yl]- (CA INDEX NAME)

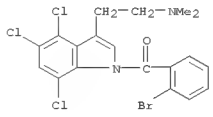
L4 ANSWER 54 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
indol-1-yl]- (CA INDEX NAME)



RN 639805-46-2 CAPLUS
CN Methanone, (2-bromophenyl)[6-chloro-3-[2-(dimethylamino)ethyl]-7-methyl-1H-indol-1-yl]- (CA INDEX NAME)

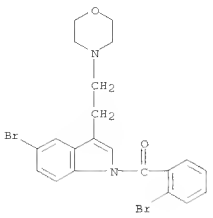


RN 639805-47-3 CAPLUS
CN Methanone, (2-bromophenyl)[4,5,7-trichloro-3-[2-(dimethylamino)ethyl]-1H-indol-1-yl]- (CA INDEX NAME)



RN 639805-49-5 CAPLUS
CN Methanone, [5-bromo-3-[2-(4-morpholinyl)ethyl]-1H-indol-1-yl](2-bromophenyl)- (CA INDEX NAME)

L4 ANSWER 54 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

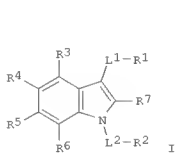
FORMAT

L4 ANSWER 55 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN

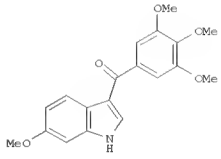
ACCESSION NUMBER: 2003:818147 CAPLUS
DOCUMENT NUMBER: 139:323432
TITLE: Preparation of indole compounds for treating an angiogenesis-related disorders
INVENTOR(S): Hsieh, Hsing-pang; Liou, Jing-ping; Chang, Jang-yang; Chang, Chun-wei
PATENT ASSIGNEE(S): National Health Research Institutes, Taiwan
SOURCE: U.S. Pat. Appl. Publ., 31 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20030195244	A1	20031016	US 2002-318337	20021212
US 6933316	B2	20050823		
EP 1506960	A1	20050216	EP 2003-254909	20030807
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
CA 2437104	A1	20050213	CA 2003-2437104	20030813
US 20050267194	A1	20051201	US 2005-195524	20050801
US 20050267108	A1	20051201	US 2005-195531	20050801
PRIORITY APPLN. INFO.:			US 2001-340317P P	20011213
			US 2002-318337 A2	20021212

OTHER SOURCE(S): MARPAT 139:323432
GI



I



II

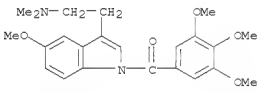
AB The title compds. [I; L1 = CO; L2 = a bond; R1 = (hetero)aryl; R2 = H, aryl, heteroaryl, halo, etc.; R3-R6 = halo, nitro, nitroso, CN, etc.; or R4 and R5, R3 and R4, or R5 and R6 taken together are O(CH2)nO; R7 = H, alkyl, alkenyl, alkynyl, etc.; n = 1-5], were prepared Thus, treating 6-methoxyindole with ZnCl2 and EtMgBr in CH2Cl2 in CH2Cl2 followed by addition of solution of 3,4,5-trimethoxybenzoyl chloride in CH2Cl2 and after 1 h

L4 ANSWER 55 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

AlCl3 afforded 72% II. When tested in cell growth inhibition assay, at least 28 compds. I had IC50 values of at least 5 μM and, unexpectedly, some of the test compds. had IC50 values as low as <10 nM. The compds. I were tested in tubulin polymn. assay and results showed that a test indole
compd. of 2 μM inhibited tubulin polymn.

IT 613679-42-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indole compds. for treating an angiogenesis-related disorders)

RN 613679-42-8 CAPLUS
CN Methanone, [3-[2-(dimethylamino)ethyl]-5-methoxy-1H-indol-1-yl](3,4,5-trimethoxyphenyl)- (CA INDEX NAME)

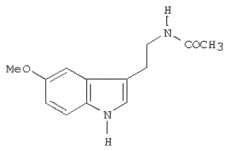


REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L4 ANSWER 56 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2003:732939 CAPLUS
DOCUMENT NUMBER: 139:395731
TITLE: Efficient Route to the Pineal Hormone Melatonin by Radical-Based Indole Synthesis
AUTHOR(S): Thomson, Douglas W.; Commeureuc, Aurelien G. J.; Berlin, Stefan; Murphy, John A.
CORPORATE SOURCE: Department of Pure and Applied Chemistry, University of Strathclyde, Glasgow, UK
SOURCE: Synthetic Communications (2003), 33(20), 3631-3641
CODEN: SYNCAV; ISSN: 0039-7911
PUBLISHER: Marcel Dekker, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 139:395731
GI

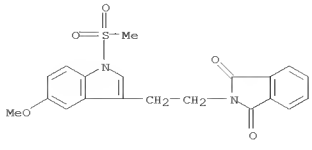


I

AB The hormone melatonin (I) , which is known to have a range of important biol. effects, has been prepared in a high-yielding route that features formation of the indole nucleus by radical cyclization. Mediation of the radical cyclization by tristrimethylsilylsilane (TTMSS) is more efficient than by N-ethylpiperidine hypophosphite.

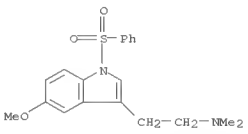
IT 627086-09-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(efficient route to the pineal hormone melatonin by radical-based indole synthesis)

RN 627086-09-3 CAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2-[2-[5-methoxy-1-(methylsulfonyl)-1H-indol-3-yl]ethyl]- (CA INDEX NAME)



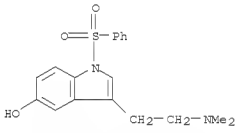
L4 ANSWER 56 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 57 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:689673 CAPLUS
DOCUMENT NUMBER: 139:374257
TITLE: N1-Benzenesulfonylgramine and N1-benzenesulfonylskatole: novel 5-HT6 receptor ligand templates
AUTHOR(S): Pullagurla, Manik R.; Dukat, Malgorzata; Setola, Vincent; Roth, Bryan; Glennon, Richard A.
CORPORATE SOURCE: School of Pharmacy, Department of Medicinal Chemistry, Virginia Commonwealth University, Richmond, VA, 23298-0540, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2003), 13(19), 3355-3359
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 139:374257
AB 1-Benzenesulfonyl-5-methoxy-N,N-dimethyltryptamine (3; Ki=2.3 nM) is a 5-HT6 receptor antagonist; removal of the 5-methoxy group has little impact on receptor affinity. In the present study, it is shown that the aminomethyl portion of one of the analogs can be shortened to gramine analog ; a related skatole derivative also binds with high affinity indicating that the aminoethyl portion of the tryptamines is not required for binding. These compds. represent members of novel classes of 5-HT6 antagonists.
IT 263384-65-2P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation and structure-activity relationship of studies N1-benzenesulfonylgramine and N1-benzenesulfonylskatole derivs. as novel 5-HT6 receptor ligands)
RN 263384-65-2 CAPLUS
CN 1H-Indole-3-ethanamine, 5-methoxy-N,N-dimethyl-1-(phenylsulfonyl)- (CA INDEX NAME)

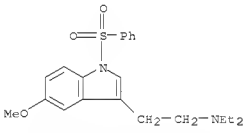


IT 297751-72-5P 623567-25-9P 623567-26-0P 623567-27-1P 623567-28-2P 623567-29-3P 623567-30-6P 623567-35-1P

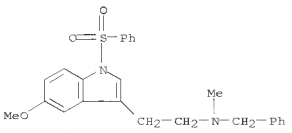
L4 ANSWER 57 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and structure-activity relationship of studies N1-benzenesulfonylgramine and N1-benzenesulfonylskatole derivs. as novel 5-HT6 receptor ligands)
RN 297751-72-5 CAPLUS
CN 1H-Indol-5-ol, 3-[2-(dimethylamino)ethyl]-1-(phenylsulfonyl)- (CA INDEX NAME)



RN 623567-25-9 CAPLUS
CN 1H-Indole-3-ethanamine, N,N-diethyl-5-methoxy-1-(phenylsulfonyl)- (CA INDEX NAME)

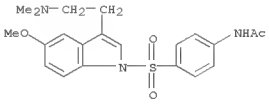


RN 623567-26-0 CAPLUS
CN 1H-Indole-3-ethanamine, 5-methoxy-N-methyl-N-(phenylmethyl)-1-(phenylsulfonyl)- (CA INDEX NAME)

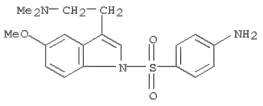


RN 623567-27-1 CAPLUS
CN Acetamide, N-[4-[[3-[2-(dimethylamino)ethyl]-5-methoxy-1H-indol-1-yl]sulfonyl]phenyl]- (CA INDEX NAME)

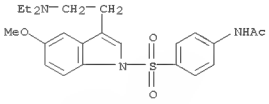
L4 ANSWER 57 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



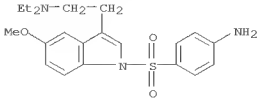
RN 623567-28-2 CAPLUS
CN 1H-Indole-3-ethanamine, 1-[(4-aminophenyl)sulfonyl]-5-methoxy-N,N-dimethyl- (CA INDEX NAME)



RN 623567-29-3 CAPLUS
CN Acetamide, N-[4-[[3-[2-(diethylamino)ethyl]-5-methoxy-1H-indol-1-yl]sulfonyl]phenyl]- (CA INDEX NAME)

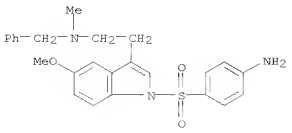


RN 623567-30-6 CAPLUS
CN 1H-Indole-3-ethanamine, 1-[(4-aminophenyl)sulfonyl]-N,N-diethyl-5-methoxy- (CA INDEX NAME)



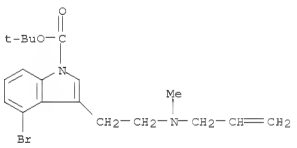
RN 623567-35-1 CAPLUS
CN 1H-Indole-3-ethanamine, 1-[(4-aminophenyl)sulfonyl]-5-methoxy-N-methyl-N-(phenylmethyl)- (CA INDEX NAME)

L4 ANSWER 57 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

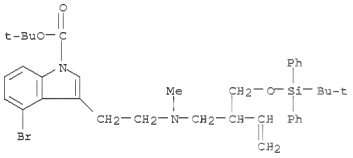


REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

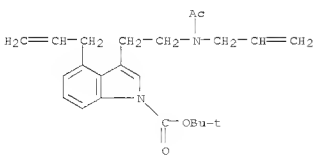
L4 ANSWER 58 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 615537-72-9 CAPLUS
CN 1H-Indole-1-carboxylic acid, 4-bromo-3-[2-[[2-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]-3-buten-1-yl]methylamino]ethyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

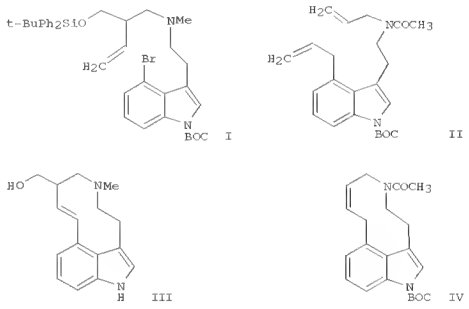


RN 615537-77-4 CAPLUS
CN 1H-Indole-1-carboxylic acid, 3-[2-(acetyl-2-propen-1-ylamino)ethyl]-4-(2-propen-1-yl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



REFERENCE COUNT: 48 THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 58 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:669742 CAPLUS
DOCUMENT NUMBER: 139:338112
TITLE: Seco-C/D Ring Analogues of Ergot Alkaloids. Synthesis via Intramolecular Heck and Ring-Closing Metathesis Reactions
AUTHOR(S): Kalinin, Alexey V.; Chauder, Brian A.; Rakhit, Suman; Snieckus, Victor
CORPORATE SOURCE: Department of Chemistry, University of Waterloo, Waterloo, ON, N2L 3G1, Can.
SOURCE: Organic Letters (2003), 5(19), 3519-3521
CODEN: ORLEF7; ISSN: 1523-7060
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 139:338112
GI



AB Intramol. Heck and ring-closing metathesis reactions on key intermediates I and II, resp., provide efficient entries into seco-C/D ring analogs of Ergot alkaloids III and IV, compds. of potential synthetic and biol. interest.
IT 615537-69-4P 615537-72-9P 615537-77-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of seco-C/D ring analogs of ergot alkaloids via intramol. Heck and ring-closing metathesis reactions)
RN 615537-69-4 CAPLUS
CN 1H-Indole-1-carboxylic acid, 4-bromo-3-[2-(methyl-2-propen-1-ylamino)ethyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

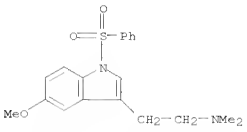
L4 ANSWER 59 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:334899 CAPLUS
DOCUMENT NUMBER: 138:331714
TITLE: Use of indole and indoline derivatives in the treatment of obesity or for the reduction of food intake
INVENTOR(S): Caldirola, Patrizia
PATENT ASSIGNEE(S): Biovitrum AB, Swed.
SOURCE: PCT Int. Appl., 32 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003035061	A1	20030501	WO 2002-SE1929	20021022
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002351550	A1	20030506	AU 2002-351550	20021022
US 20030139424	A1	20030724	US 2002-277299	20021022
EP 1438045	A1	20040721	EP 2002-786300	20021022
EP 1438045	B1	20070214		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
JP 2005506368	T	20050303	JP 2003-537628	20021022
AT 353646	T	20070315	AT 2002-786300	20021022
PRIORITY APPLN. INFO.:			SE 2001-3539 A	20011023
			US 2001-340599P P	20011214
			WO 2002-SE1929 W	20021022

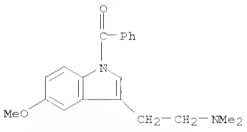
OTHER SOURCE(S): MARPAT 138:331714
AB The invention provides the use of an indole or indoline derivative (Markush included) in the manufacture of a medicament for the treatment or prophylaxis of obesity or for the reduction of food intake. The invention also relates to the use of these compds. for improving the bodily appearance of a mammal by causing loss of weight, as well as cosmetic compns. containing the compds.
IT 263384-65-2 297751-44-1 297751-46-3
297751-50-9 297751-54-3 297751-56-5
297751-64-5 297751-68-9 297751-70-3
297751-72-5 297751-73-6 297751-82-7
297751-83-8 297751-85-0 297751-86-1
297751-87-2 297751-88-3
RL: COS (Cosmetic use); PAC (Pharmacological activity); THU (Therapeutic

L4 ANSWER 59 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
use); BIOL (Biological study); USES (Uses)
(indole and indoline derivs. for treatment of obesity and redn. of food
intake)

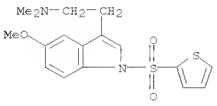
RN 263384-65-2 CAPLUS
CN 1H-Indole-3-ethanamine, 5-methoxy-N,N-dimethyl-1-(phenylsulfonyl)- (CA INDEX NAME)



RN 297751-44-1 CAPLUS
CN Methanone, [3-[2-(dimethylamino)ethyl]-5-methoxy-1H-indol-1-yl]phenyl- (CA INDEX NAME)

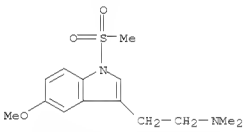


RN 297751-46-3 CAPLUS
CN 1H-Indole-3-ethanamine, 5-methoxy-N,N-dimethyl-1-(2-thienylsulfonyl)- (CA INDEX NAME)

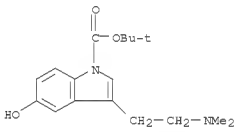


RN 297751-50-9 CAPLUS
CN 1H-Indole, 5-methoxy-1-(phenylsulfonyl)-3-[2-(1-pyrrolidinyl)ethyl]- (CA INDEX NAME)

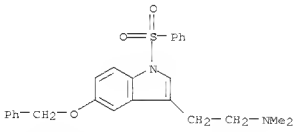
L4 ANSWER 59 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 297751-68-9 CAPLUS
CN 1H-Indole-1-carboxylic acid, 3-[2-(dimethylamino)ethyl]-5-hydroxy-, 1,1-dimethylethyl ester (CA INDEX NAME)

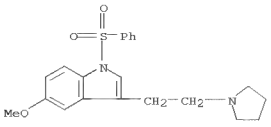


RN 297751-70-3 CAPLUS
CN 1H-Indole-3-ethanamine, N,N-dimethyl-5-(phenylmethoxy)-1-(phenylsulfonyl)- (CA INDEX NAME)

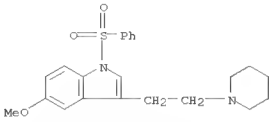


RN 297751-72-5 CAPLUS
CN 1H-Indol-5-ol, 3-[2-(dimethylamino)ethyl]-1-(phenylsulfonyl)- (CA INDEX NAME)

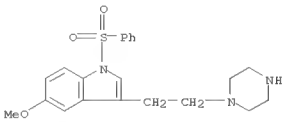
L4 ANSWER 59 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 297751-54-3 CAPLUS
CN 1H-Indole, 5-methoxy-1-(phenylsulfonyl)-3-[2-(1-piperidinyl)ethyl]- (CA INDEX NAME)

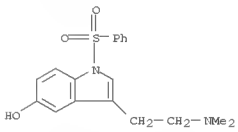


RN 297751-56-5 CAPLUS
CN 1H-Indole, 5-methoxy-1-(phenylsulfonyl)-3-[2-(1-piperazinyl)ethyl]- (CA INDEX NAME)

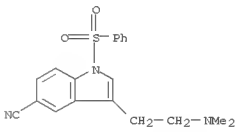


RN 297751-64-5 CAPLUS
CN 1H-Indole-3-ethanamine, 5-methoxy-N,N-dimethyl-1-(methylsulfonyl)- (CA INDEX NAME)

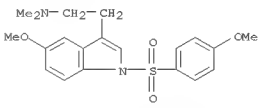
L4 ANSWER 59 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



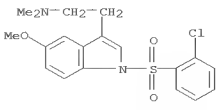
RN 297751-73-6 CAPLUS
CN 1H-Indole-5-carbonitrile, 3-[2-(dimethylamino)ethyl]-1-(phenylsulfonyl)- (CA INDEX NAME)



RN 297751-82-7 CAPLUS
CN 1H-Indole-3-ethanamine, 5-methoxy-1-[(4-methoxyphenyl)sulfonyl]-N,N-dimethyl- (CA INDEX NAME)

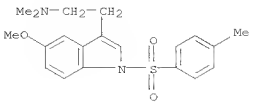


RN 297751-83-8 CAPLUS
CN 1H-Indole-3-ethanamine, 1-[(2-chlorophenyl)sulfonyl]-5-methoxy-N,N-dimethyl- (CA INDEX NAME)

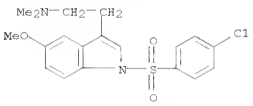


RN 297751-85-0 CAPLUS
CN 1H-Indole-3-ethanamine, 5-methoxy-N,N-dimethyl-1-[(4-

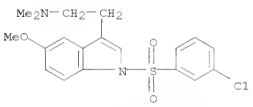
L4 ANSWER 59 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
methylphenyl)sulfonyl]- (CA INDEX NAME)



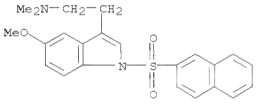
RN 297751-86-1 CAPLUS
CN 1H-Indole-3-ethanamine, 1-[(4-chlorophenyl)sulfonyl]-5-methoxy-N,N-dimethyl- (CA INDEX NAME)



RN 297751-87-2 CAPLUS
CN 1H-Indole-3-ethanamine, 1-[(3-chlorophenyl)sulfonyl]-5-methoxy-N,N-dimethyl- (CA INDEX NAME)



RN 297751-88-3 CAPLUS
CN 1H-Indole-3-ethanamine,
5-methoxy-N,N-dimethyl-1-(2-naphthalenylsulfonyl)-
(CA INDEX NAME)



L4 ANSWER 59 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

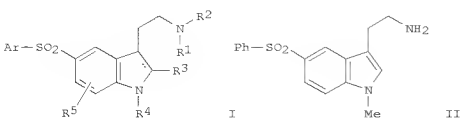
L4 ANSWER 60 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2003:117619 CAPLUS
DOCUMENT NUMBER: 138:153437
TITLE: Preparation of 5-(arylsulfonyl)indoles having 5-HT6 receptor affinity for treatment of CNS disorders
INVENTOR(S): Fu, Jian-Min
PATENT ASSIGNEE(S): Pharmacia & Upjohn Company, USA
SOURCE: PCT Int. Appl., 98 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003011284	A1	20030213	WO 2002-US24759	20020801
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2452743	A1	20030213	CA 2002-2452743	20020801
AU 2002323003	A1	20030217	AU 2002-323003	20020801
US 20030060498	A1	20030327	US 2002-210377	20020801
US 6565829	B2	20030520		
EP 1411925	A1	20040428	EP 2002-756958	20020801
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
BR 2002011561	A	20041130	BR 2002-11561	20020801
JP 2005500345	T	20050106	JP 2003-516514	20020801
MX 2004PA01089	A	20040520	MX 2004-PA1089	20040203

PRIORITY APPLN. INFO.: US 2001-309832P P 20010803
US 2001-326885P P 20011003
WO 2002-US24759 W 20020801

OTHER SOURCE(S): MARPAT 138:153437
GI



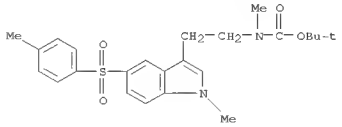
I II

L4 ANSWER 60 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

AB The invention provides derivs. of 5-(arylsulfonyl)indole or indoline I [wherein Ar = (un)substituted Ph, naphthyl, or heteroaryl; R1 and R2 = independently H, (un)substituted alkyl, aryl, or CO2Bu-t; provided that only 1 of R1 and R2 = CO2Bu-t; R3 = H, halo, (un)substituted alkyl, or aryl; R4 = H, (un)substituted alkyl, or aryl; provided that R3 and R4 may not both = H; R5 = H, halo, (un)substituted alkyl or alkoxy, CN, NO2, OH, N3, NR1R2, CONR1R2, CSNR1R2, or aryl(oxy)] and pharmaceutical acceptable salts or compns. thereof as 5-HT6 receptor modulators useful in treating central nervous system diseases, such as anxiety and depression (no data).
The invention also includes intermediates and processes to make I and their isotopically-labeled forms and the use of the isotopically labeled forms of I to perform NMR imaging and positron emission tomog. For example, reaction of 1-[4-(phenylsulfonyl)phenyl]hydrazine with 4-chlorobutanal in MeOH and H2O gave 2-[5-(phenylsulfonyl)-1H-indol-3-yl]ethanamine (48%). N-protection with di-tert-Bu dicarbonate afforded the carbamate (22%), which was alkylated with di-Me sulfate and Cs2CO3 in acetone to give the methylated derivative (68%). Deprotection using HCl in dioxane produced II•HCl (54%). The latter demonstrated binding to the cloned human 5-HT6 receptor with Ki of 1.5 nM.

IT 496864-72-3P, tert-Butyl methyl[2-[1-methyl-5-[(4-methylphenyl)sulfonyl]-1H-indol-3-yl]ethyl]carbamate
RL: DGN (Diagnostic use); PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(5-HT6 modulator; preparation of (arylsulfonyl)indole 5-HT6 receptor modulators by cyclization of (arylsulfonyl)phenylhydrazines and chlorobutanals)

RN 496864-72-3 CAPLUS
CN Carbamic acid,
methyl[2-[1-methyl-5-[(4-methylphenyl)sulfonyl]-1H-indol-3-yl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 60 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

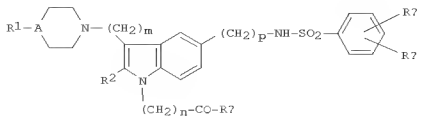
L4 ANSWER 61 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:40167 CAPLUS
DOCUMENT NUMBER: 138:89686
TITLE: Preparation of indole-containing benzenesulfonamides as antagonists of TXA2 and 5-HT2 receptors, process for their preparation, pharmaceutical compositions containing them and therapeutic uses such as platelet aggregation inhibitors
INVENTOR(S): Lavielle, Gilbert; Cimetiere, Bernard; Verbeuren, Tony; Simonet, Serge; Vayssettes-Courchay, Christine
PATENT ASSIGNEE(S): Les Laboratoires Servier, Fr.
SOURCE: Eur. Pat. Appl., 18 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1275644	A1	20030115	EP 2002-291746	20020711
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
FR 2827287	A1	20030117	FR 2001-9338	20010713
FR 2827287	B1	20031031		
JP 2003064055	A	20030305	JP 2002-200910	20020710
JP 4138382	B2	20080827		
BR 2002002674	A	20030506	BR 2002-2674	20020710
MX 2002006852	A	20050725	MX 2002-6852	20020711
NO 2002003389	A	20030114	NO 2002-3389	20020712
NO 323868	B1	20070716		
ZA 2002005598	A	20030327	ZA 2002-5598	20020712
AU 2002300093	A1	20030612	AU 2002-300093	20020712
AU 2002300093	B2	20070712		
US 20030109533	A1	20030612	US 2002-195031	20020712
US 6589956	B2	20030708		
HU 2002002286	A2	20030828	HU 2002-2286	20020712
NZ 520140	A	20030926	NZ 2002-520140	20020712
CA 2394037	A1	20030113	CA 2002-2394037	20020715
CA 2394037	C	20080429		
CN 1397550	A	20030219	CN 2002-124161	20020715
CN 1168715	C	20040929		
HK 1050681	A1	20050311	HK 2003-102798	20030417

PRIORITY APPLN. INFO.: FR 2001-9338 A 20010713

OTHER SOURCE(S): MARPAT 138:89686
GI

L4 ANSWER 61 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



I

AB Benzenesulfonamides (shown as I; variables defined below; e.g. 3-[3-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-5-[2-[[(4-chlorophenyl)sulfonyl]amino]ethyl]-1H-indol-1-yl]propanoic acid (example 6)), methods for their preparation, pharmaceutical comps. and therapeutic uses as antagonists of TXA2 and 5-HT2 receptors are claimed. Example 6 exhibits IC50 values for inhibition of platelet aggregation induced by TXA2 and that produced by 5-hydroxytryptamine of 1.5 and 3.0 μM. Ten example prepns. of I and 3 of intermediates are included.

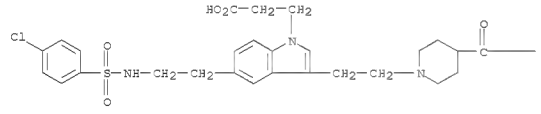
3-[5-[2-[[(4-Chlorophenyl)sulfonyl]amino]ethyl]-3-[2-[4-(4-fluorobenzoyl)-1-piperidinyl]ethyl]-1H-indol-1-yl]propanoic acid was prepared via intermediates N-[2-(4-aminophenyl)ethyl]-4-chlorobenzenesulfonamide, 4-chloro-N-[2-(4-hydrazinophenyl)ethyl]benzenesulfonamide, 4-chloro-N-[2-[3-(2-hydroxyethyl)-1H-indol-5-yl]ethyl]benzenesulfonamide, N-[2-[3-(2-bromoethyl)-1H-indol-5-yl]ethyl]-4-chlorobenzenesulfonamide, 4-chloro-N-[2-[3-[2-[4-(4-fluorobenzoyl)-1-piperidinyl]ethyl]-1H-indol-5-yl]ethyl]benzenesulfonamide, and 4-chloro-N-[2-[1-(2-cyanoethyl)-3-[2-[4-(4-fluorobenzoyl)-1-piperidinyl]ethyl]-1H-indol-5-yl]ethyl]benzenesulfonamide. For I: Ra = hydroxy, alkoxy, aryloxy, aryalkyloxy, amino, alkylamino, dialkylamino, arylamino, arylalkylamino. A = either CH (Rl = H, alkyl, cycloalkyl, cycloalkylalkyl, aryl, arylcarbonyl, arylcarbonylalkyl, aryloxy, aryloxyalkyl, arylthio, arylthioalkyl, arylamino, arylalkylamino, heteroaryl, heteroarylalkyl, heteroarylcarbonyl, heteroarylcarbonylalkyl, heteroaryloxy, heteroaryloxyalkyl, heteroarylthio, heteroarylthioalkyl, heteroarylamino or heteroarylalkylamino), or N (Rl = H, alkyl, cycloalkyl, cycloalkylalkyl, aryl, arylcarbonyl, arylcarbonylalkyl, arylsulfonyl, aryloxyalkyl, arylthioalkyl, heteroaryl, heteroarylalkyl, heteroarylcarbonyl, heteroarylcarbonylalkyl, heteroaryloxyalkyl, heteroarylsulfonyl or heteroarylthioalkyl) or Rl-A = O, C:CR3R4 (R3, R4 = H, aryl, alkyl, heteroaryl). R2 = H, alkyl; Rb, Rc = H, halo, alkyl, alkoxy, hydroxy,trihaloalkyl; n = 2-6; m and p = 0-6; addnl. details on the variables are given in the claims.

IT 484012-93-3P, 3-[5-[2-[[(4-Chlorophenyl)sulfonyl]amino]ethyl]-3-[2-[4-(4-fluorobenzoyl)-1-piperidinyl]ethyl]-1H-indol-1-yl]propanoic acid 484012-97-7P, 3-[5-[2-[[(4-Chlorophenyl)sulfonyl]amino]ethyl]-3-[2-[4-(4-fluorophenyl)-1-piperazinyl]ethyl]-1H-indol-1-yl]propanoic acid 484012-98-8P, 3-[3-[2-[4-[Bis(4-fluorophenyl)methylene]-1-piperidinyl]ethyl]-5-[2-[[(4-chlorophenyl)sulfonyl]amino]ethyl]-1H-indol-1-yl]propanoic acid 484012-99-9P,

L4 ANSWER 61 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
3-[5-[2-[[(4-Chlorophenyl)sulfonyl]amino]ethyl]-3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-1H-indol-1-yl]propanoic acid 484013-00-5P, 3-[5-[2-[[(4-Chlorophenyl)sulfonyl]amino]ethyl]-3-[2-[4-(6-fluoro-1,2-benzisothiazol-3-yl)-1-piperidinyl]ethyl]-1H-indol-1-yl]propanoic acid 484013-01-6P, 3-[3-[2-[4-(1,2-Benzisothiazol-3-yl)-1-piperazinyl]ethyl]-5-[2-[[(4-chlorophenyl)sulfonyl]amino]ethyl]-1H-indol-1-yl]propanoic acid 484013-02-7P, 3-[5-[2-[[(4-Chlorophenyl)sulfonyl]amino]ethyl]-3-[2-[4-(6-fluoro-1-benzothien-2-yl)-1-piperidinyl]ethyl]-1H-indol-1-yl]propanoic acid
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; prepn. of indolyl benzenesulfonamides as antagonists of TXA2 and 5-HT2 receptors, process for their prepn., pharmaceutical compns. contg. them and therapeutic uses such as platelet aggregation inhibitors)

RN 484012-93-3 CAPLUS
CN 1H-Indole-1-propanoic acid, 5-[2-[[(4-chlorophenyl)sulfonyl]amino]ethyl]-3-[2-[4-(4-fluorobenzoyl)-1-piperidinyl]ethyl]- (CA INDEX NAME)

PAGE 1-A

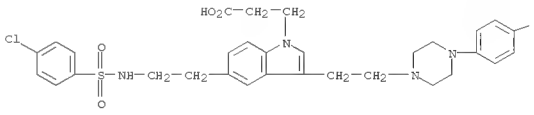


PAGE 1-B

RN 484012-97-7 CAPLUS
CN 1H-Indole-1-propanoic acid, 5-[2-[[(4-chlorophenyl)sulfonyl]amino]ethyl]-3-[2-[4-(4-fluorophenyl)-1-piperazinyl]ethyl]- (CA INDEX NAME)

L4 ANSWER 61 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

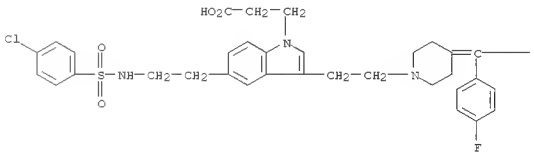
PAGE 1-A



PAGE 1-B

RN 484012-98-8 CAPLUS
CN 1H-Indole-1-propanoic acid, 3-[2-[4-[bis(4-fluorophenyl)methylene]-1-piperidinyl]ethyl]-5-[2-[[(4-chlorophenyl)sulfonyl]amino]ethyl]- (CA INDEX NAME)

PAGE 1-A

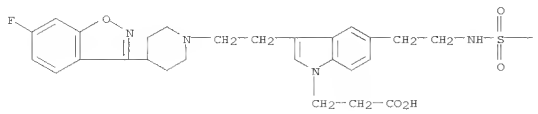


PAGE 1-B

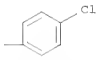
RN 484012-99-9 CAPLUS
CN 1H-Indole-1-propanoic acid, 5-[2-[[(4-chlorophenyl)sulfonyl]amino]ethyl]-3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]- (CA INDEX NAME)

L4 ANSWER 61 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

PAGE 1-A

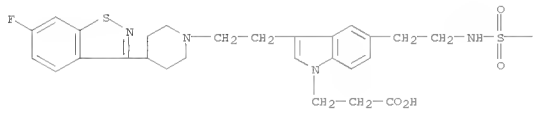


PAGE 1-B

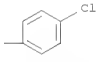


RN 484013-00-5 CAPLUS
CN 1H-Indole-1-propanoic acid, 5-[2-[[(4-chlorophenyl)sulfonyl]amino]ethyl]-3-[2-[4-(6-fluoro-1,2-benzisothiazol-3-yl)-1-piperidinyl]ethyl]- (CA INDEX NAME)

PAGE 1-A



PAGE 1-B

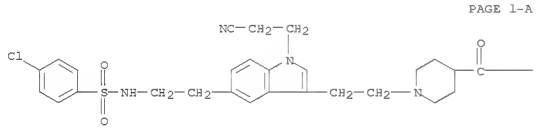


RN 484013-01-6 CAPLUS
CN 1H-Indole-1-propanoic acid, 3-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-5-[2-[[(4-chlorophenyl)sulfonyl]amino]ethyl]- (CA INDEX NAME)

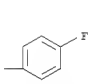
L4 ANSWER 61 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
and therapeutic uses such as platelet aggregation inhibitors)

RN 484012-96-6 CAPLUS
CN Benzenesulfonamide, 4-chloro-N-[2-[1-(2-cyanoethyl)-3-[2-[4-(4-fluorobenzoyl)-1-piperidinyl]ethyl]-1H-indol-5-yl]ethyl]- (CA INDEX NAME)

PAGE 1-A



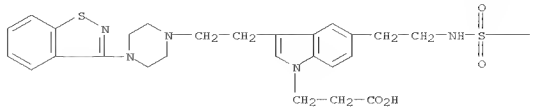
PAGE 1-B



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 61 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

PAGE 1-A



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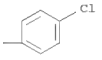
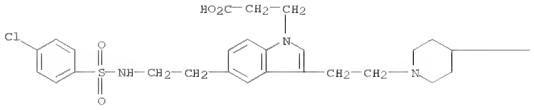
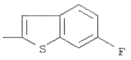


RN 484013-02-7 CAPLUS
CN 1H-Indole-1-propanoic acid, 5-[2-[[(4-chlorophenyl)sulfonyl]amino]ethyl]-3-[2-[4-(6-fluorobenzo[b]thien-2-yl)-1-piperidinyl]ethyl]- (CA INDEX NAME)

PAGE 1-A



PAGE 1-B



IT 484012-96-6P, 4-Chloro-N-[2-[1-(2-cyanoethyl)-3-[2-[4-(4-fluorobenzoyl)-1-piperidinyl]ethyl]-1H-indol-5-yl]ethyl]benzenesulfonamide
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of indolyl benzenesulfonamides as antagonists of TXA2 and 5-HT2
receptors, process for their preparation, pharmaceutical compns. containing them

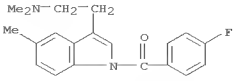
L4 ANSWER 62 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:808586 CAPLUS
DOCUMENT NUMBER: 138:73144
TITLE: A Versatile Linkage Strategy for Solid-Phase Synthesis of N,N-Dimethyltryptamines and β-Carbolines
AUTHOR(S): Wu, Tom Y. H.; Schultz, Peter G.
CORPORATE SOURCE: Skaggs Institute for Chemical Biology, Department of Chemistry, Scripps Research Institute, La Jolla, CA, 92037, USA
SOURCE: Organic Letters (2002), 4(23), 4033-4036
CODEN: ORLEF7; ISSN: 1523-7060
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:73144
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Various tryptamines were captured by a vinylsulfonylmethyl polystyrene resin, generating a safety-catch linkage. β-Carbolines, e.g. I (R = Ph, 4-MeSC6H4, Me), were prepared via Pictet-Spengler reaction of resin-bound tryptamines, e.g. II (R1 = H; Q = polystyrene resin), with aldehydes, e.g. RCHO, and subsequent quaternization with MeI and (Me2CH)2NEt-induced Hoffman elimination-resin cleavage. II (R1 = H) was derivatized at the indole nitrogen by copper-mediated coupling or acylation and after resin cleavage gave tryptamines, e.g. III (R2 = H, Me, Ph) or IV (R3 = i-Pr, Ph, 4-FC6H4, 4-PhC6H4, 4-EtOC6H4NH, 4-BrC6H4NH). Suzuki coupling of resin-bound tryptamine II (R1 = Br) and then resin cleavage gave 5-substituted tryptamines, e.g. V.

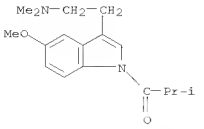
IT 481661-31-8P 481661-33-0P 481661-35-2P
481661-38-5P 481662-82-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of tryptamines via acylation of vinylsulfonylmethyl resin-bound
tryptamines by acid chlorides or isocyanates and resin cleavage via quaternization-Hoffman elimination)

RN 481661-31-8 CAPLUS
CN Methanone, [3-[2-(dimethylamino)ethyl]-5-methyl-1H-indol-1-yl](4-fluorophenyl)- (CA INDEX NAME)

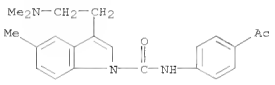


RN 481661-33-0 CAPLUS
CN 1-Propanone, 1-[3-[2-(dimethylamino)ethyl]-5-methoxy-1H-indol-1-yl]-2-methyl- (CA INDEX NAME)

L4 ANSWER 62 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

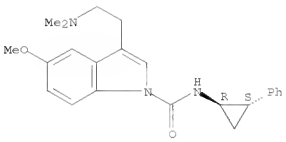


RN 481661-35-2 CAPLUS
CN 1H-Indole-1-carboxamide, N-(4-acetylphenyl)-3-[2-(dimethylamino)ethyl]-5-methyl- (CA INDEX NAME)



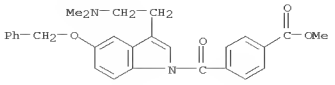
RN 481661-38-5 CAPLUS
CN 1H-Indole-1-carboxamide, 3-[2-(dimethylamino)ethyl]-5-methoxy-N-[(1R,2S)-2-phenylcyclopropyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



RN 481662-82-2 CAPLUS
CN Benzoic acid, 4-[[3-[2-(dimethylamino)ethyl]-5-(phenylmethoxy)-1H-indol-1-yl]carbonyl]-, methyl ester (CA INDEX NAME)

L4 ANSWER 62 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

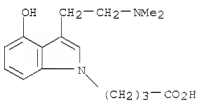
L4 ANSWER 63 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2002:805316 CAPLUS
DOCUMENT NUMBER: 138:205240
TITLE: Synthesis of a psilocin hapten and a protein-hapten conjugate
AUTHOR(S): Albers, Christian; Lehr, Matthias; Beike, Justus; Kohler, Helga; Brinkmann, Bernd
CORPORATE SOURCE: Institute of Pharmaceutical and Medicinal Chemistry, University of Munster, Munster, D-48149, Germany
SOURCE: Journal of Pharmacy and Pharmacology (2002), 54(9), 1265-1270
CODEN: JPPMAB; ISSN: 0022-3573
PUBLISHER: Pharmaceutical Press
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:205240

AB Derivs. of psilocin with ω-functionalized alkyl spacers in position 1 of the indole ring were synthesized as haptens for use in a RIA. Whereas the psilocin analogs with a 3-aminopropyl and a 4-aminobutyl moiety at the indole nitrogen decomposed during synthesis, the analogous 3-carboxypropyl psilocin derivative proved to be stable. This compound was coupled to bovine serum albumin (BSA) using the N-hydroxysuccinimide ester-mediated conjugation. The protein-hapten conjugate was characterized by matrix-assisted laser desorption ionization mass spectrometry. The mass spectrometry data indicated an average incorporation ratio of 4-5 mols. of psilocin hapten per mol. of BSA.

IT 500003-05-4DP, bovine serum albumin conjugate
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(synthesis of a psilocin hapten and a protein-hapten conjugate)

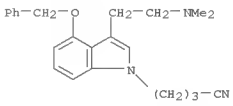
RN 500003-05-4 CAPLUS
CN 1H-Indole-1-butanoic acid, 3-[2-(dimethylamino)ethyl]-4-hydroxy- (CA INDEX NAME)



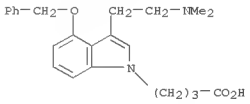
IT 500003-02-1P 500003-04-3P 500003-05-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of a psilocin hapten and a protein-hapten conjugate)

RN 500003-02-1 CAPLUS
CN 1H-Indole-1-butanenitrile, 3-[2-(dimethylamino)ethyl]-4-(phenylmethoxy)- (CA INDEX NAME)

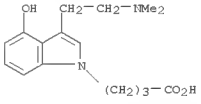
L4 ANSWER 63 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 500003-04-3 CAPLUS
CN 1H-Indole-1-butanoic acid, 3-[2-(dimethylamino)ethyl]-4-(phenylmethoxy)- (CA INDEX NAME)

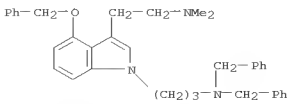


RN 500003-05-4 CAPLUS
CN 1H-Indole-1-butanoic acid, 3-[2-(dimethylamino)ethyl]-4-hydroxy- (CA INDEX NAME)



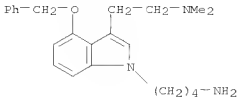
1T 500003-01-0P 500003-03-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of a psilocin hapten and a protein-hapten conjugate)

RN 500003-01-0 CAPLUS
CN 1H-Indole-1-propanamine, 3-[2-(dimethylamino)ethyl]-4-(phenylmethoxy)-N,N-bis(phenylmethyl)- (CA INDEX NAME)



RN 500003-03-2 CAPLUS
CN 1H-Indole-1-butanamine, 3-[2-(dimethylamino)ethyl]-4-(phenylmethoxy)- (CA

L4 ANSWER 63 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
INDEX NAME)



REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 64 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:777716 CAPLUS
DOCUMENT NUMBER: 137:294763
TITLE: Preparation of N-(2-Arylethyl)benzylamines as antagonists of the 5-HT6 receptor
INVENTOR(S): Chen, Zhaogen; Cohen, Michael Philip; Fisher, Matthew Joseph; Giethlen, Bruno; Gillig, James Ronald; McCowan, Jefferson Ray; Miller, Shawn Christopher; Schaus, John Mehnert
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 216 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002078693	A2	20021010	WO 2002-US5115	20020315
WO 2002078693	A3	20021205		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2442114	A1	20021010	CA 2002-2442114	20020315
AU 2002303094	A1	20021015	AU 2002-303094	20020315
AU 2002303094	B2	20061123		
EP 1379239	A2	20040114	EP 2002-731094	20020315
EP 1379239	B1	20070912		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
HU 2003003651	A2	20040301	HU 2003-3651	20020315
HU 2003003651	A3	20040830		
BR 2002008179	A	20040302	BR 2002-8179	20020315
JP 2004532209	T	20041021	JP 2002-576959	20020315
CN 1610547	A	20050427	CN 2002-810543	20020315
NZ 527815	A	20050527	NZ 2002-527815	20020315
AT 372768	T	20070915	AT 2002-731094	20020315
EP 1859798	A1	20071128	EP 2007-15058	20020315
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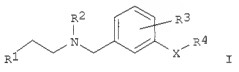
ES 2292758	T3	20080316	ES 2002-731094	20020315
ZA 2003006795	A	20041129	ZA 2003-6795	20030829
IN 2003KN01111	A	20051014	IN 2003-KN1111	20030902
HR 2003000771	B1	20081031	HR 2003-771	20030924
NO 2003004289	A	20031128	NO 2003-4289	20030925
NO 326160	B1	20081013		
MX 2003008726	A	20031212	MX 2003-8726	20030925
US 20040132800	A1	20040708	US 2004-472741	20040227

L4 ANSWER 64 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

US 20060009511	A9	20060112			
US 7157488	B2	20070102			
HK 1061649	A1	20080926	HK 2004-104659		20040629
US 20070099909	A1	20070503	US 2006-608922		20061211
IN 2007KN04711	A	20080404	IN 2007-KN4711		20071205
PRIORITY APPLN. INFO.:			US 2001-279928P	P	20010329
			US 2001-329449P	P	20011015
			EP 2002-731094	A3	20020315
			WO 2002-US5115	W	20020315
			IN 2003-KN1111	A3	20030902
			US 2004-472741	A1	20040227

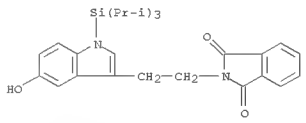
OTHER SOURCE(S): MARPAT 137:294763
GI



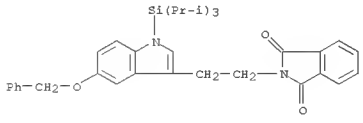
AB The present invention provides compds. (shown as I; e.g. N-[2-(6,7-difluoro-1H-indol-3-yl)ethyl]-3-(pyridin-4-yloxy)benzylamine), which are antagonists of the 5-HT6 receptor (no data). In I, X is selected from -O-, -NH-, -S-, -SO2-, -CH2-, -CH(F)-, -CH(OH)-, and -C(O)-; R1 is selected from optionally substituted Ph, optionally substituted naphthyl, optionally substituted 5 to 6 membered monocyclic aromatic heterocycle having one heteroatom selected from N, O, and S and which 5 to 6 membered monocyclic aromatic heterocycle is optionally benzofused; R2 is selected from H and C1-C3 alkyl; R3 is selected from H, fluoro, and Me; R4 is selected from H, allyl, C2-C4 alkyl, fluorinated C2-C4 alkyl, optionally substituted Ph, optionally substituted phenylsulfonyl, optionally substituted benzyl, and optionally substituted 5 to 6 membered monocyclic aromatic heterocycle having one or two heteroatoms selected from N, O, and S, provided that R4 is not optionally substituted phenylsulfonyl when X is -SO2-, -CH2-, CH(F)-, -CH(OH)-, or -C(O)-. Disorders claimed to be treatable using I include: cognitive disorders, schizophrenia, anxiety, and Alzheimer's disease, memory disorders, psychosis. Although the methods of preparation are not claimed, .apprx.900 example prepns. are included.

IT 467458-29-3P, 2-[2-(5-Hydroxy-1-triisopropylsilanyl-1H-indol-3-yl)ethyl]isoindole-1,3-dione 467458-30-6P, 2-[2-(5-Benzyloxy-1-triisopropylsilanyl-1H-indol-3-yl)ethyl]isoindole-1,3-dione 467458-31-7P, 2-[2-(5-Propoxy-1-triisopropylsilanyl-1H-indol-3-yl)ethyl]isoindole-1,3-dione
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; prepn. of N-(2-Arylethyl)benzylamines as antagonists of 5-HT6 receptor)

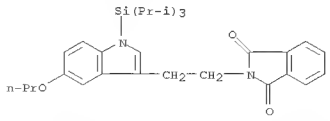
RN 467458-29-3 CAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2-[2-[5-hydroxy-1-[tris(1-methylethyl)silyl]-1H-indol-3-yl]ethyl]- (CA INDEX NAME)



RN 467458-30-6 CAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2-[2-[5-(phenylmethoxy)-1-[tris(1-methylethyl)silyl]-1H-indol-3-yl]ethyl]- (CA INDEX NAME)

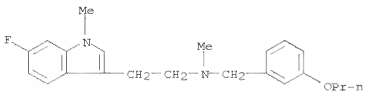


RN 467458-31-7 CAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2-[2-[5-propoxy-1-[tris(1-methylethyl)silyl]-1H-indol-3-yl]ethyl]- (CA INDEX NAME)

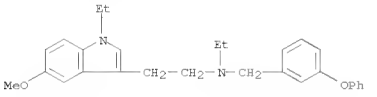


IT 467460-01-1P, N-[2-(6-Fluoro-1-methyl-1H-indol-3-yl)ethyl]-N-methyl-3-propoxybenzylamine 467460-38-4P, N-[2-(5-Methoxy-1-ethyl-1H-indol-3-yl)ethyl]-N-ethyl-3-(phenyloxy)benzylamine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

L4 ANSWER 64 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
(prepn. of N-(2-Arylethyl)benzylamines as antagonists of 5-HT6 receptor)
RN 467460-01-1 CAPLUS
CN 1H-Indole-3-ethanamine, 6-fluoro-N,1-dimethyl-N-[(3-propoxyphenyl)methyl]- (CA INDEX NAME)

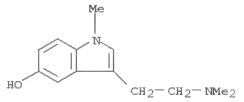


RN 467460-38-4 CAPLUS
CN 1H-Indole-3-ethanamine, N,1-diethyl-5-methoxy-N-[(3-phenoxyphenyl)methyl]- (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

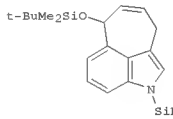
L4 ANSWER 65 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:536577 CAPLUS
DOCUMENT NUMBER: 137:242260
TITLE: Cation-π interactions in ligand recognition by serotonergic (5-HT3A) and nicotinic acetylcholine receptors: the anomalous binding properties of nicotine
AUTHOR(S): Beene, Darren L.; Brandt, Gabriel S.; Zhong, Wenge; Zacharias, Niki M.; Lester, Henry A.; Dougherty, Dennis A.
CORPORATE SOURCE: Divisions of Chemistry and Chemical Engineering and Biology, California Institute of Technology, Pasadena, CA, 91125, USA
SOURCE: Biochemistry (2002), 41(32), 10262-10269
CODEN: BICHAW; ISSN: 0006-2960
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A series of tryptophan analogs has been introduced into the binding site regions of two ion channels, the ligand-gated nicotinic acetylcholine and serotonin 5-HT3A receptors, using unnatural amino acid mutagenesis and heterologous expression in Xenopus oocytes. A cation-π interaction between serotonin and Trp 183 of the serotonin channel 5-HT3AR is identified for the first time, precisely locating the ligand-binding site of this receptor. The energetic contribution of the observed cation-π interaction between a tryptophan and the primary ammonium ion of serotonin is estimated to be approx. 4 kcal/mol, while the comparable interaction with the quaternary ammonium of acetylcholine is approx. 2 kcal/mol. The binding mode of nicotine to the nicotinic receptor of mouse muscle is examined by the same technique and found to differ significantly from that of the natural agonist, acetylcholine.
IT 74834-00-7
RL: BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(cation-π interactions in ligand recognition by serotonergic 5-HT3A and nicotinic acetylcholine receptors)
RN 74834-00-7 CAPLUS
CN 1H-Indol-5-ol, 3-[2-(dimethylamino)ethyl]-1-methyl- (CA INDEX NAME)



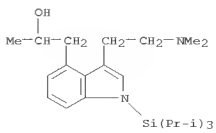
REFERENCE COUNT: 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS

L4 ANSWER 65 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 66 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:483377 CAPLUS
DOCUMENT NUMBER: 137:295122
TITLE: Preparation of 3,4-enynoindoles via directed lithiation and application to the synthesis of 3,4-carbocycloindoles
AUTHOR(S): Perez-Serrano, Leticia; Casarrubios, Luis; Dominguez, Gema; Freire, Guillermo; Perez-Castells, Javier
CORPORATE SOURCE: Departamento de Quimica, Universidad San Pablo-CEU, Urb. Monteprincipe, Facultad de Ciencias Experimentales y de la Salud, Madrid, Boadilla del Monte, 28668, Spain
SOURCE: Tetrahedron (2002), 58(27), 5407-5415
CODEN: TETRAB; ISSN: 0040-4020
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 137:295122
GI



AB Lithiation at C4 of the indole nucleus is readily directed by several functional groups. The 4-substituted indoles thus obtained are transformed into suitable substrates for metathesis reactions. Ring-closing metathesis effected on these compds. lead to skeletons, e.g. I, related to several indole alkaloids.
IT 468077-88-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(lithiation of indoles at C4)
RN 468077-88-5 CAPLUS
CN 1H-Indole-4-ethanol, 3-[2-(dimethylamino)ethyl]-α-methyl-1-[tris(1-methylethyl)silyl]- (CA INDEX NAME)



REFERENCE COUNT: 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 66 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

L4 ANSWER 67 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN

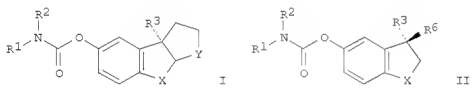
ACCESSION NUMBER: 2002:466010 CAPLUS
DOCUMENT NUMBER: 137:47350
TITLE: Preparation of fused dihydroindole derivatives as agents useful for reducing amyloid precursor protein and treating dementia
INVENTOR(S): Greig, Nigel H.; Shaw, Karen T. Y.; Yu, Qiang-Sheng; Holloway, Harold W.; Utsuki, Tada; Soncrant, Timothy T.; Ingram, Donald S.; Brossi, Arnold; Giordano, Anthony; Powers, Gordon; Davidson, Diane; Sturgess, Michael
PATENT ASSIGNEE(S): United States Dept. of Health and Human Services, USA
SOURCE: PCT Int. Appl., 165 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002048150	A2	20020620	WO 2001-US48175	20011102
WO 2002048150	A3	20030807		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2465534	A1	20020620	CA 2001-2465534	20011102
AU 2002043323	A	20020624	AU 2002-43323	20011102
EP 1349858	A2	20031008	EP 2001-989211	20011102
EP 1349858	B1	20080827		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
AU 2002243323	B2	20070712	AU 2002-243323	20011102
AT 406371	T	20080915	AT 2001-989211	20011102
US 20040138282	A1	20040715	US 2004-415765	20040206
US 7153882	B2	20061226		
US 20060270729	A1	20061130	US 2006-455959	20060620

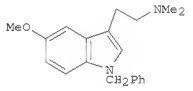
PRIORITY APPLN. INFO.:
US 2000-245329P P 20001102
WO 2001-US48175 W 20011102
US 2004-415765 A1 20040206

OTHER SOURCE(S): MARPAT 137:47350
GI

L4 ANSWER 67 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



I II



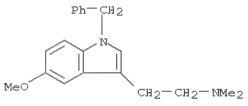
III

AB The present invention provides title compds. I and II [R1, R2 = independently H, (un)branched C1-8 alkyl, (un)substituted aryl, aralkyl; R3 = (un)branched C1-4 alkyl, heteroalkyl, C4-8 alkyl, heteroalkyl; (un)substituted aryl; X, Y = independently O, S, alkyl, hydrocarbyl, CHR4, NR5; R4, R5 = independently H, O, (un)branched C1-6 alkyl, C2-8 alkenyl, C2-8 alkynyl, aralkyl, (un)substituted aryl; R6 = H, C1-8 alkyl, C2-8 alkenyl, C2-8 alkynyl, aralkyl, (un)substituted aryl, (CH2)nR7; R7 = OH, alkoxy, CN, ester, CO2H, (un)substituted amino; n = 1-4], with provisos, and methods of administering compds. to a subject that can reduce β-amyloid precursor protein (βAPP) production and that is not toxic in a wide range of dosages. The present invention also provides non-carbamate compds. and methods of administering such compds. to a subject that can reduce βAPP production and that is not toxic in a wide range of dosages. It has been discovered that either the racemic or enantiomerically pure non-carbamate compds. can be used to decrease βAPP production Thus, benzylation of N,N-dimethyl-5-methoxytryptamine with benzyl bromide gave 30% non-carbamate inhibitor MES 9191 (III). III inhibited βAPP mRNA levels by about 10%, relative to control.

IT 330851-38-2P, MES 9191
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of fused dihydroindole derivs. as agents useful for reducing amyloid precursor protein and treating dementia)

RN 330851-38-2 CAPLUS
CN 1H-Indole-3-ethanamine, 5-methoxy-N,N-dimethyl-1-(phenylmethyl)- (CA INDEX NAME)

L4 ANSWER 67 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

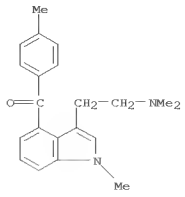
L4 ANSWER 68 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:386025 CAPLUS
DOCUMENT NUMBER: 137:369919
TITLE: Synthesis of functionalized indole- and benzo-fused heterocyclic derivatives through anionic benzyne cyclization
AUTHOR(S): Barluenga, Jose; Fananas, Francisco J.; Sanz, Roberto; Fernandez, Yolanda
CORPORATE SOURCE: Instituto Universitario de Quimica Organometalica "Enrique Moles" Unidad Asociada al C.S.I.C. Universidad de Oviedo, Oviedo, 33071, Spain
SOURCE: Chemistry--A European Journal (2002), 8(9), 2034-2046
CODEN: CEUJED; ISSN: 0947-6539
PUBLISHER: Wiley-VCH Verlag GmbH
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 137:369919

AB The development of a new method for the regioselective synthesis of functionalized indoles and six-membered benzo-fused N-, O-, and S-heterocycles is reported. The starting materials used in this study were N-(2-bromo-2-propenyl)-2-fluoro-N-methylbenzenamine, N-(2-bromo-2-propenyl)-2-fluoro-N-(2-propenyl)benzenamine and N-(2-butenyl)-N-(2-bromo-2-propenyl)-2-fluorobenzenamine, N-(2-bromo-2-propenyl)-2-bromo-4-methoxy-N-(2-propenyl)benzenamine and N-(2-bromo-2-cyclohexen-1-yl)-2-fluoro-N-methylbenzenamine. The key step involves the generation of a benzyne-tethered vinyl or aryllithium compound that undergoes a subsequent intramol. anionic cyclization. Reaction of the organolithium intermediates with selected electrophiles allows the preparation of a wide variety of indole, tetrahydrocarbazole, phenanthridine, dibenzopyran, and dibenzothiopyran derivs. Finally, the application of this strategy to the appropriate starting materials allows the preparation of some tryptamine and serotonin analogs.

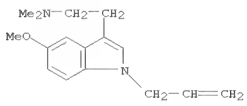
IT 475039-82-8P 475039-92-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of indole and carbazole derivs. via anionic benzyne cyclization)

RN 475039-82-8 CAPLUS
CN Methanone, [3-[2-(dimethylamino)ethyl]-1-methyl-1H-indol-4-yl](4-methylphenyl)- (CA INDEX NAME)

L4 ANSWER 68 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

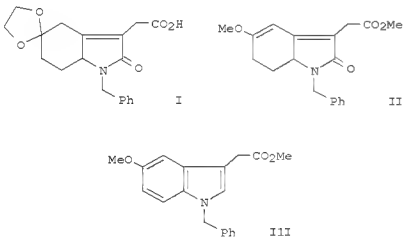


RN 475039-92-0 CAPLUS
CN 1H-Indole-3-ethanamine, 5-methoxy-N,N-dimethyl-1-(2-propen-1-yl)- (CA INDEX NAME)



REFERENCE COUNT: 72 THERE ARE 72 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 69 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:172553 CAPLUS
DOCUMENT NUMBER: 136:355101
TITLE: Aromatization of 1,6,7,7a-Tetrahydro-2H-indol-2-ones by a Novel Process. Preparation of Key-Intermediate Methyl 1-Benzyl-5-methoxy-1H-indole-3-acetate and the Syntheses of Serotonin, Melatonin, and Bufotenin
AUTHOR(S): Revial, Gilbert; Jabin, Ivan; Lim, Sethy; Pfau, Michel
CORPORATE SOURCE: Laboratoire de Chimie Organique, CNRS (ESA 7084), ESPCI, Paris, 75231, Fr.
SOURCE: Journal of Organic Chemistry (2002), 67(7), 2252-2256
CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 136:355101
GI

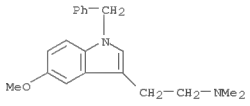


AB The imine of 1,4-cyclohexanedione mono-ethylene ketal was reacted with maleic anhydride, affording the cyclized adduct 1. Me esterification of I, accompanied by transacetalization, led to the dihydrooxindole derivative II. Aromatization of II was then accomplished with POCl3, leading directly to the key-intermediate title compound III in 74% yield from the ketone. Serotonin, melatonin, and bufotenin were then obtained by standard reactions.

IT 330851-38-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(novel aromatization of tetrahydro-2H-indol-2-ones in the preparation of key-intermediate 1-benzyl-5-methoxy-1H-indole-3-acetate)

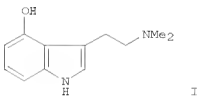
RN 330851-38-2 CAPLUS
CN 1H-Indole-3-ethanamine, 5-methoxy-N,N-dimethyl-1-(phenylmethyl)- (CA INDEX NAME)

L4 ANSWER 69 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



REFERENCE COUNT: 55 THERE ARE 55 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 70 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:19828 CAPLUS
DOCUMENT NUMBER: 136:263284
TITLE: The chemistry of indoles. Part 109. Synthetic studies of psilocin analogs having either a formyl group or bromine atom at the 5- or 7-position
AUTHOR(S): Yamada, Fumio; Tamura, Mayumi; Hasegawa, Atsuko; Somei, Masanori
CORPORATE SOURCE: Faculty of Pharmaceutical Sciences, Kanazawa University, Kanazawa, 920-0934, Japan
SOURCE: Chemical & Pharmaceutical Bulletin (2002), 50(1), 92-99
CODEN: CPBTAL; ISSN: 0009-2363
PUBLISHER: Pharmaceutical Society of Japan
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 136:263284
GI



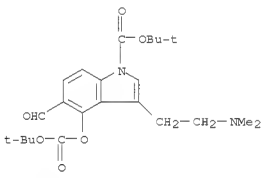
I

AB Psilocin (I) analogs having either a formyl group or a bromine atom at the 5- or 7-position have been prepared for the first time. Syntheses of 5- and 7-bromo derivs. of 4-hydroxy- and 4-benzyloxyindole-3-carbaldehyde, 4-benzyloxyindole-3-acetonitriles, and 4-benzyloxy-N,N-dimethyltryptamine have also been established.

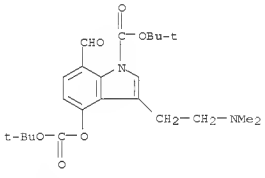
IT 404888-10-4P 404888-11-5P 404888-12-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of psilocin analogs having either a formyl group or bromine atom at the 5- or 7-position)

RN 404888-10-4 CAPLUS
CN 1H-Indole-1-carboxylic acid, 3-[2-(dimethylamino)ethyl]-4-(phenylmethoxy)-, 1,1-dimethylethyl ester (CA INDEX NAME)

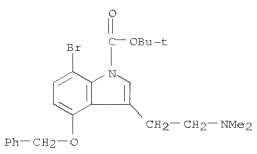
L4 ANSWER 70 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
CN 1H-Indole-1-carboxylic acid, 3-[2-(dimethylamino)ethyl]-4-[[(1,1-dimethylethoxy)carbonyl]oxy]-5-formyl-, 1,1-dimethylethyl ester (CA INDEX NAME)



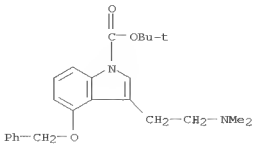
RN 404887-85-0 CAPLUS
CN 1H-Indole-1-carboxylic acid, 3-[2-(dimethylamino)ethyl]-4-[[(1,1-dimethylethoxy)carbonyl]oxy]-7-formyl-, 1,1-dimethylethyl ester (CA INDEX NAME)



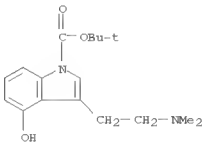
RN 404888-08-0 CAPLUS
CN 1H-Indole-1-carboxylic acid, 7-bromo-3-[2-(dimethylamino)ethyl]-4-(phenylmethoxy)-, 1,1-dimethylethyl ester (CA INDEX NAME)



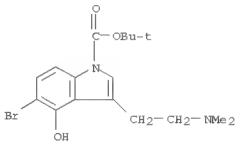
L4 ANSWER 70 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 404888-11-5 CAPLUS
CN 1H-Indole-1-carboxylic acid, 3-[2-(dimethylamino)ethyl]-4-hydroxy-, 1,1-dimethylethyl ester (CA INDEX NAME)



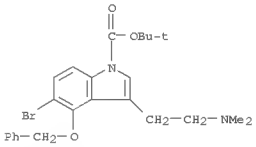
RN 404888-12-6 CAPLUS
CN 1H-Indole-1-carboxylic acid, 5-bromo-3-[2-(dimethylamino)ethyl]-4-hydroxy-, 1,1-dimethylethyl ester (CA INDEX NAME)



IT 404887-84-9P 404887-85-0P 404888-08-0P 404888-09-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of psilocin analogs having either a formyl group or bromine atom at the 5- or 7-position)

RN 404887-84-9 CAPLUS

L4 ANSWER 70 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
RN 404888-09-1 CAPLUS
CN 1H-Indole-1-carboxylic acid, 5-bromo-3-[2-(dimethylamino)ethyl]-4-(phenylmethoxy)-, 1,1-dimethylethyl ester (CA INDEX NAME)



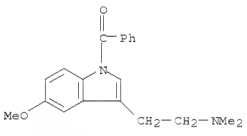
REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 71 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2001:731863 CAPLUS
DOCUMENT NUMBER: 136:31298
TITLE: N-Arylsulfonylindole derivatives as serotonin 5-HT6 receptor ligands
AUTHOR(S): Russell, Michael G. N.; Baker, Robert J.; Barden, Laura; Beer, Margaret S.; Bristow, Linda; Broughton, Howard B.; Knowles, Michael; McAllister, George; Patel, Smita; Castro, Jose L.
CORPORATE SOURCE: Neuroscience Research Centre, Merck Sharp & Dohme Research Laboratories, Harlow Essex, CM20 2QR, UK
SOURCE: Journal of Medicinal Chemistry (2001), 44(23), 3881-3895
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

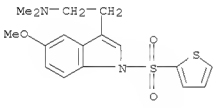
AB A series of N1-arylsulfonyltryptamines were found to be potent ligands of the human serotonin 5-HT6 receptor with the 5-methoxy-1-benzenesulfonyl analog (19) having the highest affinity. Addnl., it was discovered that a group such as 3-(3-methoxybenzyl)-1,2,4-oxadiazol-5-yl in the 2-position of the indole ring (43) can replace the arylsulfonyl substituent in the 1-position with no loss of affinity. This suggested that the binding conformation of the aminoethyl side chain at this receptor was toward the 4-position of the indole ring and was supported by the fact that the 4-(aminoethyl)indoles (45) also displayed high affinity, as did the conformationally rigid 1,3,4,5-tetrahydrobenz[c,d]indole (49). Mol. modeling showed that 19, 43, and 45 all had low-energy conformers that overlaid well onto 49. Both 19 and 49 had good selectivity over other serotonin receptors tested, with 49 also showing excellent selectivity over all dopamine receptors. In a functional adenylate cyclase stimulation assay, 19 and 49 had no agonist activity, whereas 45 behaved as a partial agonist. Finally, it was shown that 19 had good activity in the 5-HT2A centrally mediated mescaline-induced head twitch assay, which implies that it is brain-penetrant.

IT 263384-65-2P, N,N-Dimethyl-2-[1-(benzenesulfonyl)-5-methoxy-1H-indol-3-yl]ethylamine
RL: PAC (Pharmacological activity); PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(N-arylsulfonylindole derivs. as serotonin 5-HT6 receptor ligands)
RN 263384-65-2 CAPLUS
CN 1H-Indole-3-ethanamine, 5-methoxy-N,N-dimethyl-1-(phenylsulfonyl)- (CA INDEX NAME)

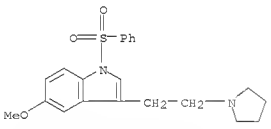
L4 ANSWER 71 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



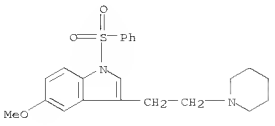
RN 297751-46-3 CAPLUS
CN 1H-Indole-3-ethanamine, 5-methoxy-N,N-dimethyl-1-(2-thienylsulfonyl)- (CA INDEX NAME)



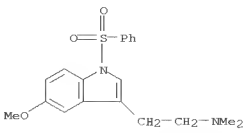
RN 297751-50-9 CAPLUS
CN 1H-Indole, 5-methoxy-1-(phenylsulfonyl)-3-[2-(1-pyrrolidinyl)ethyl]- (CA INDEX NAME)



RN 297751-54-3 CAPLUS
CN 1H-Indole, 5-methoxy-1-(phenylsulfonyl)-3-[2-(1-piperidinyl)ethyl]- (CA INDEX NAME)

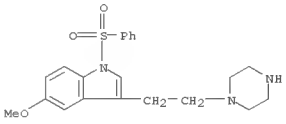


L4 ANSWER 71 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

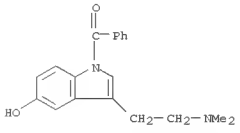


IT 297751-44-1P, N,N-Dimethyl-2-(1-benzoyl-5-methoxy-1H-indol-3-yl)ethylamine 297751-46-3P,
N,N-Dimethyl-2-[5-methoxy-1-(2-thiophenesulfonyl)-1H-indol-3-yl]ethylamine 297751-50-9P, 1-Benzenesulfonyl-5-methoxy-3-[(2-pyrrolidin-1-yl)ethyl]-1H-indole 297751-54-3P, 1-Benzenesulfonyl-5-methoxy-3-[(2-piperidin-1-yl)ethyl]-1H-indole 297751-56-5P, 1-Benzenesulfonyl-5-methoxy-3-[(2-piperazin-1-yl)ethyl]-1H-indole 297751-66-7P, [3-[2-(Dimethylamino)ethyl]-5-hydroxy-1H-indol-1-yl]phenylmethanone 297751-67-8P, [5-Benzyloxy-3-[2-(dimethylamino)ethyl]-1H-indol-1-yl]phenylmethanone 297751-68-9P 297751-69-0P 297751-70-3P, N,N-Dimethyl-2-(1-benzenesulfonyl-5-benzyloxy-1H-indol-3-yl)ethylamine 297751-72-5P, N,N-Dimethyl-2-(1-benzenesulfonyl-5-hydroxy-1H-indol-3-yl)ethylamine 297751-73-6P, N,N-Dimethyl-2-(1-benzenesulfonyl-5-cyano-1H-indol-3-yl)ethylamine 297751-82-7P, N,N-Dimethyl-2-[5-methoxy-1-(4-methoxybenzenesulfonyl)-1H-indol-3-yl]ethylamine 297751-83-8P, N,N-Dimethyl-2-[1-(2-chlorobenzenesulfonyl)-5-methoxy-1H-indol-3-yl]ethylamine 297751-85-0P, N,N-Dimethyl-2-[5-methoxy-1-(4-methylbenzenesulfonyl)-1H-indol-3-yl]ethylamine 297751-86-1P, N,N-Dimethyl-2-[1-(4-chlorobenzenesulfonyl)-5-methoxy-1H-indol-3-yl]ethylamine 297751-87-2P, N,N-Dimethyl-2-[1-(3-chlorobenzenesulfonyl)-5-methoxy-1H-indol-3-yl]ethylamine 297751-88-3P, N,N-Dimethyl-2-[5-methoxy-1-(2-naphthalenesulfonyl)-1H-indol-3-yl]ethylamine 380358-21-4P
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(N-arylsulfonylindole derivs. as serotonin 5-HT6 receptor ligands)
RN 297751-44-1 CAPLUS
CN Methanone, [3-[2-(dimethylamino)ethyl]-5-methoxy-1H-indol-1-yl]phenyl- (CA INDEX NAME)

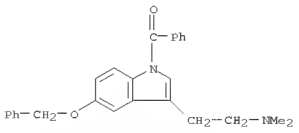
L4 ANSWER 71 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
RN 297751-56-5 CAPLUS
CN 1H-Indole, 5-methoxy-1-(phenylsulfonyl)-3-[2-(1-piperazinyl)ethyl]- (CA INDEX NAME)



RN 297751-66-7 CAPLUS
CN Methanone, [3-[2-(dimethylamino)ethyl]-5-hydroxy-1H-indol-1-yl]phenyl- (CA INDEX NAME)

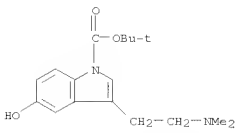


RN 297751-67-8 CAPLUS
CN Methanone, [3-[2-(dimethylamino)ethyl]-5-(phenylmethoxy)-1H-indol-1-yl]phenyl- (CA INDEX NAME)

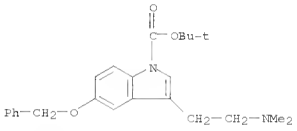


RN 297751-68-9 CAPLUS
CN 1H-Indole-1-carboxylic acid, 3-[2-(dimethylamino)ethyl]-5-hydroxy-, 1,1-dimethylethyl ester (CA INDEX NAME)

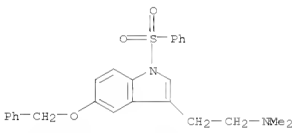
L4 ANSWER 71 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 297751-69-0 CAPLUS
CN 1H-Indole-1-carboxylic acid, 3-[2-(dimethylamino)ethyl]-5-(phenylmethoxy)-, 1,1-dimethylethyl ester (CA INDEX NAME)

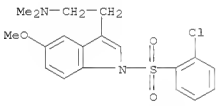


RN 297751-70-3 CAPLUS
CN 1H-Indole-3-ethanamine, N,N-dimethyl-5-(phenylmethoxy)-1-(phenylsulfonyl)- (CA INDEX NAME)

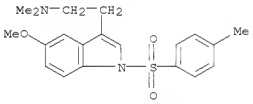


RN 297751-72-5 CAPLUS
CN 1H-Indol-5-ol, 3-[2-(dimethylamino)ethyl]-1-(phenylsulfonyl)- (CA INDEX NAME)

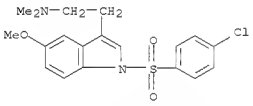
L4 ANSWER 71 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



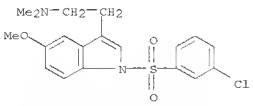
RN 297751-85-0 CAPLUS
CN 1H-Indole-3-ethanamine, 5-methoxy-N,N-dimethyl-1-[(4-methylphenyl)sulfonyl]- (CA INDEX NAME)



RN 297751-86-1 CAPLUS
CN 1H-Indole-3-ethanamine, 1-[(4-chlorophenyl)sulfonyl]-5-methoxy-N,N-dimethyl- (CA INDEX NAME)

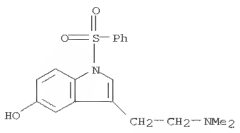


RN 297751-87-2 CAPLUS
CN 1H-Indole-3-ethanamine, 1-[(3-chlorophenyl)sulfonyl]-5-methoxy-N,N-dimethyl- (CA INDEX NAME)

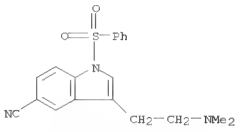


RN 297751-88-3 CAPLUS
CN 1H-Indole-3-ethanamine, 5-methoxy-N,N-dimethyl-1-(2-naphthalenylsulfonyl)- (CA INDEX NAME)

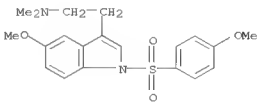
L4 ANSWER 71 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 297751-73-6 CAPLUS
CN 1H-Indole-5-carbonitrile, 3-[2-(dimethylamino)ethyl]-1-(phenylsulfonyl)- (CA INDEX NAME)

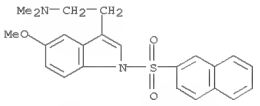


RN 297751-82-7 CAPLUS
CN 1H-Indole-3-ethanamine, 5-methoxy-1-[(4-methoxyphenyl)sulfonyl]-N,N-dimethyl- (CA INDEX NAME)

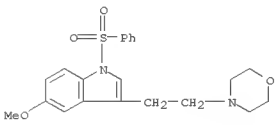


RN 297751-83-8 CAPLUS
CN 1H-Indole-3-ethanamine, 1-[(2-chlorophenyl)sulfonyl]-5-methoxy-N,N-dimethyl- (CA INDEX NAME)

L4 ANSWER 71 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

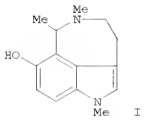


RN 380358-21-4 CAPLUS
CN 1H-Indole, 5-methoxy-3-[2-(4-morpholinyl)ethyl]-1-(phenylsulfonyl)- (CA INDEX NAME)



REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

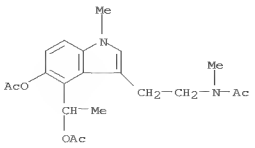
L4 ANSWER 72 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2001:658746 CAPLUS
DOCUMENT NUMBER: 135:371881
TITLE: The chemistry of indoles. CVII. A novel synthesis of 3,4,5,6-tetrahydro-7-hydroxy-1H-azepino[5,4,3-cd]indoles and a new finding on Pictet-Spengler reaction
AUTHOR(S): Somei, Masanori; Teranishi, Sakiko; Yamada, Koji; Yamada, Fumio
CORPORATE SOURCE: Faculty of Pharmaceutical Sciences, Kanazawa University, Kanazawa, 920-0934, Japan
SOURCE: Chemical & Pharmaceutical Bulletin (2001), 49(9), 1159-1165
CODEN: CPBTAL; ISSN: 0009-2363
PUBLISHER: Pharmaceutical Society of Japan
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 135:371881
GI



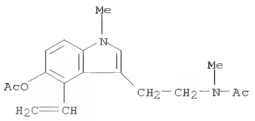
I

AB Serotonins were found to produce 3,4,5,6-tetrahydro-7-hydroxy-1H-azepino[5,4,3-cd]indoles, e.g. I, by simple heating with amines under an oxygen atmospheric Serotonins also reacted with various aldehydes to provide 3,4,5,6-tetrahydro-7-hydroxy-1H-azepino[5,4,3-cd]indoles rather than β-carbolines under basic conditions. In these novel reactions, the presence of the 5-hydroxy group on the indole nucleus was suggested to be essential. Possible mechanisms are discussed.
IT 374680-28-1P 374680-29-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of 3,4,5,6-tetrahydro-7-hydroxy-1H-azepino[5,4,3-cd]indoles and a new finding on Pictet-Spengler reaction)
RN 374680-28-1 CAPLUS
CN Acetamide, N-[2-[5-(acetyloxy)-4-[1-(acetyloxy)ethyl]-1-methyl-1H-indol-3-yl]ethyl]-N-methyl- (CA INDEX NAME)

L4 ANSWER 72 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 374680-29-2 CAPLUS
CN Acetamide, N-[2-[5-(acetyloxy)-4-ethenyl-1-methyl-1H-indol-3-yl]ethyl]-N-methyl- (CA INDEX NAME)



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 73 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2001:453019 CAPLUS
DOCUMENT NUMBER: 135:46106
TITLE: 4-Aminopiperidine derivatives, processes for their preparation, pharmaceutical compositions, and their use as medicines, specifically as somatostatin receptor ligands
INVENTOR(S): Thurieau, Christophe; Gonzalez, Jerome; Moinet, Christophe
PATENT ASSIGNEE(S): Societe de Conseils de Recherches et d'Applications Scientifiques (S.C.R.A.S.), Fr.
SOURCE: PCT Int. Appl., 193 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001044191	A1	20010621	WO 2000-FR3497	20001213
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
FR 2802206	A1	20010615	FR 1999-15724	19991214
FR 2802206	B1	20050422		
CA 2394086	A1	20010621	CA 2000-2394086	20001213
EP 1286966	A1	20030305	EP 2000-993405	20001213
EP 1286966	B1	20090716		
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HU 2002004515	A2	20030428	HU 2002-4515	20001213
HU 2002004515	A3	20050428		
JP 2003516965	T	20030520	JP 2001-544681	20001213
NZ 520071	A	20030630	NZ 2000-520071	20001213
AU 779341	B2	20050120	AU 2001-28560	20001213
CN 1207283	C	20050622	CN 2000-817177	20001213
RU 2266282	C2	20051220	RU 2002-118705	20001213
AT 401308	T	20080815	AT 2000-993405	20001213
ES 2310529	T3	20090116	ES 2000-993405	20001213
US 20040006089	A1	20040108	US 2002-130924	20020523
US 7115634	B2	20061003		
US 20050239796	A1	20051027	US 2005-122293	20050504
US 7393861	B2	20080701		
KR 2007014235	A	20070131	KR 2007-701118	20070116
PRIORITY APPLN. INFO.:			FR 1999-15724 A	19991214
			WO 2000-FR3497 W	20001213
			US 2002-130924 A3	20020523
			KR 2002-707506 A3	20020612

L4 ANSWER 73 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
OTHER SOURCE(S): MARPAT 135:46106
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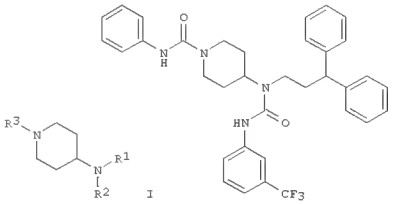
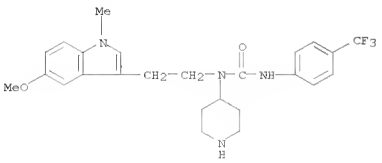


I II

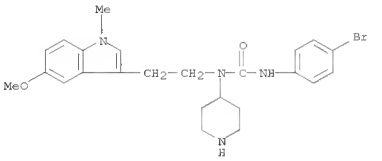
AB The invention concerns novel 4-aminopiperidine derivs. I [R1 = alkyl, alkenyl, alkynyl, (CH2)mYZ1, (CH2)mZ2, 1-benzylpiperidin-4-yl, 2-naphthylcarbamoyl, 4-benzylpiperazin-1-yl, 2-acetamidoethyl; Z1 = alkyl or (un)substituted aryl; Z2 = cyano, cyclohexenyl, bis-Ph, cycloalkyl, (un)substituted heterocycloalkyl, aryl, heteroaryl, etc.; R2 = C(Y)NHX1, C(O)X2, SO2X3; R3 = H, (un)substituted alkyl, alkenyl, alkynyl, aralkyl, C(Y)NHX1, (CH2)nC(O)X2, SO2X3, etc.; X1 = alkyl, alkenyl, alkynyl, aryl, aralkyl, etc.; X2 = wide variety of groups; X3 = alkyl, alkenyl, phenylalkenyl, CF3, (un)substituted (hetero)aryl or -aralkyl; Y = O, S; n = 0-4; m = 1-6]. Also disclosed are methods for their preparation by parallel synthesis processes in liquid and solid phase. I have good affinity for certain sub-types of somatostatin receptors, and are particularly useful for treating pathol. conditions or diseases wherein one more somatostatin receptor sub-types are involved. Claims specifically mention acromegaly, pituitary adenoma, or endocrine gastroenteropanceatic tumors in carcinoid syndrome. A table of 778 compds. I is given, and several syntheses are described in detail. For instance, N-BOC-4-piperidone underwent reductive amination with 3,3-diphenylpropylamine and NaBH(OAc)3, followed by reaction with 3-trifluoromethylphenyl isocyanate, removal of the BOC group with CF3CO2H, and reaction with Ph isocyanate, to give title compound II. Some compds. I had sub-micromolar Ki for at least one of five tested somatostatin receptor subtypes (no data).
IT 344787-54-8P 344787-55-9P 344787-56-0P
344787-57-1P 344787-58-2P 344787-59-3P
344787-60-6P 344787-61-7P 344787-62-8P
344787-80-0P 344787-81-1P 344787-82-2P
344787-83-3P 344788-93-8P 344788-97-2P
344788-98-3P 344788-99-4P 344789-00-0P
344789-17-9P 344789-18-0P 344789-19-1P
344789-20-4P 344789-22-6P 344789-23-7P
344789-25-9P 344789-26-0P 344789-27-1P
344789-32-8P 344789-33-9P 344789-34-0P
344789-35-1P 344789-51-1P 344789-52-2P
344789-53-3P 344789-54-4P 344789-55-5P

L4 ANSWER 73 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
344789-64-6P 344789-65-7P 344789-66-8P
344789-67-9P 344789-68-0P 344789-69-1P
344789-70-4P 344789-89-5P 344789-90-8P
344789-91-9P 344789-92-0P 344789-93-1P
344789-94-2P 344789-95-3P 344789-96-4P
344789-97-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; prepn. of aminopiperidine derivs. as somatostatin
receptor ligands)

RN 344787-54-8 CAPLUS
CN Urea,
N-[2-(5-methoxy-1-methyl-1H-indol-3-yl)ethyl]-N-4-piperidinyl-N'-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)

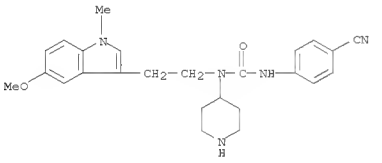


RN 344787-55-9 CAPLUS
CN Urea,
N'-(4-bromophenyl)-N-[2-(5-methoxy-1-methyl-1H-indol-3-yl)ethyl]-N-4-piperidinyl- (CA INDEX NAME)

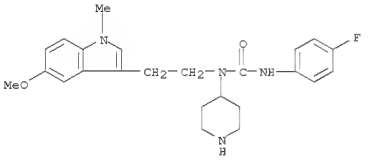


RN 344787-56-0 CAPLUS
CN Urea,
N'-(4-chlorophenyl)-N-[2-(5-methoxy-1-methyl-1H-indol-3-yl)ethyl]-N-4-piperidinyl- (CA INDEX NAME)

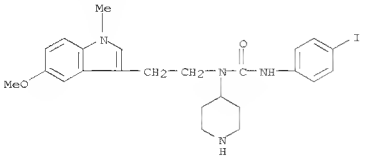
L4 ANSWER 73 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
piperidinyl- (CA INDEX NAME)



RN 344787-60-6 CAPLUS
CN Urea,
N'-(4-fluorophenyl)-N-[2-(5-methoxy-1-methyl-1H-indol-3-yl)ethyl]-N-4-piperidinyl- (CA INDEX NAME)

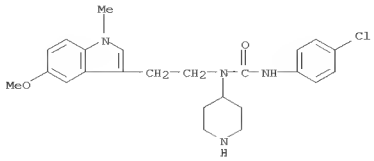


RN 344787-61-7 CAPLUS
CN Urea,
N'-(4-iodophenyl)-N-[2-(5-methoxy-1-methyl-1H-indol-3-yl)ethyl]-N-4-piperidinyl- (CA INDEX NAME)

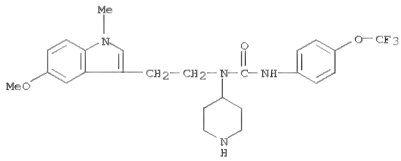


RN 344787-62-8 CAPLUS
CN Urea, N'-[1,1'-biphenyl]-4-yl-N-[2-(5-methoxy-1-methyl-1H-indol-3-yl)ethyl]-N-4-piperidinyl- (CA INDEX NAME)

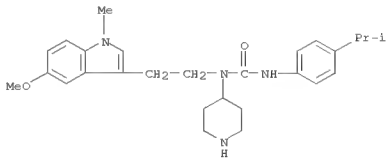
L4 ANSWER 73 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 344787-57-1 CAPLUS
CN Urea,
N-[2-(5-methoxy-1-methyl-1H-indol-3-yl)ethyl]-N-4-piperidinyl-N'-[4-(trifluoromethoxy)phenyl]- (CA INDEX NAME)

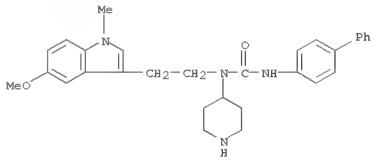


RN 344787-58-2 CAPLUS
CN Urea, N-[2-(5-methoxy-1-methyl-1H-indol-3-yl)ethyl]-N'-[4-(1-methylethyl)phenyl]-N-4-piperidinyl- (CA INDEX NAME)

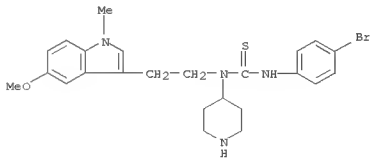


RN 344787-59-3 CAPLUS
CN Urea,
N'-(4-cyanophenyl)-N-[2-(5-methoxy-1-methyl-1H-indol-3-yl)ethyl]-N-4-

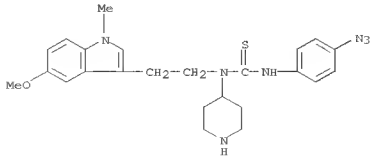
L4 ANSWER 73 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 344787-80-0 CAPLUS
CN Thiourea,
N'-(4-bromophenyl)-N-[2-(5-methoxy-1-methyl-1H-indol-3-yl)ethyl]-N-4-piperidinyl- (CA INDEX NAME)

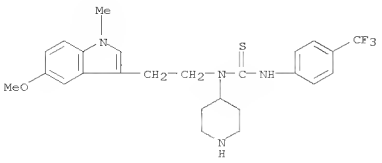


RN 344787-81-1 CAPLUS
CN Thiourea,
N'-(4-azidophenyl)-N-[2-(5-methoxy-1-methyl-1H-indol-3-yl)ethyl]-N-4-piperidinyl- (CA INDEX NAME)

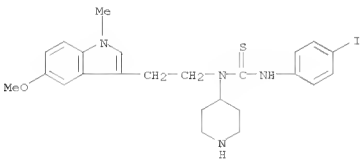


RN 344787-82-2 CAPLUS
CN Thiourea,
N-[2-(5-methoxy-1-methyl-1H-indol-3-yl)ethyl]-N-4-piperidinyl-N'-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)

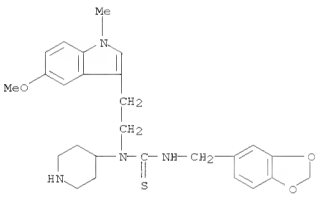
L4 ANSWER 73 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 344787-83-3 CAPLUS
CN Thiourea, N'-(4-iodophenyl)-N-[2-(5-methoxy-1-methyl-1H-indol-3-yl)ethyl]-N-4-piperidinyl- (CA INDEX NAME)



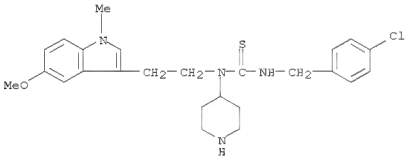
RN 344788-93-8 CAPLUS
CN Thiourea, N'-(1,3-benzodioxol-5-ylmethyl)-N-[2-(5-methoxy-1-methyl-1H-indol-3-yl)ethyl]-N-4-piperidinyl- (CA INDEX NAME)



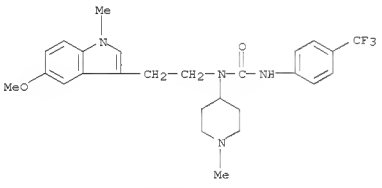
RN 344788-97-2 CAPLUS

L4 ANSWER 73 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

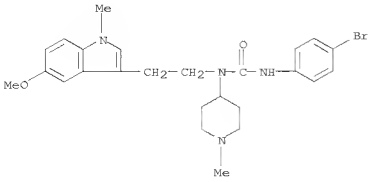
RN 344789-00-0 CAPLUS
CN Thiourea, N'-[(4-chlorophenyl)methyl]-N-[2-(5-methoxy-1-methyl-1H-indol-3-yl)ethyl]-N-4-piperidinyl- (CA INDEX NAME)



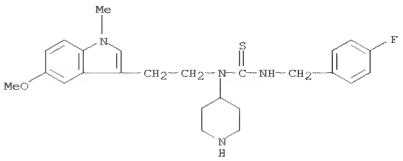
RN 344789-17-9 CAPLUS
CN Urea, N-[2-(5-methoxy-1-methyl-1H-indol-3-yl)ethyl]-N-(1-methyl-4-piperidinyl)-N'-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)



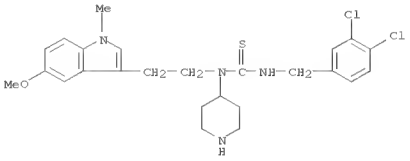
RN 344789-18-0 CAPLUS
CN Urea, N'-(4-bromophenyl)-N-[2-(5-methoxy-1-methyl-1H-indol-3-yl)ethyl]-N-(1-methyl-4-piperidinyl)- (CA INDEX NAME)



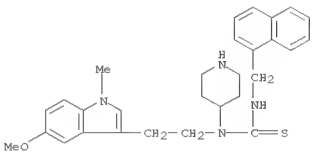
L4 ANSWER 73 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
CN Thiourea, N'-[(4-fluorophenyl)methyl]-N-[2-(5-methoxy-1-methyl-1H-indol-3-yl)ethyl]-N-4-piperidinyl- (CA INDEX NAME)



RN 344788-98-3 CAPLUS
CN Thiourea, N'-[(3,4-dichlorophenyl)methyl]-N-[2-(5-methoxy-1-methyl-1H-indol-3-yl)ethyl]-N-4-piperidinyl- (CA INDEX NAME)

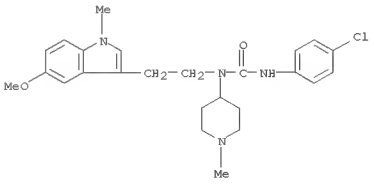


RN 344788-99-4 CAPLUS
CN Thiourea, N-[2-(5-methoxy-1-methyl-1H-indol-3-yl)ethyl]-N'-(1-naphthalenylmethyl)-N-4-piperidinyl- (CA INDEX NAME)

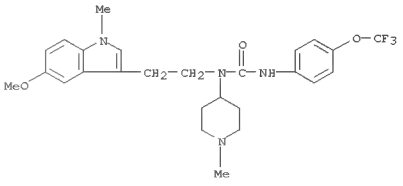


L4 ANSWER 73 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

RN 344789-19-1 CAPLUS
CN Urea, N'-(4-chlorophenyl)-N-[2-(5-methoxy-1-methyl-1H-indol-3-yl)ethyl]-N-(1-methyl-4-piperidinyl)- (CA INDEX NAME)

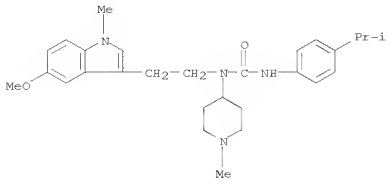


RN 344789-20-4 CAPLUS
CN Urea, N-[2-(5-methoxy-1-methyl-1H-indol-3-yl)ethyl]-N-(1-methyl-4-piperidinyl)-N'-[4-(trifluoromethoxy)phenyl]- (CA INDEX NAME)

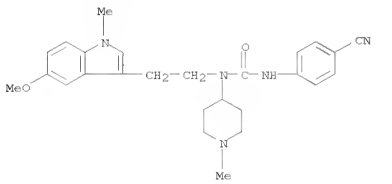


RN 344789-22-6 CAPLUS
CN Urea, N-[2-(5-methoxy-1-methyl-1H-indol-3-yl)ethyl]-N'-[4-(1-methylethyl)phenyl]-N-(1-methyl-4-piperidinyl)- (CA INDEX NAME)

L4 ANSWER 73 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

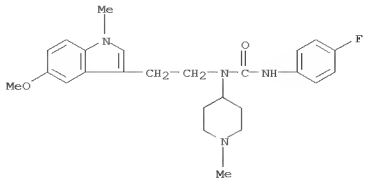


RN 344789-23-7 CAPLUS
CN Urea, N'-(4-cyanophenyl)-N-[2-(5-methoxy-1-methyl-1H-indol-3-yl)ethyl]-N-(1-methyl-4-piperidinyl)- (CA INDEX NAME)

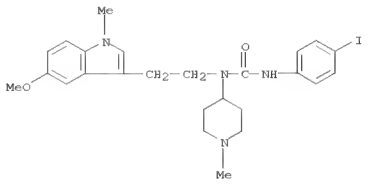


RN 344789-25-9 CAPLUS
CN Urea,
N'-(4-fluorophenyl)-N-[2-(5-methoxy-1-methyl-1H-indol-3-yl)ethyl]-N-(1-methyl-4-piperidinyl)- (CA INDEX NAME)

L4 ANSWER 73 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

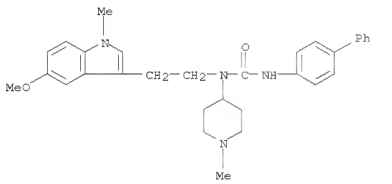


RN 344789-26-0 CAPLUS
CN Urea,
N'-(4-iodophenyl)-N-[2-(5-methoxy-1-methyl-1H-indol-3-yl)ethyl]-N-(1-methyl-4-piperidinyl)- (CA INDEX NAME)

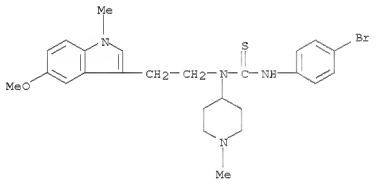


RN 344789-27-1 CAPLUS
CN Urea, N'-[1,1'-biphenyl]-4-yl-N-[2-(5-methoxy-1-methyl-1H-indol-3-yl)ethyl]-N-(1-methyl-4-piperidinyl)- (CA INDEX NAME)

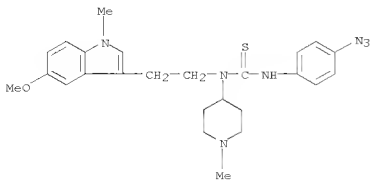
L4 ANSWER 73 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 344789-32-8 CAPLUS
CN Thiourea,
N'-(4-bromophenyl)-N-[2-(5-methoxy-1-methyl-1H-indol-3-yl)ethyl]-N-(1-methyl-4-piperidinyl)- (CA INDEX NAME)

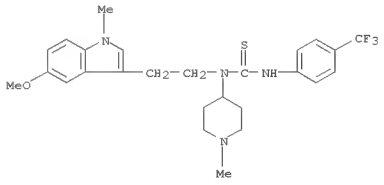


RN 344789-33-9 CAPLUS
CN Thiourea,
N'-(4-azidophenyl)-N-[2-(5-methoxy-1-methyl-1H-indol-3-yl)ethyl]-N-(1-methyl-4-piperidinyl)- (CA INDEX NAME)

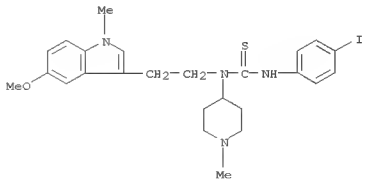


L4 ANSWER 73 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

RN 344789-34-0 CAPLUS
CN Thiourea, N-[2-(5-methoxy-1-methyl-1H-indol-3-yl)ethyl]-N-(1-methyl-4-piperidinyl)-N'-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)

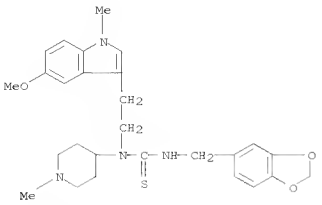


RN 344789-35-1 CAPLUS
CN Thiourea,
N'-(4-iodophenyl)-N-[2-(5-methoxy-1-methyl-1H-indol-3-yl)ethyl]-N-(1-methyl-4-piperidinyl)- (CA INDEX NAME)

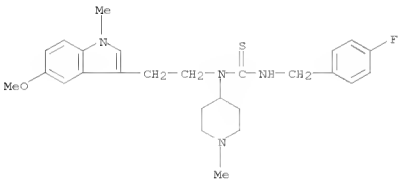


RN 344789-51-1 CAPLUS
CN Thiourea, N'-(1,3-benzodioxol-5-ylmethyl)-N-[2-(5-methoxy-1-methyl-1H-indol-3-yl)ethyl]-N-(1-methyl-4-piperidinyl)- (CA INDEX NAME)

L4 ANSWER 73 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

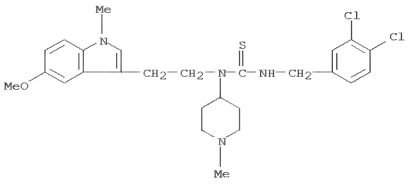


RN 344789-52-2 CAPLUS
CN Thiourea,
N'-[(4-fluorophenyl)methyl]-N-[2-(5-methoxy-1-methyl-1H-indol-3-yl)ethyl]-N-(1-methyl-4-piperidinyl)- (CA INDEX NAME)

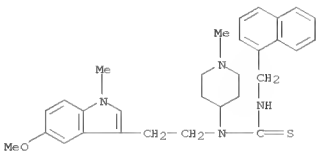


RN 344789-53-3 CAPLUS
CN Thiourea, N'-[(3,4-dichlorophenyl)methyl]-N-[2-(5-methoxy-1-methyl-1H-indol-3-yl)ethyl]-N-(1-methyl-4-piperidinyl)- (CA INDEX NAME)

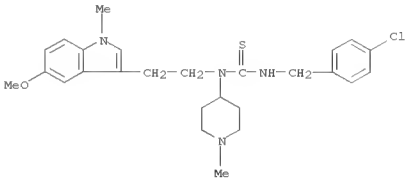
L4 ANSWER 73 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 344789-54-4 CAPLUS
CN Thiourea, N-[2-(5-methoxy-1-methyl-1H-indol-3-yl)ethyl]-N-(1-methyl-4-piperidinyl)-N'-(1-naphthalenylmethyl)- (CA INDEX NAME)

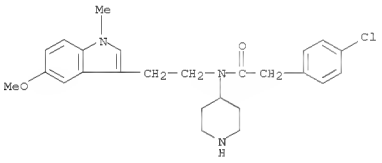


RN 344789-55-5 CAPLUS
CN Thiourea,
N'-[(4-chlorophenyl)methyl]-N-[2-(5-methoxy-1-methyl-1H-indol-3-yl)ethyl]-N-(1-methyl-4-piperidinyl)- (CA INDEX NAME)

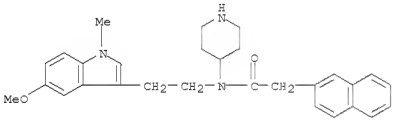


L4 ANSWER 73 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

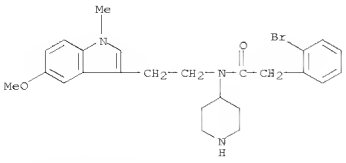
RN 344789-64-6 CAPLUS
CN Benzeneacetamide,
4-chloro-N-[2-(5-methoxy-1-methyl-1H-indol-3-yl)ethyl]-N-4-piperidinyl- (CA INDEX NAME)



RN 344789-65-7 CAPLUS
CN 2-Naphthaleneacetamide,
N-[2-(5-methoxy-1-methyl-1H-indol-3-yl)ethyl]-N-4-piperidinyl- (CA INDEX NAME)

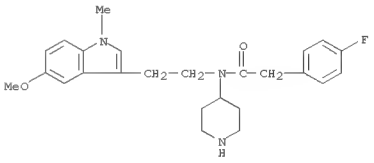


RN 344789-66-8 CAPLUS
CN Benzeneacetamide,
2-bromo-N-[2-(5-methoxy-1-methyl-1H-indol-3-yl)ethyl]-N-4-piperidinyl- (CA INDEX NAME)

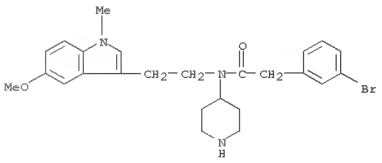


RN 344789-67-9 CAPLUS
CN Benzeneacetamide,
4-fluoro-N-[2-(5-methoxy-1-methyl-1H-indol-3-yl)ethyl]-N-

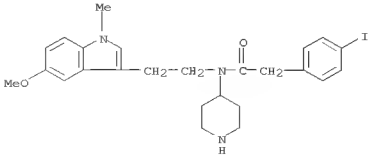
L4 ANSWER 73 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
4-piperidinyl- (CA INDEX NAME)



RN 344789-68-0 CAPLUS
CN Benzeneacetamide,
3-bromo-N-[2-(5-methoxy-1-methyl-1H-indol-3-yl)ethyl]-N-4-piperidinyl- (CA INDEX NAME)

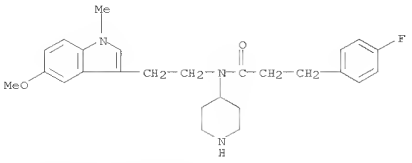


RN 344789-69-1 CAPLUS
CN Benzeneacetamide,
4-iodo-N-[2-(5-methoxy-1-methyl-1H-indol-3-yl)ethyl]-N-4-piperidinyl- (CA INDEX NAME)

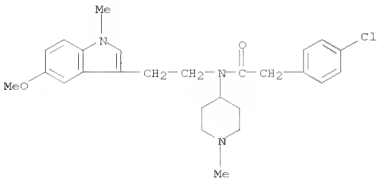


RN 344789-70-4 CAPLUS
CN Benzenepropanamide,
4-fluoro-N-[2-(5-methoxy-1-methyl-1H-indol-3-yl)ethyl]-N-4-piperidinyl- (CA INDEX NAME)

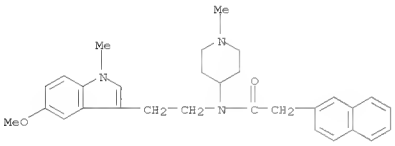
L4 ANSWER 73 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



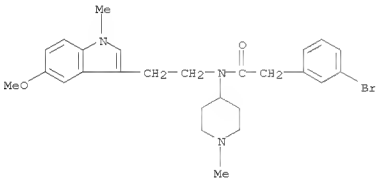
RN 344789-89-5 CAPLUS
CN Benzeneacetamide,
4-chloro-N-[2-(5-methoxy-1-methyl-1H-indol-3-yl)ethyl]-N-(1-methyl-4-piperidinyl)- (CA INDEX NAME)



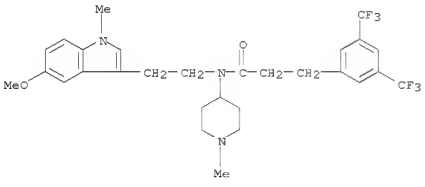
RN 344789-90-8 CAPLUS
CN 2-Naphthaleneacetamide,
N-[2-(5-methoxy-1-methyl-1H-indol-3-yl)ethyl]-N-(1-methyl-4-piperidinyl)- (CA INDEX NAME)



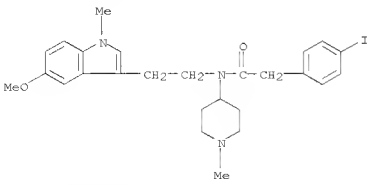
L4 ANSWER 73 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 344789-94-2 CAPLUS
CN Benzenepropanamide, N-[2-(5-methoxy-1-methyl-1H-indol-3-yl)ethyl]-N-(1-methyl-4-piperidinyl)-3,5-bis(trifluoromethyl)- (CA INDEX NAME)

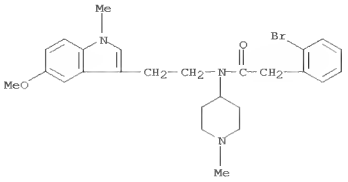


RN 344789-95-3 CAPLUS
CN Benzeneacetamide, 4-iodo-N-[2-(5-methoxy-1-methyl-1H-indol-3-yl)ethyl]-N-(1-methyl-4-piperidinyl)- (CA INDEX NAME)

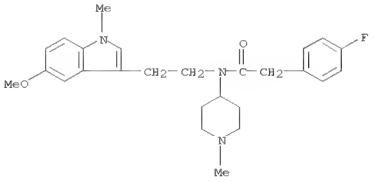


RN 344789-96-4 CAPLUS
CN Benzenepropanamide,
4-fluoro-N-[2-(5-methoxy-1-methyl-1H-indol-3-yl)ethyl]-

L4 ANSWER 73 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
RN 344789-91-9 CAPLUS
CN Benzeneacetamide,
2-bromo-N-[2-(5-methoxy-1-methyl-1H-indol-3-yl)ethyl]-N-(1-methyl-4-piperidinyl)- (CA INDEX NAME)

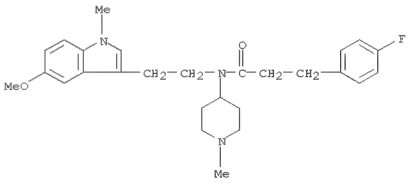


RN 344789-92-0 CAPLUS
CN Benzeneacetamide,
4-fluoro-N-[2-(5-methoxy-1-methyl-1H-indol-3-yl)ethyl]-N-(1-methyl-4-piperidinyl)- (CA INDEX NAME)

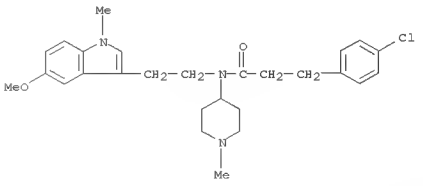


RN 344789-93-1 CAPLUS
CN Benzeneacetamide,
3-bromo-N-[2-(5-methoxy-1-methyl-1H-indol-3-yl)ethyl]-N-(1-methyl-4-piperidinyl)- (CA INDEX NAME)

L4 ANSWER 73 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
N-(1-methyl-4-piperidinyl)- (CA INDEX NAME)

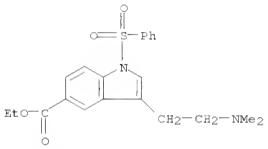


RN 344789-97-5 CAPLUS
CN Benzenepropanamide,
4-chloro-N-[2-(5-methoxy-1-methyl-1H-indol-3-yl)ethyl]-N-(1-methyl-4-piperidinyl)- (CA INDEX NAME)



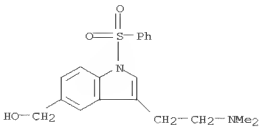
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 74 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2001:83714 CAPLUS
DOCUMENT NUMBER: 134:311061
TITLE: Synthesis of 5-(sulfamoylmethyl)indoles
AUTHOR(S): Bosch, J.; Roca, T.; Armengol, M.; Fernandez-Forner, D.
CORPORATE SOURCE: Laboratory of Organic Chemistry, Faculty of Pharmacy, University of Barcelona, Barcelona, 08028, Spain
SOURCE: Tetrahedron (2001), 57(6), 1041-1048
CODEN: TETRAB; ISSN: 0040-4020
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 134:311061
AB The synthesis of 5-(sulfamoylmethyl)indoles bearing a two-carbon chain at C-3 (aminoethyl, acetate, hydroxyethyl, ethyl) either by the Grandberg modification of the Fischer indolization or by intramol. Heck reaction of suitable o-halotrifluoroacetanilides is reported.
IT 334981-33-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 5-(sulfamoylmethyl)indoles)
RN 334981-33-8 CAPLUS
CN 1H-Indole-5-carboxylic acid, 3-[2-(dimethylamino)ethyl]-1-(phenylsulfonyl)-, ethyl ester (CA INDEX NAME)



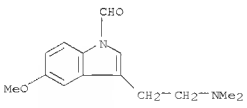
IT 334981-09-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of 5-(sulfamoylmethyl)indoles)
RN 334981-09-8 CAPLUS
CN 1H-Indole-5-methanol, 3-[2-(dimethylamino)ethyl]-1-(phenylsulfonyl)- (CA INDEX NAME)

L4 ANSWER 74 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



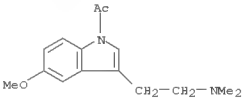
REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 75 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2001:48263 CAPLUS
DOCUMENT NUMBER: 134:222891
TITLE: The chemistry of indoles. CIII. Simple syntheses of serotonin, N-methylserotonin, bufotenine, 5-methoxy-N-methyltryptamine, bufobutanoic acid, N-(indol-3-yl)methyl-5-methoxy-N-methyltryptamine, and lespedamine based on 1-hydroxyindole chemistry
AUTHOR(S): Somei, Masanori; Yamada, Fumio; Kurauchi, Takashi; Nagahama, Yoshiyuki; Hasegawa, Masakazu; Yamada, Koji; Teranishi, Sakiko; Sato, Haruhiko; Kaneko, Chikara
CORPORATE SOURCE: Faculty of Pharmaceutical Sciences, Kanazawa University, Kanazawa, 920-0934, Japan
SOURCE: Chemical & Pharmaceutical Bulletin (2001), 49(1), 87-96
CODEN: CPBTAL; ISSN: 0009-2363
PUBLISHER: Pharmaceutical Society of Japan
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 134:222891
AB Application of regioselective nucleophilic substitution reactions of 1-hydroxytryptamines to novel and simple syntheses of serotonin, N-methylserotonin, bufotenine, 5-methoxy-N-methyltryptamine, bufobutanoic acid, N-(indol-3-yl)methyl-5-methoxy-N-methyltryptamine, and lespedamine are described. Effective syntheses of 5-benzyloxytryptamine and 1-methoxy-2-oxindoles are also reported.
IT 329763-96-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(syntheses of serotonin, N-methylserotonin, bufotenine, 5-methoxy-N-methyltryptamine, bufobutanoic acid, N-(indol-3-yl)methyl-5-methoxy-N-methyltryptamine, and lespedamine based on 1-hydroxyindole chemical)
RN 329763-96-4 CAPLUS
CN 1H-Indole-1-carboxaldehyde, 3-[2-(dimethylamino)ethyl]-5-methoxy- (CA INDEX NAME)



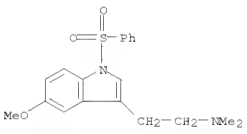
IT 39998-63-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(syntheses of serotonin, N-methylserotonin, bufotenine, 5-methoxy-N-methyltryptamine, bufobutanoic acid, N-(indol-3-yl)methyl-5-methoxy-N-methyltryptamine, and lespedamine based on 1-hydroxyindole chemical)
RN 39998-63-5 CAPLUS
CN Ethanone, 1-[3-[2-(dimethylamino)ethyl]-5-methoxy-1H-indol-1-yl]- (CA INDEX NAME)

L4 ANSWER 75 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



REFERENCE COUNT: 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 76 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2001:29944 CAPLUS
DOCUMENT NUMBER: 134:246863
TITLE: 5-HT6 serotonin receptor binding affinities of N1-benzenesulfonyl and related tryptamines
AUTHOR(S): Lee, Mase; Rangisetty, Jagadeesh B.; Dukat, Malgorzata; Slassi, Abdelmalik; Maclean, Neil; Lee, David K. H.; Glennon, Richard A.
CORPORATE SOURCE: Department of Medicinal Chemistry, School of Pharmacy, Virginia Commonwealth University, Richmond, VA, 23298-0540, USA
SOURCE: Medicinal Chemistry Research (2000), 10(4), 230-242
CODEN: MCREEB; ISSN: 1054-2523
PUBLISHER: Birkhaeuser Boston
DOCUMENT TYPE: Journal
LANGUAGE: English
AB N1-Benzenesulfonyl-5-methoxy-N,N-dimethyltryptamine (BS/5-OMe DMT, 2; Ki = 2.1 nM) binds at 5-HT6 receptors with enhanced affinity relative to 5-OMe DMT (Ki = 77 nM). The role of the benzenesulfonyl group was examined by replacing the sulfoxide portion with a methylene group or a carbonyl group, or by its complete elimination. Several different indole 2- and 5-positions substituents were also explored to a limited degree. Although the effect of N1 modifications are seemingly dependent upon other substituents present in the mol., the N1-benzenesulfonyl moiety is generally optimal with respect to affinity enhancement.
IT 263384-65-2
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(structure activity relations of 5-HT6 serotonin receptor binding affinities of N1-benzenesulfonyl and related tryptamines)
RN 263384-65-2 CAPLUS
CN 1H-Indole-3-ethanamine, 5-methoxy-N,N-dimethyl-1-(phenylsulfonyl)- (CA INDEX NAME)

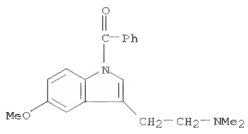


IT 297751-45-2P 297751-71-4P 330851-39-3P
330851-45-1P 330851-47-3P 330851-49-5P
330851-65-5P 330851-74-6P
RL: BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC

L4 ANSWER 76 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
(Process)
(structure activity relations of 5-HT6 serotonin receptor binding affinities of N1-benzenesulfonyl and related tryptamines)
RN 297751-45-2 CAPLUS
CN Methanone, [3-[2-(dimethylamino)ethyl]-5-methoxy-1H-indol-1-yl]phenyl-, ethanedioate (1:1) (CA INDEX NAME)

CM 1

CRN 297751-44-1
CMF C20 H22 N2 O2



CM 2

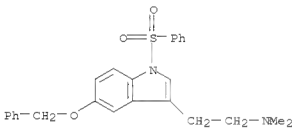
CRN 144-62-7
CMF C2 H2 O4

RN 297751-71-4 CAPLUS
CN 1H-Indole-3-ethanamine, N,N-dimethyl-5-(phenylmethoxy)-1-(phenylsulfonyl)-, ethanedioate (1:1) (CA INDEX NAME)

CM 1

CRN 297751-70-3
CMF C25 H26 N2 O3 S

L4 ANSWER 76 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



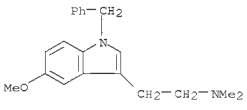
CM 2

CRN 144-62-7
CMF C2 H2 O4

RN 330851-39-3 CAPLUS
CN 1H-Indole-3-ethanamine, 5-methoxy-N,N-dimethyl-1-(phenylmethyl)-, ethanedioate (1:1) (CA INDEX NAME)

CM 1

CRN 330851-38-2
CMF C20 H24 N2 O



CM 2

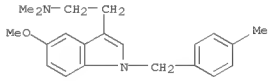
CRN 144-62-7
CMF C2 H2 O4

RN 330851-45-1 CAPLUS
CN 1H-Indole-3-ethanamine, 5-methoxy-N,N-dimethyl-1-[(4-methylphenyl)methyl]-

L4 ANSWER 76 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
, ethanedioate (1:1) (CA INDEX NAME)

CM 1

CRN 330851-44-0
CMF C21 H26 N2 O



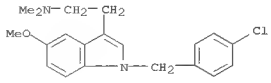
CM 2

CRN 144-62-7
CMF C2 H2 O4

RN 330851-47-3 CAPLUS
CN 1H-Indole-3-ethanamine, 1-[(4-chlorophenyl)methyl]-5-methoxy-N,N-dimethyl-, ethanedioate (1:1) (CA INDEX NAME)

CM 1

CRN 330851-46-2
CMF C20 H23 Cl N2 O



CM 2

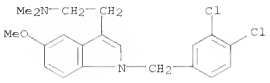
CRN 144-62-7
CMF C2 H2 O4

L4 ANSWER 76 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

RN 330851-49-5 CAPLUS
CN 1H-Indole-3-ethanamine, 1-[(3,4-dichlorophenyl)methyl]-5-methoxy-N,N-dimethyl-, ethanedioate (1:1) (CA INDEX NAME)

CM 1

CRN 330851-48-4
CMF C20 H22 Cl2 N2 O



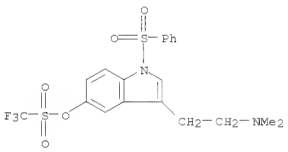
CM 2

CRN 144-62-7
CMF C2 H2 O4

RN 330851-65-5 CAPLUS
CN Methanesulfonic acid, 1,1,1-trifluoro-, 3-[2-(dimethylamino)ethyl]-1-(phenylsulfonyl)-1H-indol-5-yl ester, ethanedioate (1:1) (CA INDEX NAME)

CM 1

CRN 330851-64-4
CMF C19 H19 F3 N2 O5 S2



L4 ANSWER 76 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

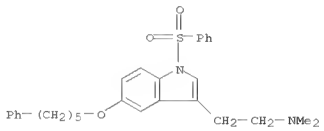
CM 2

CRN 144-62-7
CMF C2 H2 O4

RN 330851-74-6 CAPLUS
CN 1H-Indole-3-ethanamine, N,N-dimethyl-5-[(5-phenylpentyl)oxy]-1-(phenylsulfonyl)-, ethanedioate (1:1) (CA INDEX NAME)

CM 1

CRN 330851-73-5
CMF C29 H34 N2 O3 S



CM 2

CRN 144-62-7
CMF C2 H2 O4

REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

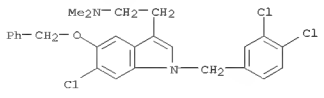
L4 ANSWER 77 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2000:900841 CAPLUS
DOCUMENT NUMBER: 134:37031
TITLE: FVIIA/TF activity inhibiting compounds
INVENTOR(S): Jakobsen, Palle; Persson, Egon
PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.
SOURCE: PCT Int. Appl., 25 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000077246	A2	20001221	WO 2000-DK316	20000613
WO 2000077246	A3	20010222		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1192270	A2	20020403	EP 2000-934951	20000613
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2003530819	T	20031021	JP 2001-503687	20000613
ES 2299430	T3	20080601	ES 2000-948537	20000629
US 6238878	B1	20010529	US 2000-616010	20000713
US 6444434	B1	20020903	US 2001-844828	20010427
US 20030073695	A1	20030417	US 2002-262826	20021002
PRIORITY APPLN. INFO.:			DK 1999-840	A 19990614
			US 1999-139714P	P 19990617
			DK 1999-910	A 19990625
			US 1999-141416P	P 19990629
			DK 1999-1241	A 19990903
			US 1999-152863P	P 19990908
			US 1999-141409P	P 19990629
			US 1999-141456P	P 19990629
			US 1999-141457P	P 19990629
			US 1999-141458P	P 19990629
			US 1999-141487P	P 19990629
			US 1999-141488P	P 19990629
			GB 1999-15597	A 19990702

L4 ANSWER 77 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

US 1999-142724P	P	19990708
US 1999-142725P	P	19990708
US 1999-395492	A	19990914
US 1999-395851	A	19990914
US 1999-399657	A	19990921
US 1999-399660	A	19990921
US 1999-399661	A	19990921
US 1999-399855	A	19990921
US 2000-577731	B1	20000523
WO 2000-DK316	W	20000613
US 2000-616010	A1	20000713

AB The invention relates to compds. inhibiting the activation of FX to FXa by TF/FVIIa. The compds. are anticoagulants. The invention also relates to a method of identifying a drug candidate.
IT 313236-60-1
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES
(Uses)
(FVIIA/TF activity inhibiting compds.)
RN 313236-60-1 CAPLUS
CN 1H-Indole-3-ethanamine, 6-chloro-1-[(3,4-dichlorophenyl)methyl]-N,N-dimethyl-5-(phenylmethoxy)- (CA INDEX NAME)

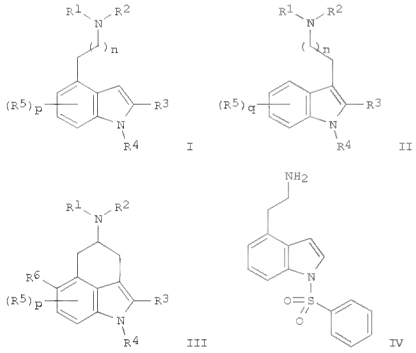


REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 78 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2000:738911 CAPLUS
DOCUMENT NUMBER: 133:266723
TITLE: Indole and indoline derivatives as 5-HT6 selective ligands
INVENTOR(S): Castro, Pineiro Jose Luis; McAllister, George; Russell, Michael Geoffrey
PATENT ASSIGNEE(S): Merck Sharp + Dohme Ltd., UK
SOURCE: Brit. UK Pat. Appl., 58 pp.
CODEN: BAXXDU
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

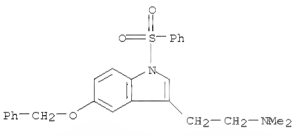
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 2341549	A	20000322	GB 1999-21054	19990907
US 6187805	B1	20010213	US 1999-392406	19990909
PRIORITY APPLN. INFO.:			GB 1998-20113 A	19980915

OTHER SOURCE(S): MARPAT 133:266723
GI

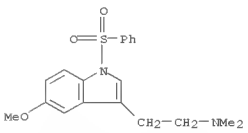


L4 ANSWER 78 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
AB Title compds. I, II, and III and their pharmaceutically acceptable salts and prodrugs are useful for manufacture of pharmaceutical comps. for treatment or prevention of conditions where selective agonism or antagonism of 5-HT6 receptors is indicated [wherein: n = 1-2; p = 0-3; q = 0-4; R1, R2 = H, alkyl, or arylalkyl; or NR1R2 = heterocycloalkyl; R3 = H, alkyl, alkenyl, alkynyl, arylalkyl, aryl, heteroaryl, arylcarbonyl, heteroarylcarbonyl, or alkylcarbonyl; R4 = arylsulfonyl, heteroarylsulfonyl, alkylsulfonyl, dialkylaminosulfonyl, arylcarbonyl, alkylcarbonyl, heteroarylcarbonyl, or alkoxycarbonyl; R5 = OH, alkoxy, arylalkoxy, nitrile, or halogen; R6 = H, OH, or alkoxy; AB = C:C or CHCH]. I, II, and III are selective ligands for 5-HT6 receptors, having a 5-HT6 receptor (rat or human) binding affinity (Ki), when measured in cell lines expressing cloned recombinant 5-HT6 receptors, of less than 1 μM, typically less than 100 nM, and in preferred embodiments less than 10 nM, and having a selective affinity for 5-HT6 receptors relative to 5-HT5 and/or 5-HT7 receptors of at least 3-fold, typically at least 10-fold, and in preferred embodiments at least 100-fold (no addnl. data). Uses of the compds. for treating a wide variety of CNS and neurol. disorders are claimed. Thirty synthetic examples are given. For instance, Me indole-4-carboxylate underwent a sequence of: (1) N-sulfonylation with PhSO2Cl (72%); (2) reduction of the ester to the benzylic alc. with DIBAL (63%); (3) oxidation of the alc. to the aldehyde with MnO2 (79%); (4) condensation of the aldehyde with MeNO2 to give a nitrovinyl compound (90%); and (5) reduction with Zn amalgam and HCl, to give title compound IV, isolated as the hydrogen oxalate.
IT 297751-70-3P, N,N-Dimethyl-2-(1-benzenesulfonyl-5-benzyloxy-1H-indol-3-yl)ethylamine
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; preparation of indole and indoline derivs. as 5-HT6 selective ligands)
RN 297751-70-3 CAPLUS
CN 1H-Indole-3-ethanamine, N,N-dimethyl-5-(phenylmethoxy)-1-(phenylsulfonyl)- (CA INDEX NAME)

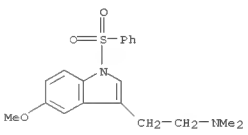
L4 ANSWER 78 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



IT 263384-65-2P, N,N-Dimethyl-2-[1-(benzenesulfonyl)-5-methoxy-1H-indol-3-yl]ethylamine 297751-37-2P, N,N-Dimethyl-2-[1-(benzenesulfonyl)-5-methoxy-1H-indol-3-yl]ethylamine hydrochloride 297751-38-3P, N,N-Dimethyl-2-[5-methoxy-1-(4-methylbenzenesulfonyl)-1H-indol-3-yl]ethylamine hydrochloride 297751-39-4P, N,N-Dimethyl-2-[1-(4-chlorobenzenesulfonyl)-5-methoxy-1H-indol-3-yl]ethylamine hydrochloride 297751-40-7P, N,N-Dimethyl-2-[1-(3-chlorobenzenesulfonyl)-5-methoxy-1H-indol-3-yl]ethylamine hydrochloride 297751-41-8P, N,N-Dimethyl-2-[5-methoxy-1-(2-naphthalenesulfonyl)-1H-indol-3-yl]ethylamine hydrochloride 297751-42-9P, N,N-Dimethyl-2-[5-methoxy-1-(4-methoxybenzenesulfonyl)-1H-indol-3-yl]ethylamine hydrochloride 297751-43-0P, N,N-Dimethyl-2-[1-(2-chlorobenzenesulfonyl)-5-methoxy-1H-indol-3-yl]ethylamine hydrochloride 297751-45-2P, N,N-Dimethyl-2-(1-benzoyl-5-methoxy-1H-indol-3-yl)ethylamine hydrogen oxalate 297751-47-4P, N,N-Dimethyl-2-[5-methoxy-1-(2-thiophenesulfonyl)-1H-indol-3-yl]ethylamine hydrogen oxalate 297751-50-9P, 1-Benzenesulfonyl-5-methoxy-3-[2-(pyrrolidin-1-yl)ethyl]-1H-indole 297751-51-0P, 1-Benzenesulfonyl-5-methoxy-3-[2-(pyrrolidin-1-yl)ethyl]-1H-indole hydrogen oxalate 297751-55-4P, 1-Benzenesulfonyl-5-methoxy-3-[2-(piperidin-1-yl)ethyl]-1H-indole hydrogen oxalate 297751-57-6P, 1-Benzenesulfonyl-5-methoxy-3-[2-(piperazin-1-yl)ethyl]-1H-indole hydrogen oxalate 297751-65-6P, N,N-Dimethyl-2-(5-methoxy-1-methylsulfonyl-1H-indol-3-yl)ethylamine hydrogen oxalate 297751-66-7P, [3-(2-Dimethylaminoethyl)-5-hydroxy-1H-indol-1-yl]phenylmethanone 297751-68-9P, 3-(2-Dimethylaminoethyl)-5-hydroxy-1H-indole-1-carboxylic acid tert-butyl ester 297751-71-4P, N,N-Dimethyl-2-(1-benzenesulfonyl-5-benzyloxy-1H-indol-3-yl)ethylamine hydrogen oxalate 297751-72-5P, N,N-Dimethyl-2-(1-benzenesulfonyl-5-hydroxy-1H-indol-3-yl)ethylamine 297751-73-6P, N,N-Dimethyl-2-(1-benzenesulfonyl-5-cyano-1H-indol-3-yl)ethylamine 297751-74-7P, N,N-Dimethyl-2-(1-benzenesulfonyl-5-cyano-1H-indol-3-yl)ethylamine hydrogen oxalate 297751-88-3P, N,N-Dimethyl-2-[5-methoxy-1-(2-naphthalenesulfonyl)-1H-indol-3-yl]ethylamine
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of indole and indoline derivs. as 5-HT6 selective ligands)
RN 263384-65-2 CAPLUS
CN 1H-Indole-3-ethanamine, 5-methoxy-N,N-dimethyl-1-(phenylsulfonyl)- (CA INDEX NAME)

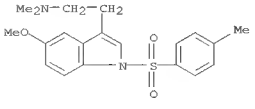


RN 297751-37-2 CAPLUS
CN 1H-Indole-3-ethanamine, 5-methoxy-N,N-dimethyl-1-(phenylsulfonyl)-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

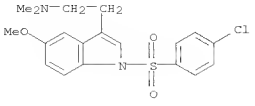
RN 297751-38-3 CAPLUS
CN 1H-Indole-3-ethanamine, 5-methoxy-N,N-dimethyl-1-[(4-methylphenyl)sulfonyl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

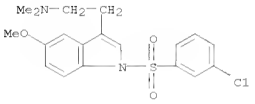
RN 297751-39-4 CAPLUS
CN 1H-Indole-3-ethanamine, 1-[(4-chlorophenyl)sulfonyl]-5-methoxy-N,N-dimethyl-, hydrochloride (1:1) (CA INDEX NAME)

L4 ANSWER 78 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



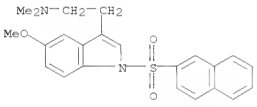
● HCl

RN 297751-40-7 CAPLUS
CN 1H-Indole-3-ethanamine, 1-[(3-chlorophenyl)sulfonyl]-5-methoxy-N,N-dimethyl-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 297751-41-8 CAPLUS
CN 1H-Indole-3-ethanamine, 5-methoxy-N,N-dimethyl-1-(2-naphthalenylsulfonyl)-, hydrochloride (1:1) (CA INDEX NAME)

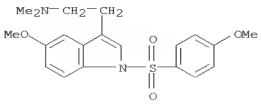


● HCl

RN 297751-42-9 CAPLUS
CN 1H-Indole-3-ethanamine, 5-methoxy-1-[(4-methoxyphenyl)sulfonyl]-N,N-

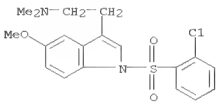
L4 ANSWER 78 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

dimethyl-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 297751-43-0 CAPLUS
CN 1H-Indole-3-ethanamine, 1-[(2-chlorophenyl)sulfonyl]-5-methoxy-N,N-dimethyl-, hydrochloride (1:1) (CA INDEX NAME)

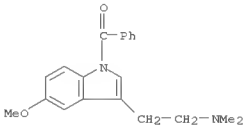


● HCl

RN 297751-45-2 CAPLUS
CN Methanone, [3-[2-(dimethylamino)ethyl]-5-methoxy-1H-indol-1-yl]phenyl-, ethanedioate (1:1) (CA INDEX NAME)

CM 1

CRN 297751-44-1
CMF C20 H22 N2 O2



L4 ANSWER 78 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

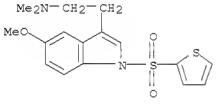
CM 2

CRN 144-62-7
CMF C2 H2 O4

RN 297751-47-4 CAPLUS
CN 1H-Indole-3-ethanamine, 5-methoxy-N,N-dimethyl-1-(2-thienylsulfonyl)-, ethanedioate (1:1) (CA INDEX NAME)

CM 1

CRN 297751-46-3
CMF C17 H20 N2 O3 S2

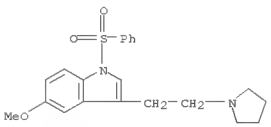


CM 2

CRN 144-62-7
CMF C2 H2 O4

RN 297751-50-9 CAPLUS
CN 1H-Indole, 5-methoxy-1-(phenylsulfonyl)-3-[2-(1-pyrrolidinyl)ethyl]- (CA INDEX NAME)

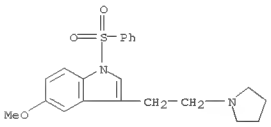
L4 ANSWER 78 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 297751-51-0 CAPLUS
CN 1H-Indole, 5-methoxy-1-(phenylsulfonyl)-3-[2-(1-pyrrolidinyl)ethyl]-, ethanedioate (1:1) (CA INDEX NAME)

CM 1

CRN 297751-50-9
CMF C21 H24 N2 O3 S



CM 2

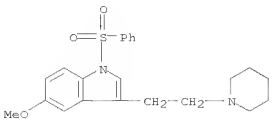
CRN 144-62-7
CMF C2 H2 O4

RN 297751-55-4 CAPLUS
CN 1H-Indole, 5-methoxy-1-(phenylsulfonyl)-3-[2-(1-piperidinyl)ethyl]-, ethanedioate (1:1) (CA INDEX NAME)

CM 1

CRN 297751-54-3
CMF C22 H26 N2 O3 S

L4 ANSWER 78 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



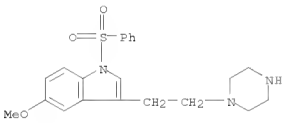
CM 2

CRN 144-62-7
CMF C2 H2 O4

RN 297751-57-6 CAPLUS
CN 1H-Indole, 5-methoxy-1-(phenylsulfonyl)-3-[2-(1-piperazinyl)ethyl]-, ethanedioate (1:1) (CA INDEX NAME)

CM 1

CRN 297751-56-5
CMF C21 H25 N3 O3 S



CM 2

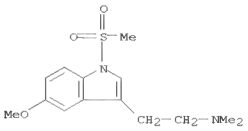
CRN 144-62-7
CMF C2 H2 O4

L4 ANSWER 78 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

RN 297751-65-6 CAPLUS
CN 1H-Indole-3-ethanamine, 5-methoxy-N,N-dimethyl-1-(methylsulfonyl)-, ethanedioate (1:1) (CA INDEX NAME)

CM 1

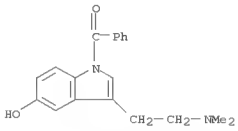
CRN 297751-64-5
CMF C14 H20 N2 O3 S



CM 2

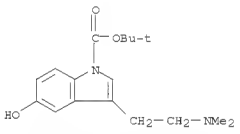
CRN 144-62-7
CMF C2 H2 O4

RN 297751-66-7 CAPLUS
CN Methanone, [3-[2-(dimethylamino)ethyl]-5-hydroxy-1H-indol-1-yl]phenyl- (CA INDEX NAME)



RN 297751-68-9 CAPLUS
CN 1H-Indole-1-carboxylic acid, 3-[2-(dimethylamino)ethyl]-5-hydroxy-, 1,1-dimethylethyl ester (CA INDEX NAME)

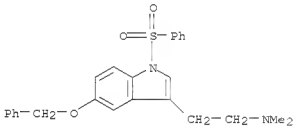
L4 ANSWER 78 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 297751-71-4 CAPLUS
CN 1H-Indole-3-ethanamine, N,N-dimethyl-5-(phenylmethoxy)-1-(phenylsulfonyl)-, ethanedioate (1:1) (CA INDEX NAME)

CM 1

CRN 297751-70-3
CMF C25 H26 N2 O3 S

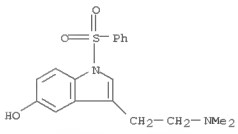


CM 2

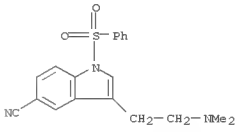
CRN 144-62-7
CMF C2 H2 O4

RN 297751-72-5 CAPLUS
CN 1H-Indol-5-ol, 3-[2-(dimethylamino)ethyl]-1-(phenylsulfonyl)- (CA INDEX NAME)

L4 ANSWER 78 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



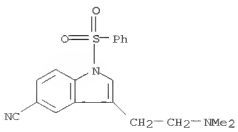
RN 297751-73-6 CAPLUS
CN 1H-Indole-5-carbonitrile, 3-[2-(dimethylamino)ethyl]-1-(phenylsulfonyl)- (CA INDEX NAME)



RN 297751-74-7 CAPLUS
CN 1H-Indole-5-carbonitrile, 3-[2-(dimethylamino)ethyl]-1-(phenylsulfonyl)-, ethanedioate (1:1) (CA INDEX NAME)

CM 1

CRN 297751-73-6
CMF C19 H19 N3 O2 S

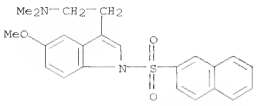


CM 2

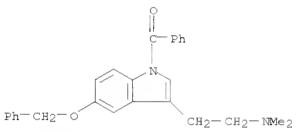
CRN 144-62-7
CMF C2 H2 O4

L4 ANSWER 78 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

RN 297751-88-3 CAPLUS
CN 1H-Indole-3-ethanamine,
5-methoxy-N,N-dimethyl-1-(2-naphthalenylsulfonyl)-
(CA INDEX NAME)

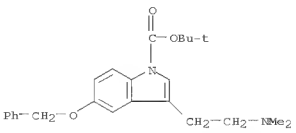


IT 297751-67-8P, [5-Benzyloxy-3-(2-dimethylaminoethyl)-1H-indol-1-yl]phenylmethanone 297751-69-0P, 5-Benzyloxy-3-(2-dimethylaminoethyl)-1H-indole-1-carboxylic acid tert-butyl ester
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of indole and indoline derivs. as 5-HT6 selective
ligands)
RN 297751-67-8 CAPLUS
CN Methanone, [3-[2-(dimethylamino)ethyl]-5-(phenylmethoxy)-1H-indol-1-yl]phenyl- (CA INDEX NAME)

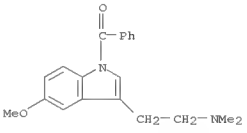


RN 297751-69-0 CAPLUS
CN 1H-Indole-1-carboxylic acid,
3-[2-(dimethylamino)ethyl]-5-(phenylmethoxy)-
, 1,1-dimethylethyl ester (CA INDEX NAME)

L4 ANSWER 78 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

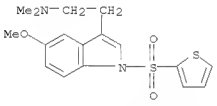


IT 297751-44-1, N,N-Dimethyl-2-(1-benzoyl-5-methoxy-1H-indol-3-yl)ethylamine 297751-46-3,
N,N-Dimethyl-2-[5-methoxy-1-(2-thiophenesulfonyl)-1H-indol-3-yl]ethylamine 297751-54-3, 1-Benzenesulfonyl-5-methoxy-3-[2-(piperidin-1-yl)ethyl]-1H-indole 297751-56-5, 1-Benzenesulfonyl-5-methoxy-3-[2-(piperazin-1-yl)ethyl]-1H-indole 297751-64-5, N,N-Dimethyl-2-(5-methoxy-1-methylsulfonyl-1H-indol-3-yl)ethylamine 297751-82-7, N,N-Dimethyl-2-[5-methoxy-1-(4-methoxybenzenesulfonyl)-1H-indol-3-yl]ethylamine 297751-83-8, N,N-Dimethyl-2-[1-(2-chlorobenzenesulfonyl)-5-methoxy-1H-indol-3-yl]ethylamine 297751-85-0, N,N-Dimethyl-2-[5-methoxy-1-(4-methylbenzenesulfonyl)-1H-indol-3-yl]ethylamine 297751-86-1, N,N-Dimethyl-2-[1-(4-chlorobenzenesulfonyl)-5-methoxy-1H-indol-3-yl]ethylamine 297751-87-2, N,N-Dimethyl-2-[1-(3-chlorobenzenesulfonyl)-5-methoxy-1H-indol-3-yl]ethylamine
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical compns. containing; preparation of indole and indoline derivs.
as 5-HT6 selective ligands)
RN 297751-44-1 CAPLUS
CN Methanone, [3-[2-(dimethylamino)ethyl]-5-methoxy-1H-indol-1-yl]phenyl- (CA INDEX NAME)

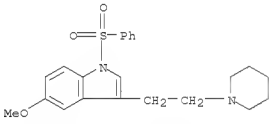


RN 297751-46-3 CAPLUS
CN 1H-Indole-3-ethanamine, 5-methoxy-N,N-dimethyl-1-(2-thienylsulfonyl)-
(CA

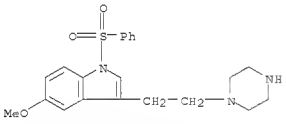
L4 ANSWER 78 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
INDEX NAME)



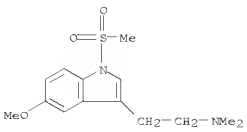
RN 297751-54-3 CAPLUS
CN 1H-Indole, 5-methoxy-1-(phenylsulfonyl)-3-[2-(1-piperidinyl)ethyl]- (CA INDEX NAME)



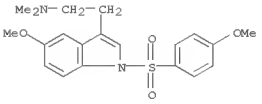
RN 297751-56-5 CAPLUS
CN 1H-Indole, 5-methoxy-1-(phenylsulfonyl)-3-[2-(1-piperazinyl)ethyl]- (CA INDEX NAME)



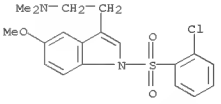
RN 297751-64-5 CAPLUS
CN 1H-Indole-3-ethanamine, 5-methoxy-N,N-dimethyl-1-(methylsulfonyl)- (CA INDEX NAME)



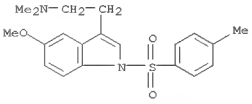
L4 ANSWER 78 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
RN 297751-82-7 CAPLUS
CN 1H-Indole-3-ethanamine, 5-methoxy-1-[(4-methoxyphenyl)sulfonyl]-N,N-dimethyl- (CA INDEX NAME)



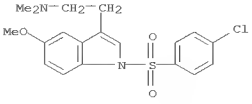
RN 297751-83-8 CAPLUS
CN 1H-Indole-3-ethanamine, 1-[(2-chlorophenyl)sulfonyl]-5-methoxy-N,N-dimethyl- (CA INDEX NAME)



RN 297751-85-0 CAPLUS
CN 1H-Indole-3-ethanamine, 5-methoxy-N,N-dimethyl-1-[(4-methylphenyl)sulfonyl]- (CA INDEX NAME)

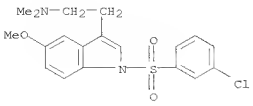


RN 297751-86-1 CAPLUS
CN 1H-Indole-3-ethanamine, 1-[(4-chlorophenyl)sulfonyl]-5-methoxy-N,N-dimethyl- (CA INDEX NAME)



RN 297751-87-2 CAPLUS
CN 1H-Indole-3-ethanamine, 1-[(3-chlorophenyl)sulfonyl]-5-methoxy-N,N-

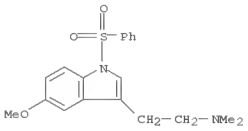
L4 ANSWER 78 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
dimethyl- (CA INDEX NAME)



L4 ANSWER 79 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2000:719700 CAPLUS
DOCUMENT NUMBER: 134:50980
TITLE: N1-(Benzenesulfonyl)tryptamines as novel 5-HT6 antagonists
AUTHOR(S): Tsai, Y.; Dukat, M.; Slassi, A.; MacLean, N.; Demchyshyn, L.; Savage, J. E.; Roth, B. L.; Hufesein, S.; Lee, M.; Glennon, R. A.
CORPORATE SOURCE: School of Pharmacy, Department of Medicinal Chemistry, Virginia Commonwealth University, Richmond, VA, 23298-0540, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2000), 10(20), 2295-2299
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB N1-Benzenesulfonyl-5-methoxy-N,N-dimethyltryptamine (BS/5-OMe DMT) was shown to bind at human 5-HT6 serotonin receptors with high affinity (Ki=2.3 nM) relative to serotonin (Ki=78 nM). Structural variation failed to result in significantly enhanced affinity. BS/5-OMe DMT acts as an antagonist of 5-HT-stimulated adenylate cyclase (pA2=8.88 nM) and may represent the first member of a novel class of 5-HT6 antagonists.
IT 275363-58-1P 314040-40-9P 314040-42-1P
314040-46-5P 314040-48-7P 314040-51-2P
314040-54-5P 314040-57-8P 314040-60-3P
314040-63-6P 314040-66-9P 314040-69-2P
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process)
(preparation of (benzenesulfonyl)tryptamines as 5-HT6 antagonists)
RN 275363-58-1 CAPLUS
CN 1H-Indole-3-ethanamine, 5-methoxy-N,N-dimethyl-1-(phenylsulfonyl)-, ethanedioate (1:1) (CA INDEX NAME)

CM 1

CRN 263384-65-2
CMF C19 H22 N2 O3 S



L4 ANSWER 79 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

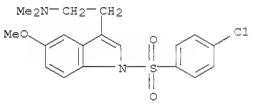
CM 2

CRN 144-62-7
CMF C2 H2 O4

RN 314040-40-9 CAPLUS
CN 1H-Indole-3-ethanamine, 1-[(4-chlorophenyl)sulfonyl]-5-methoxy-N,N-dimethyl-, ethanedioate (1:1) (CA INDEX NAME)

CM 1

CRN 297751-86-1
CMF C19 H21 Cl N2 O3 S



CM 2

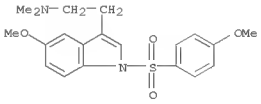
CRN 144-62-7
CMF C2 H2 O4

RN 314040-42-1 CAPLUS
CN 1H-Indole-3-ethanamine, 5-methoxy-1-[(4-methoxyphenyl)sulfonyl]-N,N-dimethyl-, ethanedioate (1:1) (CA INDEX NAME)

CM 1

CRN 297751-82-7
CMF C20 H24 N2 O4 S

L4 ANSWER 79 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



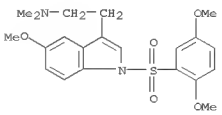
CM 2

CRN 144-62-7
CMF C2 H2 O4

RN 314040-46-5 CAPLUS
CN 1H-Indole-3-ethanamine, 1-[(2,5-dimethoxyphenyl)sulfonyl]-5-methoxy-N,N-dimethyl-, ethanedioate (1:1) (CA INDEX NAME)

CM 1

CRN 314040-45-4
CMF C21 H26 N2 O5 S



CM 2

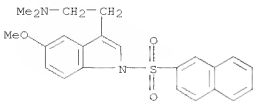
CRN 144-62-7
CMF C2 H2 O4

RN 314040-48-7 CAPLUS
CN 1H-Indole-3-ethanamine, 5-methoxy-N,N-dimethyl-1-(2-naphthalenylsulfonyl)-, ethanedioate (1:1) (CA INDEX NAME)

L4 ANSWER 79 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

CM 1

CRN 297751-88-3
CMF C23 H24 N2 O3 S



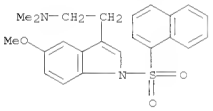
CM 2

CRN 144-62-7
CMF C2 H2 O4

RN 314040-51-2 CAPLUS
CN 1H-Indole-3-ethanamine, 5-methoxy-N,N-dimethyl-1-(1-naphthalenylsulfonyl)-, ethanedioate (1:1) (CA INDEX NAME)

CM 1

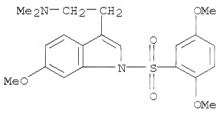
CRN 314040-50-1
CMF C23 H24 N2 O3 S



CM 2

CRN 144-62-7
CMF C2 H2 O4

L4 ANSWER 79 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



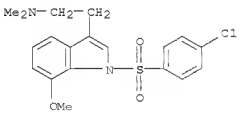
CM 2

CRN 144-62-7
CMF C2 H2 O4

RN 314040-60-3 CAPLUS
CN 1H-Indole-3-ethanamine, 1-[(4-chlorophenyl)sulfonyl]-7-methoxy-N,N-dimethyl-, ethanedioate (1:1) (CA INDEX NAME)

CM 1

CRN 314040-59-0
CMF C19 H21 Cl N2 O3 S



CM 2

CRN 144-62-7
CMF C2 H2 O4

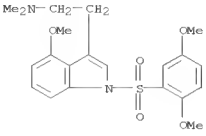
RN 314040-63-6 CAPLUS
CN 1H-Indole-3-ethanamine, 7-methoxy-1-[(4-methoxyphenyl)sulfonyl]-N,N-dimethyl-, ethanedioate (1:1) (CA INDEX NAME)

L4 ANSWER 79 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

RN 314040-54-5 CAPLUS
CN 1H-Indole-3-ethanamine, 1-[(2,5-dimethoxyphenyl)sulfonyl]-4-methoxy-N,N-dimethyl-, ethanedioate (1:1) (CA INDEX NAME)

CM 1

CRN 314040-53-4
CMF C21 H26 N2 O5 S



CM 2

CRN 144-62-7
CMF C2 H2 O4

RN 314040-57-8 CAPLUS
CN 1H-Indole-3-ethanamine, 1-[(2,5-dimethoxyphenyl)sulfonyl]-6-methoxy-N,N-dimethyl-, ethanedioate (1:1) (CA INDEX NAME)

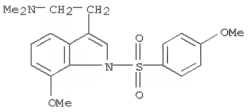
CM 1

CRN 314040-56-7
CMF C21 H26 N2 O5 S

L4 ANSWER 79 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

CM 1

CRN 314040-62-5
CMF C20 H24 N2 O4 S



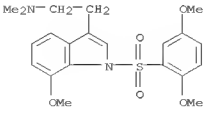
CM 2

CRN 144-62-7
CMF C2 H2 O4

RN 314040-66-9 CAPLUS
CN 1H-Indole-3-ethanamine, 1-[(2,5-dimethoxyphenyl)sulfonyl]-7-methoxy-N,N-dimethyl-, ethanedioate (1:1) (CA INDEX NAME)

CM 1

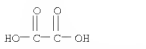
CRN 314040-65-8
CMF C21 H26 N2 O5 S



CM 2

CRN 144-62-7
CMF C2 H2 O4

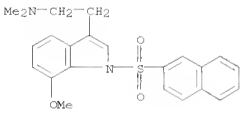
L4 ANSWER 79 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 314040-69-2 CAPLUS
CN 1H-Indole-3-ethanamine, 7-methoxy-N,N-dimethyl-1-(2-naphthalenylsulfonyl)-, ethanedioate (1:1) (CA INDEX NAME)

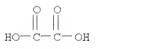
CM 1

CRN 314040-68-1
CMF C23 H24 N2 O3 S



CM 2

CRN 144-62-7
CMF C2 H2 O4



REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 80 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN

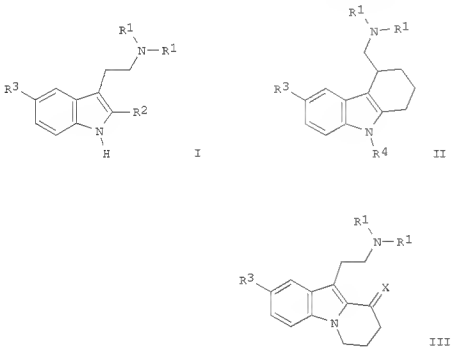
ACCESSION NUMBER: 2000:401790 CAPLUS
DOCUMENT NUMBER: 133:43435
TITLE: Preparation of tryptamine derivatives as selective 5-HT6 receptor ligands
INVENTOR(S): Glennon, Richard A.; Roth, Bryan L.
PATENT ASSIGNEE(S): Virginia Commonwealth University, USA
SOURCE: PCT Int. Appl., 30 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000034242	A1	20000615	WO 1999-US29219	19991210
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2353962	A1	20000615	CA 1999-2353962	19991210
EP 1149078	A1	20011031	EP 1999-967248	19991210
EP 1149078	B1	20060308		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY				
AU 767009	B2	20031030	AU 2000-23562	19991210
AT 319683	T	20060315	AT 1999-967248	19991210
PT 1149078	T	20060731	PT 1999-967248	19991210
EP 1693366	A1	20060823	EP 2006-1596	19991210
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				
ES 2260958	T3	20061101	ES 1999-967248	19991210
MX 2001005905	A	20020918	MX 2001-5905	20010611
US 6403808	B1	20020611	US 2001-857777	20010820
US 20020103382	A1	20020801	US 2002-42220	20020111
US 6489488	B2	20021203		
US 20020103383	A1	20020801	US 2002-42265	20020111
US 6518297	B2	20030211		

PRIORITY APPLN. INFO.:
US 1998-111787P P 19981211
EP 1999-967248 A3 19991210
WO 1999-US29219 W 19991210
US 2001-857777 A3 20010820

OTHER SOURCE(S): MARPAT 133:43435
GI

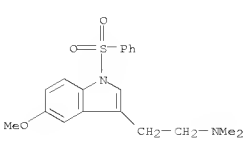
L4 ANSWER 80 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



AB The title compds. [I-III; X = O, 2H; R1 = H, Me, alkyl; R3 = H, Me, MeO, etc.; R2, R4 = H, alkyl, aryl, etc.] which have enhanced affinity and selectivity for 5-HT6 receptors and therefore can be used therapeutically in the treatment of mental disorders or can be used to identify antagonists of 5-HT6 receptors by well known screening methodologies which could themselves be used in the treatment of mental disorders, were prepared E.g. a multi-step synthesis of I [R1 = Me; R2 = Ph; R3 = OMe] which showed Ki of 20 nM against 5-HT6 receptor binding, was given.

IT 263384-65-2P 275363-58-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of tryptamine derivs. as selective 5-HT6 receptor ligands)

RN 263384-65-2 CAPLUS
CN 1H-Indole-3-ethanamine, 5-methoxy-N,N-dimethyl-1-(phenylsulfonyl)- (CA INDEX NAME)

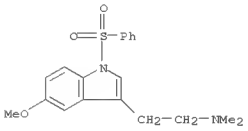


L4 ANSWER 80 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

RN 275363-58-1 CAPLUS
CN 1H-Indole-3-ethanamine, 5-methoxy-N,N-dimethyl-1-(phenylsulfonyl)-, ethanedioate (1:1) (CA INDEX NAME)

CM 1

CRN 263384-65-2
CMF C19 H22 N2 O3 S



CM 2

CRN 144-62-7
CMF C2 H2 O4

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

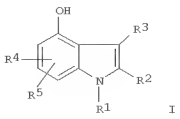
L4 ANSWER 81 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2000:203676 CAPLUS
DOCUMENT NUMBER: 132:238364
TITLE: Cationic 4-hydroxyindoles and their use in oxidative dyeing of hair
INVENTOR(S): Terranova, Eric; Lagrange, Alain; Fadli, Aziz
PATENT ASSIGNEE(S): L'oreal, Fr.
SOURCE: Eur. Pat. Appl., 17 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 989128	A1	20000329	EP 1999-402147	19990830
EP 989128	B1	20010321		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
FR 2783520	A1	20000324	FR 1998-11751	19980921
FR 2783520	B1	20001110		
AT 199904	T	20010415	AT 1999-402147	19990830
ES 2157683	T3	20010816	ES 1999-402147	19990830
ZA 9905770	A	20000329	ZA 1999-5770	19990908
AU 9947551	A	20000406	AU 1999-47551	19990913
AU 719623	B2	20000511		
MX 9908445	A	20001031	MX 1999-8445	19990914
BR 9904652	A	20001114	BR 1999-4652	19990917
CN 1248577	A	20000329	CN 1999-120324	19990920
KR 2000023311	A	20000425	KR 1999-40444	19990920
JP 2000136189	A	20000516	JP 1999-265221	19990920
JP 3789260	B2	20060621		
HU 9903191	A2	20000828	HU 1999-3191	19990920
HU 9903191	A3	20001128		
RU 2190602	C2	20021010	RU 1999-120693	19990920
JP 2002308871	A	20021023	JP 2002-87653	19990920
CA 2282885	A1	20000321	CA 1999-2282885	19990921
US 6306181	B1	20011023	US 1999-400818	19990921
US 20020032937	A1	20020321	US 2001-925010	20010809
US 20030019050	A9	20030130		
US 6528650	B2	20030304		

PRIORITY APPLN. INFO.: FR 1998-11751 A 19980921
JP 1999-265221 A3 19990920
US 1999-400818 A1 19990921

OTHER SOURCE(S): MARPAT 132:238364
GI

L4 ANSWER 81 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

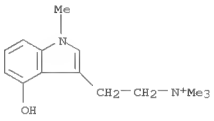


I

AB Cationic derivs. of the 4-hydroxyindoles (I; R1 = cationic group, optionally substituted alkyl; R2, R3 = H, halogen, cationic group, alkyl, carboxy, alkoxycarbonyl, formyl; R4, R5 = H, halogen, cationic group, alkyl, alkoxy, acetylamino, substituted alkyl, thiophenyl, furanyl, optionally substituted Ph or aralkyl) are combined with oxidative bases (couplers) in the form of aromatic amines or phenols to provide oxidative hair dyes. The dyes have improved fastness and application properties. In an example, in 2-methyl-2-propanol, 3-pyridinecarboxaldehyde was condensed with 1-methyl-1,5,6,7-tetrahydro-4-indolone to give 1-methyl-5-(3-pyridylmethyl)-1H-indol-4-ol, which was then quaternized to give the methosulfate. This compound could then be combined with 2-(β-acetamidoethoxy)-p-phenylenediamine to give a blue hair dye.
IT 262285-45-0
RL: TEM (Technical or engineered material use); USES (Uses)
(hydroxyindole cationic derivs. for use in oxidative hair dyes)
RN 262285-45-0 CAPLUS
CN 1H-Indole-3-ethanaminium, 4-hydroxy-N,N,N,1-tetramethyl-, methyl sulfate (1:1) (CA INDEX NAME)

CM 1

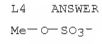
CRN 262285-44-9
CMF C14 H21 N2 O



CM 2

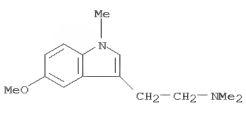
CRN 21228-90-0
CMF C H3 O4 S

L4 ANSWER 81 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

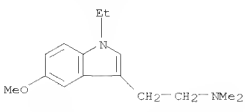


REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

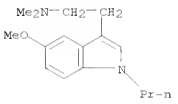
L4 ANSWER 82 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2000:96283 CAPLUS
DOCUMENT NUMBER: 132:279043
TITLE: 2-Substituted Tryptamines: Agents with Selectivity for 5-HT6 Serotonin Receptors
AUTHOR(S): Glennon, Richard A.; Lee, Mase; Rangisetty, Jagadeesh B.; Dukat, Malgorzata; Roth, Bryan L.; Savage, Jason E.; McBride, Ace; Rauser, Laura; Hufeisen, Sandy; Lee, David K. H.
CORPORATE SOURCE: Department of Medicinal Chemistry School of Pharmacy, Virginia Commonwealth University, Richmond, VA, 23298, USA
SOURCE: Journal of Medicinal Chemistry (2000), 43(5), 1011-1018
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Several 2-alkyl-5-methoxytryptamine analogs were designed and prepared as potential 5-HT6 serotonin agonists. It was found that 5-HT6 receptors accommodate small alkyl substituents at the indole 2-position and that the resulting compds. can bind with affinities comparable to that of serotonin. In particular, 2-ethyl-5-methoxy-N,N-dimethyltryptamine (I) binds with high affinity at human 5-HT6 receptors (Ki = 16 nM) relative to 5-HT (Ki = 75 nM) and was a full agonist, at least as potent (8: Kact = 3.6 nM) as serotonin (Kact = 5.0 nM), in activating adenylate cyclase. Compound I displays modest affinity for several other populations of 5-HT receptors, notably h5-HT1A (Ki = 170 nM), h5-HT1D (Ki = 290 nM), and h5-HT7 (Ki = 300 nM) receptors, but is otherwise quite selective. Compound I represents the first and most selective 5-HT6 agonist reported to date. Replacing the 2-Et substituent with a Ph group results in a compound that retains 5-HT6 receptor affinity (i.e., 10: Ki = 20 nM) but lacks agonist character. 2-Substituted tryptamines, then, might allow entry to a novel class of 5-HT6 agonists and antagonists.
IT 103858-17-9 263384-60-7 263384-61-8
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(preparation of 2-substituted tryptamines, with selectivity for 5-HT6 serotonin receptors)
RN 103858-17-9 CAPLUS
CN 1H-Indole-3-ethanamine, 5-methoxy-N,N,1-trimethyl- (CA INDEX NAME)



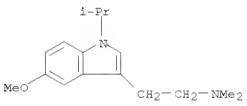
L4 ANSWER 82 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
RN 263384-60-7 CAPLUS
CN 1H-Indole-3-ethanamine, 1-ethyl-5-methoxy-N,N-dimethyl- (CA INDEX NAME)



RN 263384-61-8 CAPLUS
CN 1H-Indole-3-ethanamine, 5-methoxy-N,N-dimethyl-1-propyl- (CA INDEX NAME)

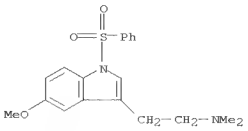


IT 263384-62-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); BIOL (Biological
study); PREP (Preparation)
(preparation of 2-substituted tryptamines, with selectivity for 5-HT6
serotonin receptors)
RN 263384-62-9 CAPLUS
CN 1H-Indole-3-ethanamine, 5-methoxy-N,N-dimethyl-1-(1-methylethyl)- (CA INDEX NAME)



IT 263384-65-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 2-substituted tryptamines, with selectivity for 5-HT6
serotonin receptors)
RN 263384-65-2 CAPLUS
CN 1H-Indole-3-ethanamine, 5-methoxy-N,N-dimethyl-1-(phenylsulfonyl)- (CA

L4 ANSWER 82 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
INDEX NAME)



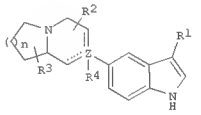
REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 83 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2000:68455 CAPLUS
DOCUMENT NUMBER: 132:107872
TITLE: Preparation of 5-(indolizin-7-yl)indoles as 5-HT1D agonists for treatment of migraine.
INVENTOR(S): Slassi, Abdelmalik; Arora, Jalaj; Tehim, Ashok
PATENT ASSIGNEE(S): Allelix Biopharmaceuticals Inc., Can.
SOURCE: PCT Int. Appl., 53 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000004019	A1	20000127	WO 1999-CA639	19990715
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6329390	B1	20011211	US 1998-116946	19980717
CA 2343748	A1	20000127	CA 1999-2343748	19990715
AU 9947651	A	20000207	AU 1999-47651	19990715
AU 767274	B2	20031106		
EP 1098896	A1	20010516	EP 1999-930958	19990715
EP 1098896	B1	20030625		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002520412	T	20020709	JP 2000-560125	19990715
AT 243695	T	20030715	AT 1999-930958	19990715
PRIORITY APPLN. INFO.:			US 1998-116946 A	19980717
			WO 1999-CA639 W	19990715

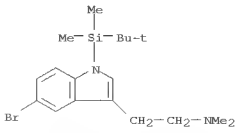
OTHER SOURCE(S): MARPAT 132:107872
GI

L4 ANSWER 83 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



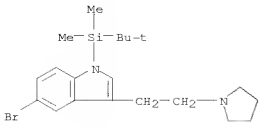
I

AB Title compds. [I; R1 = CR5R6CH2NR7R8, Q1, Q2; R2 = H, OH, alkyl, alkoxy; R3 = H, OH, alkyl, alkoxy, alkylthio (substituted) PhCH2O; n = 1-3; Z = C,
N; dotted lines = single or double bond provided that only 1 double bond is present in a ring at a time; R4 = H, OH, alkoxy, null; 1 of R5, R6 = H,
alkyl, alkoxy, OH, the other = H; R7, R8 = H, alkyl; R7R8 = alkylene optionally containing O, imino, S; with provisos], were prepared Thus, 5[(7R,S)-7-hydroxyoctahydroindolizin-7-yl]-3-[[(2R)-N-methylpyrrolidin-2-yl]methyl]-1H-indole [prepared from
(R)-5-bromo-1-(tert-butyldimethylsilyl)-
3-[(N-methylpyrrolidin-2-yl)methyl]indole and octahydroindolizin-7-one] showed >75% affinity for 5-HT1D receptors.
IT 255711-66-1P 255711-67-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 5-(indolizin-7-yl)indoles as 5-HT1D agonists)
RN 255711-66-1 CAPLUS
CN 1H-Indole-3-ethanamine, 5-bromo-1-[(1,1-dimethylethyl)dimethylsilyl]-N,N-dimethyl- (CA INDEX NAME)



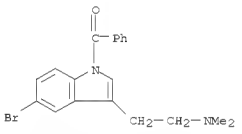
RN 255711-67-2 CAPLUS
CN 1H-Indole, 5-bromo-1-[(1,1-dimethylethyl)dimethylsilyl]-3-[2-(1-pyrrolidinyl)ethyl]- (CA INDEX NAME)

L4 ANSWER 83 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

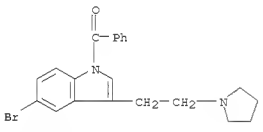


REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 84 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 208464-44-2 CAPLUS
CN Methanone, [5-bromo-3-[2-(1-pyrrolidinyl)ethyl]-1H-indol-1-yl]phenyl- (CA
INDEX NAME)

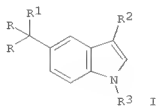


REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 84 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1999:779222 CAPLUS
DOCUMENT NUMBER: 132:22868
TITLE: Preparation of 5-(hetero)cycloalkylindoles as 5-HT1D-like receptor agonists
INVENTOR(S): Slassi, Abdelmalik; Edwards, Louise; Meng, Qingchang; Rakhit, Sumanas
PATENT ASSIGNEE(S): Allelix Biopharmaceuticals, Inc., Can.
SOURCE: U.S., 30 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

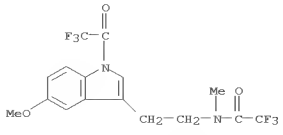
PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 5998438	A	19991207	US 1997-976103		19971121
PRIORITY APPLN. INFO.:			US 1996-69887	P	19961126

OTHER SOURCE(S): MARPAT 132:22868
GI



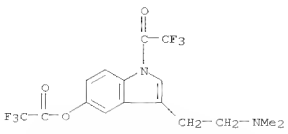
AB Title compds. [I; RR = atoms to complete an (un)substituted carbo- or heterocyclic ring; R1 = null, H, OH; R2 = CR5R6CH2NR7R8, 2- or 3-pyrrolidinyl, etc.; R3 = H or Bz; R5,R6 = H, OH, alkoxy; R7,R8 = H or alkyl; NR7R8 = heterocyclyl] were prepared Thus, 5-bromoindole was treated with (COCl)2 and the product amidated with Me2NH to give 5-bromo-3-(dimethylaminoglyoxyloyl)indole which was condensed with 1-cyclohexenyltributylstannane to give, after reduction, I (RR = 1-cyclohexenyl, R1 = null, R2 = CH2CH2NMe2, R3 = H). Data for biol. activity of I were given.
IT 208464-42-0P 208464-44-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 5-(hetero)cycloalkylindoles as 5-HT1D-like receptor agonists)
RN 208464-42-0 CAPLUS
CN Methanone, [5-bromo-3-[2-(dimethylamino)ethyl]-1H-indol-1-yl]phenyl- (CA INDEX NAME)

L4 ANSWER 85 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1999:228986 CAPLUS
DOCUMENT NUMBER: 130:332029
TITLE: Trifluoroacetylation of methylated derivatives of tryptamine and serotonin by different reagents. Synthesis, spectroscopic characterizations, and separations by capillary-gas-chromatography
AUTHOR(S): Haefelinger, Guenter; Nimtz, Manfred; Horstmann, Volker; Benz, Thomas
CORPORATE SOURCE: Institut Organische Chemie, Universitaet Tuebingen, Tuebingen, D-72076, Germany
SOURCE: Zeitschrift fuer Naturforschung, B: Chemical Sciences (1999), 54(3), 397-414
CODEN: ZNBSEN; ISSN: 0932-0776
PUBLISHER: Verlag der Zeitschrift fuer Naturforschung
DOCUMENT TYPE: Journal
LANGUAGE: German
AB Trifluoroacetylation reactions of various N-Me derivs. of tryptamine as well of N-Me and O-Me derivs. of serotonin using trifluoroacetanhydride, N-methylbistrifluoroacetamide, and trifluoroacetylimidazole were investigated by capillary GC and the structures of the reaction products determined by combined GC-MS. Five of the trifluoroacetylated derivs. were also prepared on the laboratory scale and characterized by MS, IR, 1H, 13C, and 19F NMR spectroscopy. In contrast to literature data, the physiol. interesting indolethylamines which contain a tertiary dimethylamino sidechain (e.g. DMT and Bufotenine) could not be trifluoroacetylated under the same conditions as the other Me derivs. because the tertiary amino group undergoes trifluoroacetylation. The corresponding nonvolatile N-trifluoroacetylated product was prepared, isolated, and spectroscopically characterized.
IT 223734-41-6P 223734-42-7P 223734-43-8P 223734-44-9P 223734-46-1P 223734-48-3P 223734-50-7P
RL: ANT (Analyte); PRP (Properties); SPN (Synthetic preparation); ANST (Analytical study); PREP (Preparation)
(preparation and characterization of trifluoroacetyl derivs. of tryptamine and serotonin Me derivs.)
RN 223734-41-6 CAPLUS
CN Acetamide, 2,2,2-trifluoro-N-[2-[5-methoxy-1-(2,2,2-trifluoroacetyl)-1H-indol-3-yl]ethyl]-N-methyl- (CA INDEX NAME)

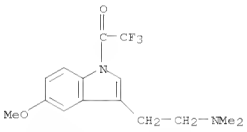


RN 223734-42-7 CAPLUS

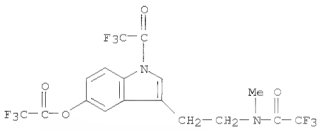
L4 ANSWER 85 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
CN Acetic acid, 2,2,2-trifluoro-, 3-[2-(dimethylamino)ethyl]-1-(2,2,2-trifluoroacetyl)-1H-indol-5-yl ester (CA INDEX NAME)



RN 223734-43-8 CAPLUS
CN Ethanone, 1-[3-[2-(dimethylamino)ethyl]-5-methoxy-1H-indol-1-yl]-2,2,2-trifluoro- (CA INDEX NAME)

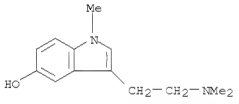


RN 223734-44-9 CAPLUS
CN Acetic acid, 2,2,2-trifluoro-, 3-[2-[methyl(2,2,2-trifluoroacetyl)amino]ethyl]-1-(2,2,2-trifluoroacetyl)-1H-indol-5-yl ester
(CA INDEX NAME)

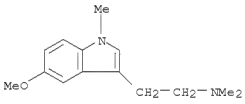


RN 223734-46-1 CAPLUS
CN Acetic acid, 2,2,2-trifluoro-, 1-methyl-3-[2-[methyl(2,2,2-trifluoroacetyl)amino]ethyl]-1H-indol-5-yl ester (CA INDEX NAME)

L4 ANSWER 85 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

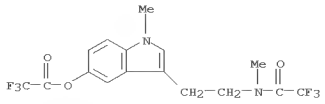


RN 103858-17-9 CAPLUS
CN 1H-Indole-3-ethanamine, 5-methoxy-N,N,1-trimethyl- (CA INDEX NAME)

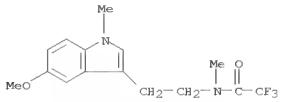


REFERENCE COUNT: 91 THERE ARE 91 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

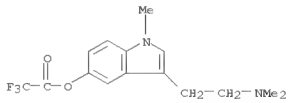
L4 ANSWER 85 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 223734-48-3 CAPLUS
CN Acetamide, 2,2,2-trifluoro-N-[2-(5-methoxy-1-methyl-1H-indol-3-yl)ethyl]-N-methyl- (CA INDEX NAME)



RN 223734-50-7 CAPLUS
CN Acetic acid, 2,2,2-trifluoro-, 3-[2-(dimethylamino)ethyl]-1-methyl-1H-indol-5-yl ester (CA INDEX NAME)



IT 74834-00-7, 1-N,ω-N,N-Trimethylserotonine
103858-17-9, 1-N,ω-N,N,O-Tetramethylserotonine
RL: ANT (Analyte); RCT (Reactant); ANST (Analytical study); RACT (Reactant or reagent)
(trifluoroacetylation of Me derivs. of tryptamine and serotonin by different reagents)
RN 74834-00-7 CAPLUS
CN 1H-Indol-5-ol, 3-[2-(dimethylamino)ethyl]-1-methyl- (CA INDEX NAME)

L4 ANSWER 86 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER:	1999:64771 CAPLUS
DOCUMENT NUMBER:	130:139254
TITLE:	Process for the production of indole derivatives
INVENTOR(S):	Waite, David Charles
PATENT ASSIGNEE(S):	Pfizer Limited, UK; Pfizer Inc.
SOURCE:	PCT Int. Appl., 41 pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	1

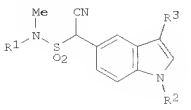
PATENT INFORMATION:

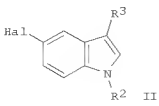
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9902493	A1	19990121	WO 1998-EP3996	19980616
W: AU, BR, CA, CN, CZ, HU, ID, IL, JP, KR, MX, PL, RU, TR, US, YU				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2286720	A1	19990121	CA 1998-2286720	19980616
AU 9883397	A	19990208	AU 1998-83397	19980616
EP 975594	A1	20000202	EP 1998-933651	19980616
EP 975594	B1	20020918		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
AT 224367	T	20021015	AT 1998-933651	19980616
PT 975594	T	20021231	PT 1998-933651	19980616
ES 2182342	T3	20030301	ES 1998-933651	19980616
ZA 9805918	A	20000110	ZA 1998-5918	19980706
US 6281357	B1	20010828	US 2000-381072	20000324

PRIORITY APPLN. INFO.:		GB 1997-14383	A 19970708
		WO 1998-EP3996	W 19980616

OTHER SOURCE(S): CASREACT 130:139254; MARPAT 130:139254
GI

L4 ANSWER 86 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)


I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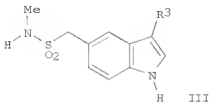
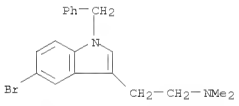

III

AB The title compds. I [R1, R2 = N-protecting groups; R3 = C1-6 alkyl substituted by (un)substituted 5-6 membered N-containing saturated heterocyclic group or di(C1-6 alkyl)amino] were prepared by reacting indole II [Hal = Cl, Br, I] with R1(Me)NSO2CH2CN in the presence of a strong base and a palladium(0) catalyst at an elevated temperature in a solvent which does not adversely affect the reaction. Compds. I may be further processed to compds. III which are useful in the treatment of inter alia migraine (no data).

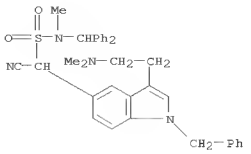
IT 220018-07-5P 220018-08-6P 220018-09-7P
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(process for the production of indole derivs.)

RN 220018-07-5 CAPLUS
CN 1H-Indole-3-ethanamine, 5-bromo-N,N-dimethyl-1-(phenylmethyl)- (CA INDEX NAME)

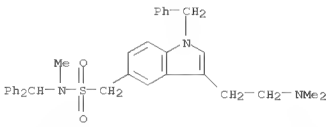


RN 220018-08-6 CAPLUS
CN 1H-Indole-5-methanesulfonamide, α-cyano-3-[2-(dimethylamino)ethyl]-N-

L4 ANSWER 86 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
(diphenylmethyl)-N-methyl-1-(phenylmethyl)- (CA INDEX NAME)



RN 220018-09-7 CAPLUS
CN 1H-Indole-5-methanesulfonamide, 3-[2-(dimethylamino)ethyl]-N-(diphenylmethyl)-N-methyl-1-(phenylmethyl)- (CA INDEX NAME)



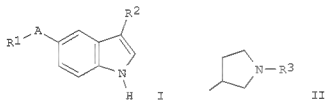
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 87 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN

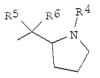
ACCESSION NUMBER:	1999:34504 CAPLUS
DOCUMENT NUMBER:	130:95475
TITLE:	Preparation of 5-alkenyl and 5-alkynyl indoles as 5-HT1D-like receptor agonists
INVENTOR(S):	Meng, Qingchang; Slassi, Abdelmalik; Edwards, Louise; Rakhit, Sumanas
PATENT ASSIGNEE(S):	Allelix Biopharmaceuticals Inc., Can.
SOURCE:	U.S., 11 pp. CODEN: USXXAM
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	1
PATENT INFORMATION:	

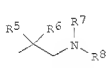
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5856510	A	19990105	US 1996-767322	19961216
CA 2224752	A1	19990612	CA 1997-2224752	19971212
PRIORITY APPLN. INFO.:			US 1996-767322 A	19961216

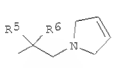
OTHER SOURCE(S): CASREACT 130:95475; MARPAT 130:95475
GI


I

II


III


IV

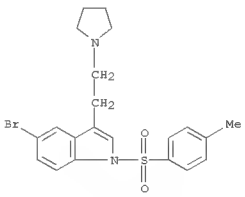

V

AB The title compds. [I; R1 = H, (un)substituted aryl; A = CH:CH, C.tplbond.C; R2 = II-V (wherein R3, R4 = H, lower alkyl; one of R5 and R6 = H and the other = H, lower alkoxy, lower alkyl, OH; R7, R8 = H, lower alkyl; NR7R8 = 3-6 membered ring)], useful to treat indications where stimulation of the 5-HT1D-like receptor is implicated, such as migraine, were prepared Thus, reaction of 5-bromo-3-[(N,N-dimethylamino)glyoxyl]-1H-indole with tributyl(vinyl)tin in the presence of tetrakis(triphenylphosphine) palladium(O) in DMF afforded 57% I [R1 = H; A = CH:CH; R2 = CH2CH2NMe2] which showed EC50 of 0.13 μM in vitro test on the rabbit isolated saphenous vein.

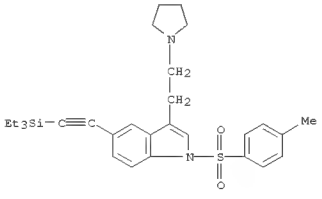
IT 219530-08-2P 219530-09-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

L4 ANSWER 87 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
(prepn. of 5-alkenyl and 5-alkynyl indoles as 5-HT1D-like receptor agonists)

RN 219530-08-2 CAPLUS
CN 1H-Indole, 5-bromo-1-[(4-methylphenyl)sulfonyl]-3-[2-(1-pyrrolidinyl)ethyl]- (CA INDEX NAME)

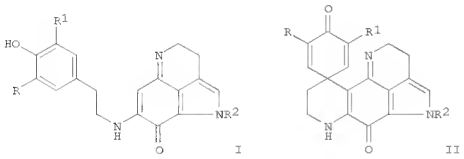


RN 219530-09-3 CAPLUS
CN 1H-Indole, 1-[(4-methylphenyl)sulfonyl]-3-[2-(1-pyrrolidinyl)ethyl]-5-[2-(triethylsilyl)ethynyl]- (CA INDEX NAME)



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

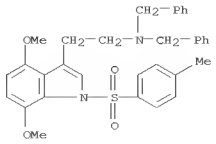
L4 ANSWER 88 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1998:786606 CAPLUS
DOCUMENT NUMBER: 130:139494
TITLE: A Biomimetic Approach to the Discorhabdin Alkaloids: Total Syntheses of Discorhabdins C and E and Dethiadiscorhabdin D
AUTHOR(S): Aubart, Kelly Marshall; Heathcock, Clayton H.
CORPORATE SOURCE: Department of Chemistry, University of California, Berkeley, CA, 94720, USA
SOURCE: Journal of Organic Chemistry (1999), 64(1), 16-22
CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 130:139494
GI



I II

AB The characteristic spirodienone structure of the discorhabdin alkaloids were readily formed by reaction of the tyramine-substituted indoloquinonimines I (R = R1 = H, Br; R = H, R1 = Br; R2 = tosyl) with cupric chloride, triethylamine, and oxygen to give the corresponding discorhabdin intermediates II. This oxidative cyclization provides a possible biomimetic route to discorhabdins C and E.
IT 220034-56-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(total syntheses of discorhabdins C and E and dethiadiscorhabdin D via oxidative cyclization)
RN 220034-56-0 CAPLUS
CN 1H-Indole-3-ethanamine, 4,7-dimethoxy-1-[(4-methylphenyl)sulfonyl]-N,N-bis(phenylmethyl)- (CA INDEX NAME)

L4 ANSWER 88 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



REFERENCE COUNT: 66 THERE ARE 66 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 89 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1998:709049 CAPLUS
DOCUMENT NUMBER: 129:330648
ORIGINAL REFERENCE NO.: 129:67439a,67442a
TITLE: Preparation of heterocyclylureas as 5HT1A, 5HT1B, and 5HT1D receptor antagonists.
INVENTOR(S): Gaster, Laramie Mary; Wyman, Paul Adrian
PATENT ASSIGNEE(S): Smithkline Beecham PLC, UK
SOURCE: PCT Int. Appl., 32 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

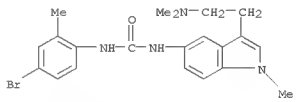
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9847868	A1	19981029	WO 1998-EP2264	19980414
W: CA, JP, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
PRIORITY APPLN. INFO.:			GB 1997-7875 A	19970418
			GB 1998-1634 A	19980126

OTHER SOURCE(S): MARPAT 129:330648
GI

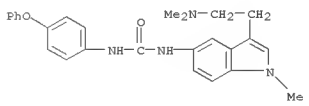
I

AB Title compds. [I; Ra = R1(R2)aP1, R1(R2)aP3A(R3)aP2; P1-P3 = Ph, bicyclic aryl, 5-7 membered heterocyclyl, bicyclic heterocyclyl; R1 = H, halo, alkyl, cycloalkyl, alkyl, alkoxy, NO2, CF3, cyano, heterocyclyl, acyl, etc.; R2, R3 = H, halo, alkyl, cycloalkyl, cycloalkenyl, alkoxy, alkanoyl, aryl, acyloxy, OH, NO2, CF3, NO2, etc.; L = YC(:V)DG; Y = NH, NR5, CH2, O; R5 = alkyl; V = O, S; D = N, C, CH; G = H, alkyl; GRb = atoms to form a (substituted) (heterocyclic) ring; Ry = 5-7 membered heterocyclyl, amino; Q = atoms to form a (substituted) 5-7 membered (heterocyclic) ring; Rc, Rd = H, alkyl; Rb = H, halo, OH, alkyl, CF3, alkoxy, aryl; n = 1-4], were prepared Thus, 4-bromo-3-methylphenyl isocyanate (preparation given) in CH2C12 was treated with 5-amino-3-(2-dimethylaminoethyl)indole in CH2C12 to give 88% N-(4-bromo-3-methylphenyl)-N'-[3-(2-dimethylaminoethyl)indol-5-yl]urea. Tested I showed pKi >8.0 in a screen for 5HT1A, 5HT1B, and 5HT1D receptor binding.
IT 215039-25-1P 215039-31-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of heterocyclylureas as 5HT1A, 5HT1B, and 5HT1D receptor antagonists)
RN 215039-25-1 CAPLUS
CN Urea, N-(4-bromo-2-methylphenyl)-N'-[3-[2-(dimethylamino)ethyl]-1-methyl-1H-indol-5-yl]- (CA INDEX NAME)

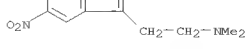
L4 ANSWER 89 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 215039-31-9 CAPLUS
CN Urea, N-[3-[2-(dimethylamino)ethyl]-1-methyl-1H-indol-5-yl]-N'-(4-phenoxyphenyl)- (CA INDEX NAME)

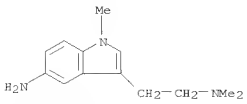


IT 215038-60-1P 215038-67-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of heterocyclylureas as 5HT1A, 5HT1B, and 5HT1D receptor antagonists)
RN 215038-60-1 CAPLUS
CN 1H-Indole-3-ethanamine, N,N,1-trimethyl-5-nitro- (CA INDEX NAME)



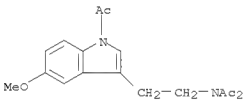
RN 215038-67-8 CAPLUS
CN 1H-Indole-3-ethanamine, 5-amino-N,N,1-trimethyl- (CA INDEX NAME)

L4 ANSWER 89 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 90 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



REFERENCE COUNT: 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 90 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1998:651749 CAPLUS
DOCUMENT NUMBER: 130:20198
TITLE: Pharmacophoric search and 3D-QSAR comparative molecular field analysis studies on agonists of melatonin sheep receptors
AUTHOR(S): Marot, Christophe; Chavatte, Philippe; Morin-Allory, Luc; Viaud, Marie Claude; Guillaumet, Gerald; Renard, Pierre; Lesieur, Daniel; Michel, Andre
CORPORATE SOURCE: Institut de Chimie Organique et Analytique, Universite
d'Orleans, Orleans, 45067, Fr.
SOURCE: Journal of Medicinal Chemistry (1998), 41(23), 4453-4465
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Conformational anal. was used to characterize the agonist pharmacophore for melatonin sheep brain receptor recognition and activation. The mol. geometry shared by all conformations of the selected active ligands was determined Assuming that all the compds. interact at the same binding site at
the receptor level, 2-iodomelatonin pharmacophoric conformation served as a template for the superimposition of 64 structurally heterogeneous agonists constituting the training set used to perform a three-dimensional
quant. structure-activity relationship study via the comparative mol. field anal. method. A statistically significant model was obtained for the totality of the compds. (n = 64, q2 = 0.62, N = 6, r2 = 0.96, s = 0.28, F = 249) with steric, electrostatic, and lipophilic relative contributions of 28%, 35%, and 37%, resp. The predictive power of the proposed model was discerned by successfully testing the 78 agonist ligands constituting the test set. The model so obtained and validated brings important structural insights to aid the design of novel melatoninergic agonist ligands prior to their synthesis.
IT 188397-02-6
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); PRP (Properties); BIOL (Biological study)
(pharmacophoric search and 3D-QSAR comparative mol. field anal. studies
on agonists of melatonin sheep receptors)
RN 188397-02-6 CAPLUS
CN Acetamide, N-acetyl-N-[2-(1-acetyl-5-methoxy-1H-indol-3-yl)ethyl]- (CA INDEX NAME)

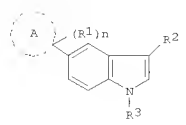
L4 ANSWER 91 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1998:388496 CAPLUS
DOCUMENT NUMBER: 129:54290
ORIGINAL REFERENCE NO.: 129:11317a,11320a
TITLE: Preparation of 5-cyclo indole compounds as 5-HT1D receptor
ligands
INVENTOR(S): Slassi, Abdelmalik; Edwards, Louise; Meng, Qingchang; Rakhit, Sumanas
PATENT ASSIGNEE(S): Allelix Biopharmaceuticals Inc., Can.
SOURCE: PCT Int. Appl., 71 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9823587	A1	19980604	WO 1997-CA900	19971124
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2273328	A1	19980604	CA 1997-2273328	19971124
AU 9851122	A	19980622	AU 1998-51122	19971124
AU 738668	B2	20010920		
EP 944595	A1	19990929	EP 1997-945687	19971124
EP 944595	B1	20031001		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
CN 1245491	A	20000223	CN 1997-181512	19971124
CN 1289479	C	20061213		
JP 2001504501	T	20010403	JP 1998-524083	19971124
AT 251136	T	20031015	AT 1997-945687	19971124
ZA 9710643	A	19980902	ZA 1997-10643	19971126
TW 432059	B	20010501	TW 1997-86119400	19971218
MX 9904888	A	20000531	MX 1999-4888	19990526
HK 1026689	A1	20041015	HK 2000-101951	20000329

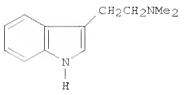
PRIORITY APPLN. INFO.: US 1996-755805 A 19961126
WO 1997-CA900 W 19971124

OTHER SOURCE(S): CASREACT 129:54290; MARPAT 129:54290
GI

L4 ANSWER 91 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



I



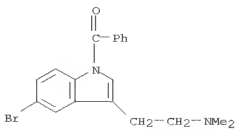
II

AB The title compds. [I; A = (un)substituted six-membered, non-aromatic, carbocycle and a six-membered, non-aromatic, optionally substituted heterocycle having one or two heteroatoms selected from O, S, SO, SO2, and NR4; R1 = H, OH; n = 0 or 1 as permitted by chemical structure; R2 = CR5R6CH2NR7R8 or a N-containing heterocyclyl group; R3 = H and benzoyl; R4 = H, lower alkyl, benzyl, lower alkylcarbonyl, alkylaminocarbonyl; alkylaminothiocarbonyl, alkanoyl, alkylaminoimide, etc.; R5, R6 = H, lower alkoxy and hydroxy; R7, R8 = H and lower alkyl or R7 and R8 form an alkylene bridge which, together with the nitrogen atom to which they are attached, creates an optionally substituted 3- to 6-membered ring] are prepared I are useful as pharmaceuticals to treat indications where stimulation of a 5-HT1D-like receptor is implicated, such as migraine. Thus, 5-bromo-3-(N,N-dimethylaminoglyoxyl)-1H-indole (preparation given) was reacted with 1-tributylstannylcyclohex-1-ene in the presence of (Ph3P)4Pd and then treated with LAH to give the title compound (II), which showed EC50 of 0.96 mM when tested on the rabbit saphenous vein.

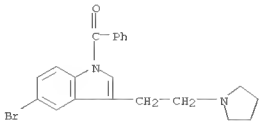
IT 208464-42-0P 208464-44-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 5-cyclo indole compds. as 5-HT1D receptor ligands)

RN 208464-42-0 CAPLUS
CN Methanone, [5-bromo-3-[2-(dimethylamino)ethyl]-1H-indol-1-yl]phenyl- (CA INDEX NAME)

L4 ANSWER 91 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 208464-44-2 CAPLUS
CN Methanone, [5-bromo-3-[2-(1-pyrrolidinyl)ethyl]-1H-indol-1-yl]phenyl- (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

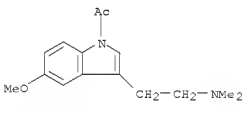
L4 ANSWER 92 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1998:190754 CAPLUS
DOCUMENT NUMBER: 128:257295
ORIGINAL REFERENCE NO.: 128:50935a,50938a
TITLE: Chemistry of indoles. 81. Syntheses of serotonin, N-methylserotonin, bufotenine, and melatonin, and the first total synthesis of N-(indole-3-yl)methyl-N-methyl-5-methoxytryptamine from tryptamine through a common intermediate, 1-hydroxytryptamine
AUTHOR(S): Somei, Masanori; Yamada, Fumio; Morikawa, Harunobu
CORPORATE SOURCE: Fac. Pharmaceutical Sci., Kanazawa Univ., Kanazawa, 920, Japan
SOURCE: Heterocycles (1997), 46, 91-94
CODEN: HTCYAM; ISSN: 0385-5414
PUBLISHER: Japan Institute of Heterocyclic Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 128:257295

AB Simple synthesis of serotonin, N-methylserotonin, bufotenine, and melatonin, and the first total synthesis of N-(indol-3-yl)methyl-N-methyl-5-methoxytryptamine from tryptamine was reported through acid catalyzed nucleophilic substitution reaction of 1-hydroxytryptamines.

IT 39998-63-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of serotonin, bufotenine, and melatonin via nucleophilic substitution of hydroxytryptamine)

RN 39998-63-5 CAPLUS
CN Ethanone, 1-[3-[2-(dimethylamino)ethyl]-5-methoxy-1H-indol-1-yl]- (CA INDEX NAME)



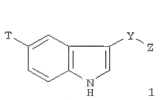
REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 93 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1997:752952 CAPLUS
DOCUMENT NUMBER: 128:34681
ORIGINAL REFERENCE NO.: 128:6833a,6836a
TITLE: Preparation of thiophene and furan substituted tryptamine analogs for use as 5-HT1D receptor agonists
INVENTOR(S): Meng, Qingchang; Slassi, Abdelmalik; Rakhit, Sumanas
PATENT ASSIGNEE(S): Allelix Biopharmaceuticals Inc., Can.
SOURCE: PCT Int. Appl., 49 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9743281	A1	19971120	WO 1997-CA333	19970516
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN				
RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5770742	A	19980623	US 1996-648842	19960516
CA 2253941	A1	19971120	CA 1997-2253941	19970516
AU 9727595	A	19971205	AU 1997-27595	19970516
PRIORITY APPLN. INFO.:			US 1996-648842 A	19960516
			US 1997-835778 A	19970407
			WO 1997-CA333 W	19970516

OTHER SOURCE(S): MARPAT 128:34681
GI



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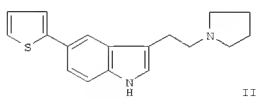
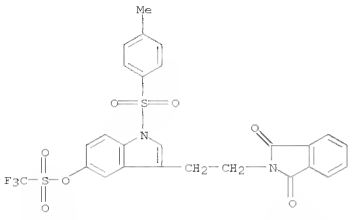


II

AB 5-Substituted tryptamine analogs I [T = furanyl, thienyl; Y = bond, connecting alkyl group; Z = amino, N containing heterocyclyl such as pyrrolidinyl, pyrrolinyl, azetidinyl, piperidinyl] were prepared for use as 5-HT1D receptors agonists and consequently show potential in alleviation of the symptoms of migraine. Thus, 5-(2-thienyl)tryptamine analog II was prepared starting from 5-bromoindole, oxalyl chloride, and pyrrolidine and showed 84% and 14% inhibition of binding when tested for affinity for the 5-HT1Dβ and 5-HT1Dα receptors, resp.

IT 199659-12-6P

L4 ANSWER 93 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of thiophene and furan contg. tryptamine analogs for use as 5-HT1D receptor agonists)
RN 199659-12-6 CAPLUS
CN Methanesulfonic acid, 1,1,1-trifluoro-, 3-[2-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)ethyl]-1-[(4-methylphenyl)sulfonyl]-1H-indol-5-yl ester (CA INDEX NAME)

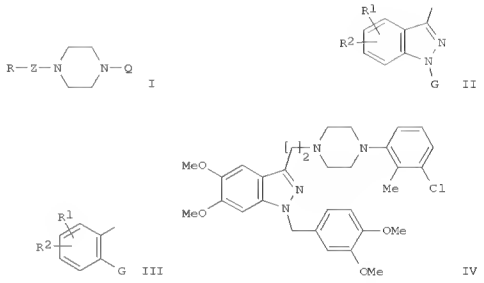


REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 94 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1997:701490 CAPLUS
DOCUMENT NUMBER: 128:22921
ORIGINAL REFERENCE NO.: 128:4495a,4498a
TITLE: Preparation of piperazines having calmodulin inhibitory activity
INVENTOR(S): Yamamoto, Kenjiro; Hasegawa, Atsushi; Kubota, Hideki; Andodeceased, Masahiro; Yamaguchi, Hitoshi
PATENT ASSIGNEE(S): Daiichi Pharmaceutical Co., Ltd., Japan
SOURCE: U.S., 44 pp., Cont.-in-part of U.S. Ser. No. 242,842, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

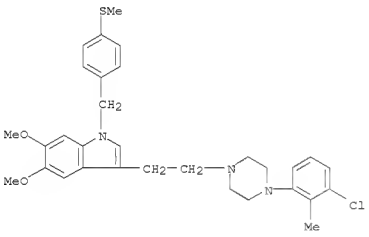
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5681954	A	19971028	US 1995-416311	19950404
PRIORITY APPLN. INFO.:			JP 1993-11277	A 19930514
			US 1994-242842	B2 19940516

OTHER SOURCE(S): MARPAT 128:22921
GI



AB The title compds. [I; Q = C1-6 alkyl, C1-6 alkoxy, CF3, etc.; R = II or III (wherein G = C1-6 alkyl, (un)substituted Ph, etc.; R1, R2 = C1-6 alkyl, C1-6 alkoxy, CF3, etc.); Z = C1-3 alkylene, C2-4 alkenylene, C(O), etc.], useful as a treating agent for diseases in the circulatory organs or in the cerebral region which are caused by excessive activation of calmodulin, were prepd. Thus, treatment of 1-{[5,6-dimethoxy-1-(3,4-dimethoxybenzyl)-1H-indazol-3-yl]acetyl}-4-(3-chloro-2-methylphenyl)piperazine with BH3*THF in THF afforded the title compd. IV which showed 19.2% increase of survival time on nitrogen-induced hypoxia model in mouse, and IC50 of 3.1 against calmodulin-dependent PDE.

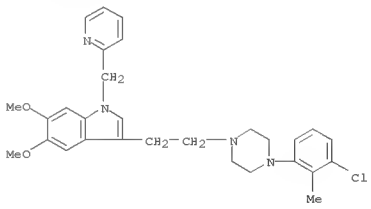
IT 162496-16-4P 162496-17-5P 162496-18-6P
162496-19-7P 162496-20-0P 198980-89-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperazines having calmodulin inhibitory activity)
RN 162496-16-4 CAPLUS
CN 1H-Indole, 3-[2-[4-(3-chloro-2-methylphenyl)-1-piperazinyl]ethyl]-5,6-dimethoxy-1-[[4-(methylthio)phenyl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

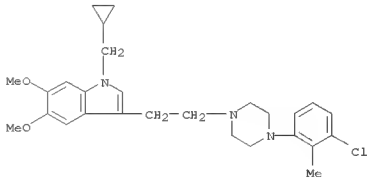
RN 162496-17-5 CAPLUS
CN 1H-Indole, 3-[2-[4-(3-chloro-2-methylphenyl)-1-piperazinyl]ethyl]-5,6-dimethoxy-1-(2-pyridinylmethyl)-, hydrochloride (1:3) (CA INDEX NAME)

L4 ANSWER 94 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



● 3 HCl

RN 162496-18-6 CAPLUS
CN 1H-Indole, 3-[2-[4-(3-chloro-2-methylphenyl)-1-piperazinyl]ethyl]-1-(cyclopropylmethyl)-5,6-dimethoxy-, hydrochloride (1:1) (CA INDEX NAME)

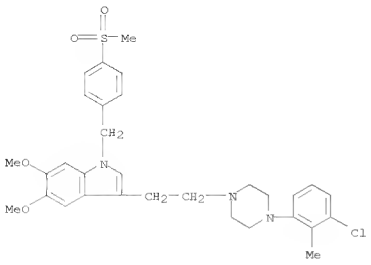


● HCl

RN 162496-19-7 CAPLUS
CN 1H-Indole, 3-[2-[4-(3-chloro-2-methylphenyl)-1-piperazinyl]ethyl]-5,6-dimethoxy-1-[[4-(methylsulfonyl)phenyl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)

L4 ANSWER 94 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

PAGE 1-A

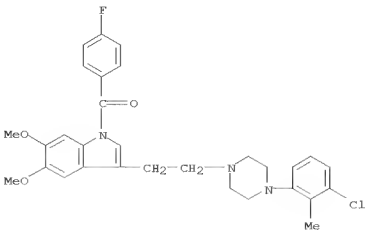


PAGE 2-A

● HCl

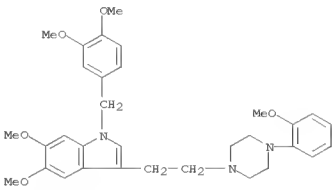
RN 162496-20-0 CAPLUS
CN Methanone, [3-[2-[4-(3-chloro-2-methylphenyl)-1-piperazinyl]ethyl]-5,6-dimethoxy-1H-indol-1-yl](4-fluorophenyl)-, hydrochloride (1:3) (CA INDEX NAME)

L4 ANSWER 94 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



● 3 HCl

RN 198980-89-1 CAPLUS
CN 1H-Indole, 1-[(3,4-dimethoxyphenyl)methyl]-5,6-dimethoxy-3-[2-[4-(2-methoxyphenyl)-1-piperazinyl]ethyl]- (CA INDEX NAME)



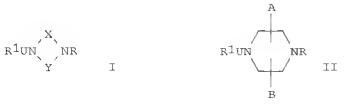
REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 95 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1997:499179 CAPLUS
DOCUMENT NUMBER: 127:176441
ORIGINAL REFERENCE NO.: 127:34187a,34190a
TITLE: Preparation of N-heterocyclylalkyl- or N-[(polycyclyl)-alkyl]-N'-substituted piperazines as insecticides.
INVENTOR(S): Silverman, Ian R.; Ali, Syed F.; Cohen, Daniel H.; Lyga, John W.; Simmons, Kirk A.; Cullen, Thomas G.
PATENT ASSIGNEE(S): FMC Corp., USA
SOURCE: PCT Int. Appl., 59 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9726252	A1	19970724	WO 1997-US804	19970115
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 2007	H1	20011204	US 1997-780371	19970109
AU 9715809	A	19970811	AU 1997-15809	19970115
PRIORITY APPLN. INFO.:			US 1996-10237P P	19960119
			US 1997-780371 A	19970109
			WO 1997-US804 W	19970115

OTHER SOURCE(S): MARPAT 127:176441
GI



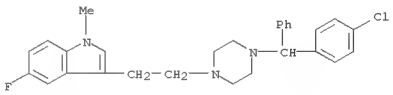
AB Title compds. [I; A, B = alkyl; U = alkylene, alkenylene, CHZ; Z = H, alkyl, cycloalkyl, Ph; R = (substituted) Ph, dibenzocycloalkyl, etc.; R1 = (substituted) Ph, naphthyl, tetrazolylphenyl, benzothienyl, benzimidazolyl, indolyl, pyrrolyl, quinolinyl, etc.; X = (CH2)m; Y = (CH2)n; m = 2,3; n = 1-3], were prepared Thus, reaction of N-[bis(4-trifluoromethylphenyl)methyl]piperazine and 4-(pyrid-2-yloxy)benzyl chloride in Me2SO containing NaI and

L4 ANSWER 95 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

diisopropylethylamine gave N-[4-(pyrid-2-yloxy)phenylmethyl]-N'-[bis(4-trifluoromethylphenyl)methyl]piperazine. The latter at 50 micromolar in feed gave 100% inhibition of the growth of tobacco budworms.

IT 194016-89-2P
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-heterocyclylalkyl- or N-[(polycyclyl)-alkyl]-N'-substituted piperazines as insecticides)

RN 194016-89-2 CAPLUS
CN 1H-Indole, 3-[2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethyl]-5-fluoro-1-methyl- (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

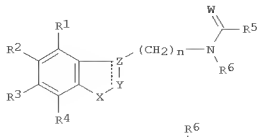
L4 ANSWER 96 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1997:247954 CAPLUS
DOCUMENT NUMBER: 126:225161
ORIGINAL REFERENCE NO.: 126:43539a,43542a
TITLE: Acylated derivatives of melatonin and its analogs, useful as medicaments
INVENTOR(S): Fourtillan, Jean-Bernard; Fourtillan, Marianne; Jacquesy, Jean-Claude; Jouannetaud, Marie-Paule; Violeau, Bruno; Karam, Omar
PATENT ASSIGNEE(S): Cemaf, Fr.; Laboratoires Besins Iscovesco S.A.; Fourtillan, Jean-Bernard; Fourtillan, Marianne; Jacquesy, Jean-Claude; Jouannetaud, Marie-Paule; Violeau, Bruno; Karam, Omar
SOURCE: PCT Int. Appl., 33 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9706140	A1	19970220	WO 1996-FR1260	19960807
W: AL, AU, BB, BG, BR, CA, CN, CZ, EE, GE, HU, IS, JP, KP, KR, LK, LR, LT, LV, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
FR 2737725	A1	19970214	FR 1995-9611	19950808
FR 2737725	B1	19971031		
AU 9668236	A	19970305	AU 1996-68236	19960807
EP 851855	A1	19980708	EP 1996-928490	19960807
EP 851855	B1	20020605		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
CN 1196049	A	19981014	CN 1996-196943	19960807
CN 1118451	C	20030820		
JP 11510804	T	19990921	JP 1996-508184	19960807
AT 218547	T	20020615	AT 1996-928490	19960807
PT 851855	T	20021031	PT 1996-928490	19960807
ES 2176480	T3	20021201	ES 1996-928490	19960807
JP 4061658	B2	20080319	JP 1997-508184	19960807
ZA 9606751	A	19971103	ZA 1996-6751	19960808
US 6004991	A	19991221	US 1998-11042	19980327
US 6140372	A	20001031	US 1999-292968	19990416

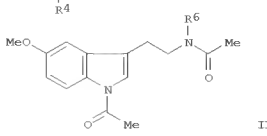
PRIORITY APPLN. INFO.: FR 1995-9611 A 19950808
WO 1996-FR1260 W 19960807

OTHER SOURCE(S): CASREACT 126:225161; MARPAT 126:225161
GI

L4 ANSWER 96 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



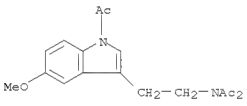
I



II

AB Title derivs. I [W = O, S, (un)substituted NH; X = (un)substituted NH, CH:CH, CH2CH2; YZ = CH:C, C(W)CH, CH2CH; or XYZ = (un)substituted CH2CH:CHCH, CH2C(W)CH2CH, CH2CH2C(W)CH; n = 1-4, especially 2; R1-R6 = H, OH, (un)substituted alk(en/yn)yl, cycloalkyl, alkoxy, aryloxy, aralkoxy, alkylthio, halo, NO2, aryl, etc.], are disclosed, as is a method for their preparation, their therapeutic use, particularly for treating diseases associated with melatonin disorders, and pharmaceutical and cosmetic compns. containing them. For example, treatment of melatonin with NaH in THF, followed by acetyl chloride, gave title compds. II [R6 = H and Ac]. Tests in fish showed that I have a hypnotic effect greater than that of melatonin, and equivalent to that of diazepam.
IT 188397-02-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of acylated melatonin derivs. as drugs and cosmetics)
RN 188397-02-6 CAPLUS
CN Acetamide, N-acetyl-N-[2-(1-acetyl-5-methoxy-1H-indol-3-yl)ethyl]- (CA INDEX NAME)

L4 ANSWER 96 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



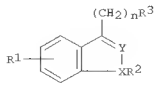
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 97 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1997:69730 CAPLUS
DOCUMENT NUMBER: 126:89354
ORIGINAL REFERENCE NO.: 126:17255a,17258a
TITLE: Preparation of indole, indazole, and benzisoxazole derivatives for the treatment of schizophrenia
INVENTOR(S): Lavielle, Gilbert; Muller, Olivier; Millan, Mark; Audinot, Valerie
PATENT ASSIGNEE(S): Adir Et Compagnie, Fr.
SOURCE: Eur. Pat. Appl., 19 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 747379	A1	19961211	EP 1996-401208	19960606
EP 747379	B1	19990811		
R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
FR 2735129	A1	19961213	FR 1995-6663	19950607
FR 2735129	B1	19970711		
JP 08333362	A	19961217	JP 1996-141436	19960604
CA 2178302	A1	19961208	CA 1996-2178302	19960605
CA 2178302	C	20020226		
AU 9654735	A	19961219	AU 1996-54735	19960605
AU 702285	B2	19990218		
CN 1143642	A	19970226	CN 1996-107985	19960605
CN 1060772	C	20010117		
NO 9602360	A	19961209	NO 1996-2360	19960606
NO 309090	B1	20001211		
US 5703070	A	19971230	US 1996-663464	19960606
AT 183183	T	19990815	AT 1996-401208	19960606
ES 2137638	T3	19991216	ES 1996-401208	19960606
ZA 9604842	A	19970107	ZA 1996-4842	19960607

PRIORITY APPLN. INFO.: FR 1995-6663 A 19950607

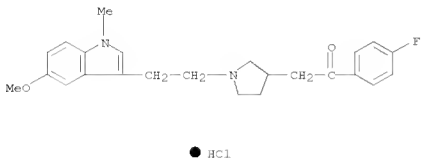
OTHER SOURCE(S): CASREACT 126:89354; MARPAT 126:89354
GI



I

AB I [R1 = H, halo, alkyl, alkoxy, trihalomethyl, OH; R2 = H, alkyl, (un)substituted Ph; R2XY = R2NCH, R2NN, ON; R3 = nitrogen heterocyclyl; n = 1-6] were prepared E.g., (5-methoxyindol-3-yl)acetic acid was reduced with LiAlH4, brominated (PPh3, CBr4), and reacted with 3-(4-fluorobenzoylmethyl)pyrrolidine to give

L4 ANSWER 97 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
3-{2-[3-(4-fluorobenzoylmethyl)pyrrolidin-1-yl]ethyl}-5-methoxyindole hydrochloride. I showed strong affinity for 5-HT1A, 5-HT2A, and 5-HT2C receptors. Antipsychotic activities of I were also investigated.
IT 185557-95-3P
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indole, indazole, and benzisoxazole derivs. for the treatment of schizophrenia)
RN 185557-95-3 CAPLUS
CN Ethanone, 1-(4-fluorophenyl)-2-[1-[2-(5-methoxy-1-methyl-1H-indol-3-yl)ethyl]-3-pyrrolidinyl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

L4 ANSWER 98 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1996:210083 CAPLUS
DOCUMENT NUMBER: 124:343124
ORIGINAL REFERENCE NO.: 124:63723a,63726a
TITLE: Preparation of pyrido[3,4-b]indoles with 5-HT1c receptor activity.
INVENTOR(S): Audia, James E.; Droste, James J.; Evrard, Deborah A.
PATENT ASSIGNEE(S): Eli Lilly and Co., USA
SOURCE: U.S., 33 pp., Cont.-in-part of U.S. 5,300,645.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

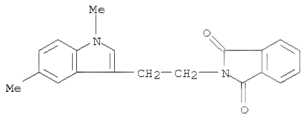
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5488053	A	19960130	US 1994-206830	19940311
US 5300645	A	19940405	US 1993-48392	19930414
US 5538980	A	19960723	US 1995-437912	19950510
US 5538981	A	19960723	US 1995-438595	19950510
PRIORITY APPLN. INFO.:			US 1993-48392	A2 19930414
			US 1994-206830	B3 19940311

OTHER SOURCE(S): CASREACT 124:343124; MARPAT 124:343124
GI

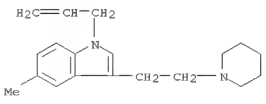
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; R1, R3 = H, C1-3 alkyl; R2 = H, C1-6 alkyl; R4 = (substituted) bicyclic; A = (substituted) benzo, naphtho], useful as central nervous system agents, were prepared Cyclization of azalactone
II
with 5-isopropyltryptamine.HCl (III.HCl) in 1N HCl followed by treatment with maleic acid afforded IV maleate which showed IC50 of 9 nM against 5-HT1c receptor binding vs. >100 nM against 5-HT2 receptor binding.
IT 176727-96-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyrido[3,4-b]indoles with 5-HT1c receptor activity.)
RN 176727-96-1 CAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2-[2-(1,5-dimethyl-1H-indol-3-yl)ethyl]- (CA INDEX NAME)

L4 ANSWER 98 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



L4 ANSWER 99 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1996:192010 CAPLUS
DOCUMENT NUMBER: 124:343039
ORIGINAL REFERENCE NO.: 124:63707a,63710a
TITLE: A Versatile Synthesis of 3-Substituted Indolines and Indoles
AUTHOR(S): Zhang, Dawei; Liebeskind, Lanny S.
CORPORATE SOURCE: Sanford S. Atwood Chemistry Center, Emory University, Atlanta, GA, 30322, USA
SOURCE: Journal of Organic Chemistry (1996), 61(8), 2594-5
CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 124:343039
AB Four different 2-bromo-N,N-diallylanilines (unsubstituted, 4-Me, 4-methoxy, 4-N,N-diallyl-6-methoxy) on treatment with 2 equiv of tert-BuLi
in tert-Bu Me ether (-78° → rt) underwent bromine-lithium exchange followed by cyclolithiation and produced the corresponding N-allyl-3-lithiomethylindolines. Quenching the lithiate with an electrophile (H2O, D2O, 3-methoxy-4-benzyloxybenzaldehyde, diisopropyl squarate, N-methylene piperidinium chloride) generated a series of 3-substituted indolines in good to excellent isolated yields. Oxidation of
the N-allylindoline to the N-allylindole was rapid and efficient at room temperature using one equivalent of o-chloranil in tert-Bu Me ether. Two N-allylindolines were subjected to N-deallylation using a recently described protocol (cat. Pd2(dba)3/l,4-bis(diphenylphosphino)butane, 2-mercaptobenzoic acid, THF at reflux).
IT 176505-74-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 176505-74-1 CAPLUS
CN 1H-Indole, 5-methyl-3-[2-(1-piperidinyl)ethyl]-1-(2-propen-1-yl)- (CA INDEX NAME)



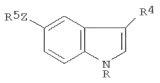
L4 ANSWER 100 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1996:175609 CAPLUS
DOCUMENT NUMBER: 124:232432
ORIGINAL REFERENCE NO.: 124:43059a,43062a
TITLE: Preparation of indole derivatives as prodrugs of 5-HT1-like receptor agonists
INVENTOR(S): Blade, Robert John; Pang, Yih Sang; Selwood, David Lawrence
PATENT ASSIGNEE(S): Wellcome Foundation Ltd., UK
SOURCE: PCT Int. Appl., 23 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9532966	A1	19951207	WO 1995-GB1249	19950531
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9526219	A	19951221	AU 1995-26219	19950531
EP 765322	A1	19970402	EP 1995-921004	19950531
EP 765322	B1	20010725		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 10500987	T	19980127	JP 1995-500520	19950531
AT 203533	T	20010815	AT 1995-921004	19950531
ES 2161892	T3	20011216	ES 1995-921004	19950531
PT 765322	T	20020130	PT 1995-921004	19950531
JP 3262800	B2	20020304	JP 1996-500520	19950531
US 5962486	A	19991005	US 1996-737759	19961122
US 20010051637	A1	20011213	US 2001-759586	20010112
US 6423731	B2	20020723		
GR 3036953	T3	20020131	GR 2001-401822	20011019

PRIORITY APPLN. INFO.:

EP 1994-303928	A	19940601
EP 1995-921004	A	19950531
WO 1995-GB1249	W	19950531
US 1996-737759	A1	19961122
US 1999-360387	A1	19990723

OTHER SOURCE(S): MARPAT 124:232432
GI



I

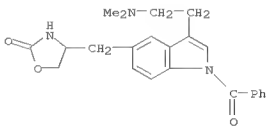
AB Title compds. [I; R = alkanoyl, alkoxycarbonyl, Bz, etc.; R4 = 2-[(di)(alkyl)amino]ethyl, (1-alkyl)-4-piperidinyl, etc.; R5 = 5-oxo-2-pyrrolidinyl, 2-oxo-4-oxazolidinyl, 2,5-dioxo-1-imidazolidinyl, etc.; Z = bond, (CH2)1-3] were prepared as prodrugs for I (R = H). Thus, I (R4 = CH2CH2NMe2, R5 = 2-oxo-4-oxazolidinyl, Z = CH2)(II; R = Ac) had half-life of .apprx.3h for conversion to II (R = H) in rat plasma.

IT 174610-65-2P 174610-67-4P 174610-69-6P
174610-70-9P 174610-72-1P 174610-74-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indole derivs. as prodrugs of 5-HT1-like receptor agonists)

RN 174610-65-2 CAPLUS
CN 2-Oxazolidinone, 4-[[1-benzoyl-3-[2-(dimethylamino)ethyl]-1H-indol-5-yl]methyl]-, acetate (1:1) (CA INDEX NAME)

CM 1

CRN 174610-64-1
CMF C23 H25 N3 O3



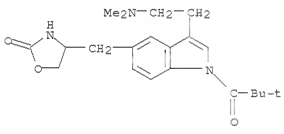
CM 2

CRN 64-19-7
CMF C2 H4 O2

RN 174610-67-4 CAPLUS
CN 2-Oxazolidinone, 4-[[3-[2-(dimethylamino)ethyl]-1-(2,2-dimethyl-1-oxopropyl)-1H-indol-5-yl]methyl]-, acetate (1:1) (CA INDEX NAME)

CM 1

CRN 174610-66-3
CMF C21 H29 N3 O3



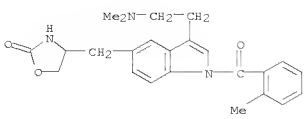
CM 2

CRN 64-19-7
CMF C2 H4 O2

RN 174610-69-6 CAPLUS
CN 2-Oxazolidinone, 4-[[3-[2-(dimethylamino)ethyl]-1-(2-methylbenzoyl)-1H-indol-5-yl]methyl]-, acetate (1:1) (CA INDEX NAME)

CM 1

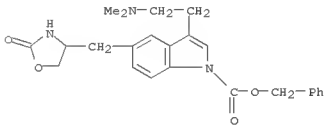
CRN 174610-68-5
CMF C24 H27 N3 O3



CM 2

CRN 64-19-7
CMF C2 H4 O2

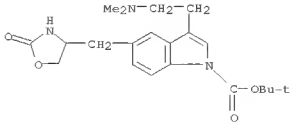
RN 174610-70-9 CAPLUS
CN 1H-Indole-1-carboxylic acid, 3-[2-(dimethylamino)ethyl]-5-[(2-oxo-4-oxazolidinyl)methyl]-, phenylmethyl ester (CA INDEX NAME)



RN 174610-72-1 CAPLUS
CN 1H-Indole-1-carboxylic acid, 3-[2-(dimethylamino)ethyl]-5-[(2-oxo-4-oxazolidinyl)methyl]-, 1,1-dimethylethyl ester, acetate (1:1) (CA INDEX NAME)

CM 1

CRN 174610-71-0
CMF C21 H29 N3 O4



CM 2

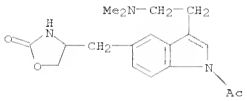
CRN 64-19-7
CMF C2 H4 O2

L4 ANSWER 100 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

RN 174610-74-3 CAPLUS
CN 2-Oxazolidinone, 4-[[1-acetyl-3-[2-(dimethylamino)ethyl]-1H-indol-5-yl]methyl]-, acetate (1:1) (CA INDEX NAME)

CM 1

CRN 174610-73-2
CMF C18 H23 N3 O3

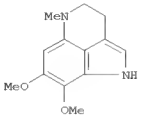


CM 2

CRN 64-19-7
CMF C2 H4 O2

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

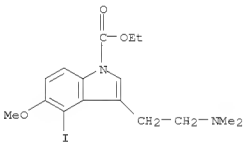
L4 ANSWER 101 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1996:46904 CAPLUS
DOCUMENT NUMBER: 124:146551
ORIGINAL REFERENCE NO.: 124:27273a,27276a
TITLE: Novel Syntheses of Tetrahydropyrroloquinolines: Applications to Alkaloid Synthesis
AUTHOR(S): Peat, Andrew J.; Buchwald, Stephen L.
CORPORATE SOURCE: Department of Chemistry, Massachusetts Institute of Technology, Cambridge, MA, 02139, USA
SOURCE: Journal of the American Chemical Society (1996), 118(5), 1028-30
CODEN: JACSAT; ISSN: 0002-7863
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 124:146551
GI



I

AB Two novel routes involving the intramol. olefin insertion with a zirconium-benzyne complex, followed by a palladium-catalyzed aryl amination, were developed for the synthesis of tetrahydropyrroloquinolines. In one approach, exemplified in the six-step total synthesis of the South American toad poison dehydrobufotenine, the tricyclic system was formed via the Pd-catalyzed ring closure of a functionalized tryptamine derivative In the second, cyclization of an appropriately substituted quinoline yields I, an intermediate in the synthesis of damirones A and B, and also makaluvamine C, a topoisomerase II inhibitor exhibiting antitumor properties.
IT 173217-19-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(syntheses of tetrahydropyrroloquinolines as applications to alkaloid synthesis)
RN 173217-19-1 CAPLUS
CN 1H-Indole-1-carboxylic acid, 3-[2-(dimethylamino)ethyl]-4-iodo-5-methoxy-, ethyl ester (CA INDEX NAME)

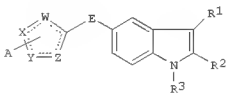
L4 ANSWER 101 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



L4 ANSWER 102 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1995:610523 CAPLUS
DOCUMENT NUMBER: 123:9441
ORIGINAL REFERENCE NO.: 123:1983a,1986a
TITLE: Indole-substituted five-membered heteroaromatic compounds as 5-HT1 receptor agonists
INVENTOR(S): Baker, Raymond; Reeve, Austin J.; Street, Leslie J.
PATENT ASSIGNEE(S): Merck Sharp and Dohme Ltd., UK
SOURCE: U.S., 31 pp. Cont. of U.S. Ser. No. 641,422, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5317103	A	19940531	US 1992-914683	19920716
PRIORITY APPLN. INFO.:			US 1991-641422	B1 19910115

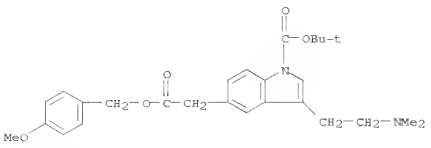
OTHER SOURCE(S): MARPAT 123:9441
GI



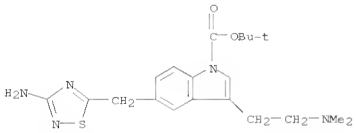
I

AB The title compds. [I; A = H, halogen, CN, NO2, CF3, (un)substituted NH2, etc.; E = (un)branched C1-4 alkylene, direct bond; R1 = (un)substituted aminoalkyl, (un)substituted heterocyclyl; R2, R3 = H, C1-6 alkyl, alkenyl, alkynyl; W, X, Y, Z = O, S, N, C; where >1 of W, X, Y, Z = O or S and >1 of W, X, Y, Z = C], useful as specific agonists of 5-HT1-like receptors (no data) and which are useful in the treatment of migraine headache and associated disorders (no data), are prepared and I-containing formulations presented. Thus, 2-[5-[5-(3-benzyl-1,2,4-oxadiazol)-yl]-1H-indol-3-yl]ethylamine hydrogen oxalate hydrate, m.p. 229°, was prepared
IT 137499-38-8P 163797-95-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of indole-substituted 5-membered heteroaroms. as 5-HT1 receptor agonists)
RN 137499-38-8 CAPLUS
CN 1H-Indole-5-acetic acid, 3-[2-(dimethylamino)ethyl]-1-[(1,1-dimethylethoxy)carbonyl]-, (4-methoxyphenyl)methyl ester (CA INDEX NAME)

L4 ANSWER 102 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 163797-95-3 CAPLUS
CN 1H-Indole-1-carboxylic acid, 5-[(3-amino-1,2,4-thiadiazol-5-yl)methyl]-3-[2-(dimethylamino)ethyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 103 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1995:507921 CAPLUS
DOCUMENT NUMBER: 123:55919
ORIGINAL REFERENCE NO.: 123:10075a,10078a
TITLE: Preparation of piperazine derivatives as calmodulin inhibitors.
INVENTOR(S): Yamamoto, Kenjiro; Hasegawa, Atsushi; Kubota, Hideki; Ando, Masahiro; Yamaguchi, Hitoshi C. O. Daiichi
PATENT ASSIGNEE(S): Daiichi Pharmaceutical Co. Ltd., Japan
SOURCE: Eur. Pat. Appl., 70 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

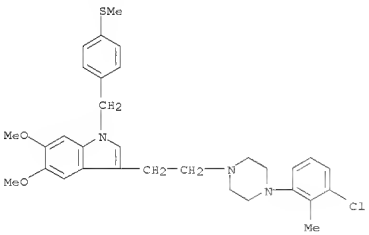
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 624584	A1	19941117	EP 1994-107496	19940513
EP 624584	B1	19980819		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, NL, PT, SE				
RU 2124511	C1	19990110	RU 1994-16183	19940512
CA 2123548	A1	19941115	CA 1994-2123548	19940513
CA 2123548	C	20030408		
FI 9402252	A	19941115	FI 1994-2252	19940513
NO 9401802	A	19941115	NO 1994-1802	19940513
NO 306901	B1	20000110		
AU 9463096	A	19941117	AU 1994-63096	19940513
AU 677644	B2	19970501		
CN 1101039	A	19950405	CN 1994-105810	19940513
CN 1049654	C	20000223		
JP 07097364	A	19950411	JP 1994-99391	19940513
JP 3220591	B2	20011022		
AT 169914	T	19980915	AT 1994-107496	19940513
ES 2125372	T3	19990301	ES 1994-107496	19940513
JP 2002053553	A	20020219	JP 2001-178197	19940513
TW 418198	B	20010111	TW 1994-83104731	19940525
AU 9724952	A	19970904	AU 1997-24952	19970617
AU 698486	B2	19981029		
PRIORITY APPLN. INFO.:			JP 1993-112771 A	19930514
			JP 1994-99391 A3	19940513

OTHER SOURCE(S): MARPAT 123:55919
GI

I

L4 ANSWER 103 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

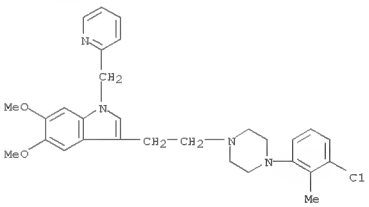
AB Title compds. I (Q = aryl, heterocyclyl, diarylmethyl, aralkyl composed of
an aryl and an alkylene having C1-6, C1-8 alkyl, C3-8 cycloalkyl, in which
the aryl, heterocyclyl, and the aryl moiety of the diarylmethyl and aralkyl may be substituted, etc.; R = bicyclic N-containing heterocyclyl,(substituted)Ph, etc.; Z = C1-3 alkylene, C2-4 alkenylene, HO-C1-3 alkylene, CO, etc.) or salt thereof, are prepared I R = 5,6-dimethoxy-1-(3,4-dimethoxybenzyl)-1H-indazol-3-yl, Z = CH2CO, Q = 2,3-ClMeC6H3 (preparation given) in THF and borane-THF complex were refluxed
for 2 h to give I (R = 5,6-dimethoxy-1-(3,4-dimethoxybenzyl)-1H-indazol-3-yl, Z = CH2CH2, Q = 2,3-ClMeC6H3). Calmodulin inhibitory activity was demonstrated.
IT 162496-16-4P 162496-17-5P 162496-18-6P
162496-19-7P 162496-20-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperazine derivs. as calmodulin inhibitors.)
RN 162496-16-4 CAPLUS
CN 1H-Indole, 3-[2-[4-(3-chloro-2-methylphenyl)-1-piperazinyl]ethyl]-5,6-dimethoxy-1-[[4-(methylthio)phenyl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

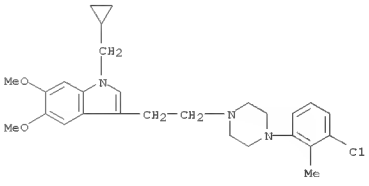
RN 162496-17-5 CAPLUS
CN 1H-Indole, 3-[2-[4-(3-chloro-2-methylphenyl)-1-piperazinyl]ethyl]-5,6-dimethoxy-1-(2-pyridinylmethyl)-, hydrochloride (1:3) (CA INDEX NAME)

L4 ANSWER 103 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



● 3 HCl

RN 162496-18-6 CAPLUS
CN 1H-Indole, 3-[2-[4-(3-chloro-2-methylphenyl)-1-piperazinyl]ethyl]-1-(cyclopropylmethyl)-5,6-dimethoxy-, hydrochloride (1:1) (CA INDEX NAME)

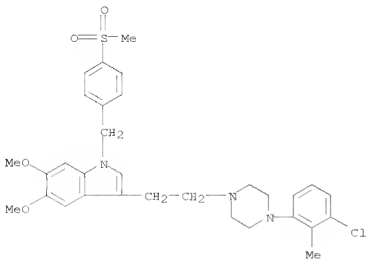


● HCl

RN 162496-19-7 CAPLUS
CN 1H-Indole, 3-[2-[4-(3-chloro-2-methylphenyl)-1-piperazinyl]ethyl]-5,6-dimethoxy-1-[[4-(methylsulfonyl)phenyl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)

L4 ANSWER 103 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

PAGE 1-A

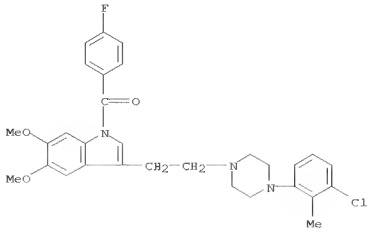


PAGE 2-A

● HCl

RN 162496-20-0 CAPLUS
CN Methanone, [3-[2-[4-(3-chloro-2-methylphenyl)-1-piperazinyl]ethyl]-5,6-dimethoxy-1H-indol-1-yl](4-fluorophenyl)-, hydrochloride (1:3) (CA INDEX NAME)

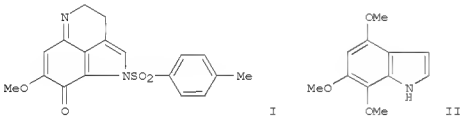
L4 ANSWER 103 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



● 3 HCl

L4 ANSWER 104 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1995:433559 CAPLUS
DOCUMENT NUMBER: 122:187848
ORIGINAL REFERENCE NO.: 122:34423a,34426a
TITLE: Efficient Syntheses of the Marine Alkaloids Makaluvamine D and Discorhabdin C: The 4,6,7-Trimethoxyindole Approach
AUTHOR(S): Sadanandan, Eyyani V.; Pillai, Sasi K.; Lakshmikantham, M. V.; Billimoria, Adil D.; Culpepper, J. Shane; Cava, Michael P.
CORPORATE SOURCE: Department of Chemistry, The University of Alabama, Tuscaloosa, AL, 35487-0336, USA
SOURCE: Journal of Organic Chemistry (1995), 60(6), 1800-5
CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 122:187848
GI



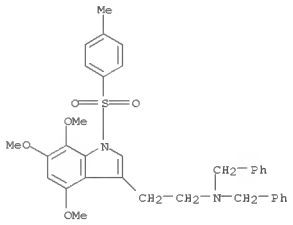
I II

AB A new and efficient synthesis of the tricyclic quinonimine I as its trifluoroacetate was developed starting from the com. available 2,4,5-trimethoxybenzaldehyde and proceeding via the hitherto unknown 4,6,7-trimethoxyindole II. I trifluoroacetate is the late stage key intermediate in several previously reported syntheses of the biol. active pyrrolo[4,3,2-de]quinoline marine alkaloids discorhabdin C and makaluvamine D.

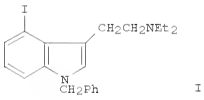
IT 161156-05-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(efficient syntheses of the marine alkaloids makaluvamine D and discorhabdin C via the trimethoxyindole approach)

RN 161156-05-4 CAPLUS
CN 1H-Indole-3-ethanamine, 4,6,7-trimethoxy-1-[(4-methylphenyl)sulfonyl]-N,N-bis(phenylmethyl)- (CA INDEX NAME)

L4 ANSWER 104 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

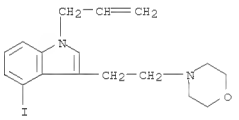


L4 ANSWER 105 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1995:231461 CAPLUS
DOCUMENT NUMBER: 122:31265
ORIGINAL REFERENCE NO.: 122:6171a,6174a
TITLE: Synthesis of Polysubstituted Indoles and Indolines by Means of Zirconocene-Stabilized Benzyne Complexes
AUTHOR(S): Tidwell, Jeffrey H.; Buchwald, Stephen L.
CORPORATE SOURCE: Department of Chemistry, Massachusetts Institute of Technology, Cambridge, MA, 02139, USA
SOURCE: Journal of the American Chemical Society (1994), 116(26), 11797-810
CODEN: JACSAT; ISSN: 0002-7863
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 122:31265
GI

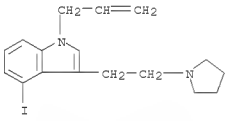


AB The development of a new method for the regiospecific synthesis of polysubstituted indoles and indolines, e.g. I, is reported. The key steps involve the generation of zirconocene-stabilized benzyne complexes and subsequent intramol. olefin insertion reactions to provide tricyclic indoline zirconacycles. The zirconacyclic intermediates were cleaved with iodine to yield diiodoindolines, which were converted to a wide variety of indole and indoline products, such as analogs of tryptamine, serotonin, tryptophan, and the pharmacophore of CC-1065.
IT 133931-20-1P 133931-21-2P 159766-69-5P 159766-70-8P 159766-71-9P 159766-72-0P 159766-76-4P 159766-84-4P 159766-87-7P 159766-89-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of polysubstituted indoles and indolines by means of zirconocene-stabilized benzyne complexes)
RN 133931-20-1 CAPLUS
CN 1H-Indole, 4-iodo-1-(phenylmethyl)-3-[2-(1-piperidinyl)ethyl]- (CA INDEX NAME)

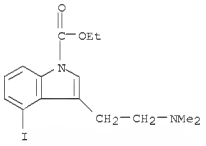
L4 ANSWER 105 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



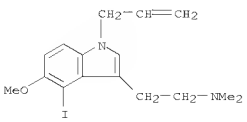
RN 159766-71-9 CAPLUS
CN 1H-Indole, 4-iodo-1-(2-propen-1-yl)-3-[2-(1-pyrrolidinyl)ethyl]- (CA INDEX NAME)



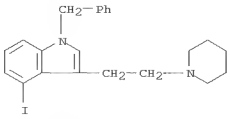
RN 159766-72-0 CAPLUS
CN 1H-Indole-1-carboxylic acid, 3-[2-(dimethylamino)ethyl]-4-iodo-, ethyl ester (CA INDEX NAME)



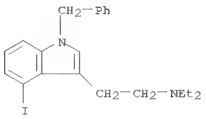
RN 159766-76-4 CAPLUS
CN 1H-Indole-3-ethanamine, 4-iodo-5-methoxy-N,N-dimethyl-1-(2-propen-1-yl)- (CA INDEX NAME)



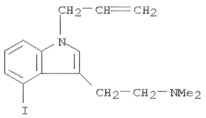
L4 ANSWER 105 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 133931-21-2 CAPLUS
CN 1H-Indole-3-ethanamine, N,N-diethyl-4-iodo-1-(phenylmethyl)- (CA INDEX NAME)

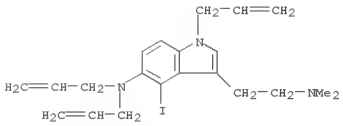


RN 159766-69-5 CAPLUS
CN 1H-Indole-3-ethanamine, 4-iodo-N,N-dimethyl-1-(2-propen-1-yl)- (CA INDEX NAME)

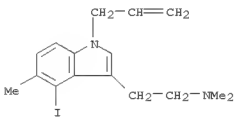


RN 159766-70-8 CAPLUS
CN 1H-Indole, 4-iodo-3-[2-(4-morpholinyl)ethyl]-1-(2-propen-1-yl)- (CA INDEX NAME)

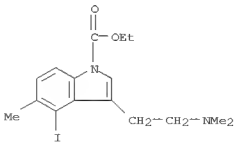
L4 ANSWER 105 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
RN 159766-84-4 CAPLUS
CN 1H-Indole-3-ethanamine, 5-(di-2-propen-1-ylamino)-4-iodo-N,N-dimethyl-1-(2-propen-1-yl)- (CA INDEX NAME)



RN 159766-87-7 CAPLUS
CN 1H-Indole-3-ethanamine, 4-iodo-N,N,5-trimethyl-1-(2-propen-1-yl)- (CA INDEX NAME)



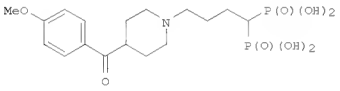
RN 159766-89-9 CAPLUS
CN 1H-Indole-1-carboxylic acid, 3-[2-(dimethylamino)ethyl]-4-iodo-5-methyl-, ethyl ester (CA INDEX NAME)



L4 ANSWER 106 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1994:701075 CAPLUS
DOCUMENT NUMBER: 121:301075
ORIGINAL REFERENCE NO.: 121:55125a,55128a
TITLE: Preparation of phosphonic acid derivatives useful for medically treating hyperlipemia
INVENTOR(S): Yoshida, Ichirou; Ikuta, Hironori; Fukuda, Yoshio; Eguchi, Yoshihito; Kaino, Makoto; Tagami, Katsuya; Kobayashi, Naoki; Hayashi, Kenji; Hiyoshi, Hironobu; et al.
PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan
SOURCE: PCT Int. Appl., 363 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9420508	A1	19940915	WO 1994-JP354	19940304
W: AU, CA, CN, FI, HU, JP, KR, NO, NZ, RU, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9461564	A	19940926	AU 1994-61564	19940304
EP 688325	A1	19951227	EP 1994-908498	19940304
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
HU 72307	A2	19960429	HU 1995-1944	19940304
JP 08508245	T	19960903	JP 1994-519819	19940304
JP 3526575	B2	20040517		
ZA 9401575	A	19941013	ZA 1994-1575	19940307
US 5719303	A	19980217	US 1995-530311	19950906
PRIORITY APPLN. INFO.:			JP 1993-46389 A	19930308
			WO 1994-JP354 W	19940304

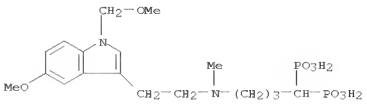
OTHER SOURCE(S): MARPAT 121:301075
GI



I

AB 533 Phosphonic acid derivs. RACRBR1P(O)(OR2)(OR3), e.g., I, or their pharmacol. acceptable salts, useful for medically treating hyperlipemia, were prepared The compds. of the present invention act as effective squalene synthetase inhibitors (test data given).
IT 159273-10-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

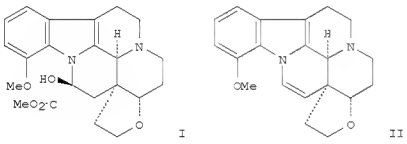
L4 ANSWER 106 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of phosphonic acid derivs. useful for medically treating hyperlipemia)
RN 159273-10-6 CAPLUS
CN Phosphonic acid, [4-[[2-[5-methoxy-1-(methoxymethyl)-1H-indol-3-yl]ethyl]methylamino]butylidene]bis-, tetrasodium salt (9CI) (CA INDEX NAME)



●4 Na

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

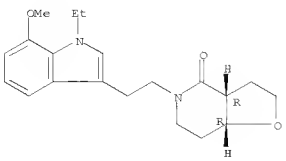
L4 ANSWER 107 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1994:579936 CAPLUS
DOCUMENT NUMBER: 121:179936
ORIGINAL REFERENCE NO.: 121:32691a,32694a
TITLE: Synthesis of Vinca alkaloids and related compounds. LXXI. Synthesis of (±)-cuanzine, (±)-decarbomethoxyapocuanzine, and some of their epimers
AUTHOR(S): Soti, Ferenc; Kajtar-Peredy, Maria; Kardos-Balogh, Zsuzsanna; Incze, Maria; Keresztury, Gabor; Czira, Gabor; Szantay, Csaba
CORPORATE SOURCE: Cent. Res. Inst. Chem., Hungarian Acad. Sci., Budapest, H-1525, Hung.
SOURCE: Tetrahedron (1994), 50(27), 8209-26
CODEN: TETRAB; ISSN: 0040-4020
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I II

AB Starting from 7-methoxytryptamine, using a previously developed, (±)-cuanzine (I), (±)-decarbomethoxyapocuanzine (II), and their epimers were synthesized.
IT 157763-02-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 157763-02-5 CAPLUS
CN Furo[3,2-c]pyridin-4(2H)-one, 5-[2-(1-ethyl-7-methoxy-1H-indol-3-yl)ethyl]hexahydro-, (3aR,7aR)-rel- (CA INDEX NAME)

Relative stereochemistry.



L4 ANSWER 107 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

L4 ANSWER 108 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1994:533963 CAPLUS
DOCUMENT NUMBER: 121:133963
ORIGINAL REFERENCE NO.: 121:24217a,24220a
TITLE: Indoleacetic acid ester derivatives
INVENTOR(S): Ikemoto, Tomoyuki; Horiguchi, Akyo; Kawashima, Yutaka;
Hatayama, Katsuo
PATENT ASSIGNEE(S): Taisho Pharma Co Ltd, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 9 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

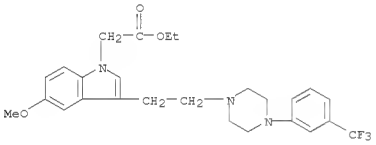
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 06041071	A	19940215	JP 1991-226921	19910906
PRIORITY APPLN. INFO.:			JP 1991-226921	19910906

OTHER SOURCE(S): MARPAT 121:133963
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

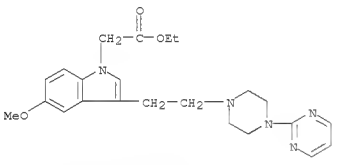
AB Title derivs. I [R1 = C1-8 alkyl; X = H, Cl-3 alkoxy; R2 = Q, Q1-6; n = 2-4; R3 = H, Ph, 2-pyridyl, (halo-substituted) 2-pyrimidyl, (halo-substituted) benzoyl, (NO2-substituted) 2-pyrimidyl, C2-6 alkoxycarbonyl; Ph may be substituted with 1-2 groups selected from halo, C1-4 alkyl, C1-4 alkoxy, C2-4 alkanoyl, benzoyl, 1-piperidyl, trifluoromethyl, and NO2; 2-pyridyl may be substituted with 1-2 groups selected from halo, C1-4 alkyl, C1-4 alkoxy, and trifluoromethyl] and their physiol. acceptable salts, useful for anxiolytics and antihypertensives, are prepared Thus, a solution of 2.00 g Et 3-(2-bromoethyl)indole-1-acetate and 1.32 g 1-(isopropylphenyl)piperazine in acetonitrile was refluxed in the presence of K2CO3 and the reaction product was purified by silica gel column chromatog. and recrystn. from isopropanol to give 2.137 g Et 3-[2-[4-(2-isopropylphenyl)piperazino]ethyl]indole-1-acetate.
IT 157263-67-7P 157263-68-8P 157263-69-9P
157263-70-2P 157263-71-3P 157263-72-4P
157263-73-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, for anxiolytics and antihypertensives)
RN 157263-67-7 CAPLUS
CN 1H-Indole-1-acetic acid, 3-[2-[4-(2,3-dimethylphenyl)-1-piperazinyl]ethyl]-5-methoxy-, ethyl ester (CA INDEX NAME)

L4 ANSWER 108 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
RN 157263-70-2 CAPLUS
CN 1H-Indole-1-acetic acid, 5-methoxy-3-[2-[4-[3-(trifluoromethyl)phenyl]-1-piperazinyl]ethyl]-, ethyl ester, hydrochloride (1:2) (CA INDEX NAME)



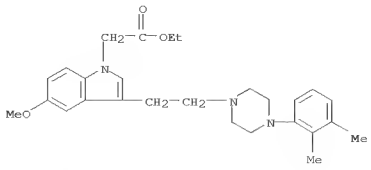
● 2 HCl

RN 157263-71-3 CAPLUS
CN 1H-Indole-1-acetic acid, 5-methoxy-3-[2-[4-(2-pyrimidinyl)-1-piperazinyl]ethyl]-, ethyl ester (CA INDEX NAME)

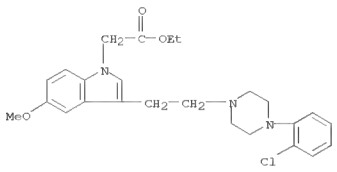


RN 157263-72-4 CAPLUS
CN 1H-Indole-1-acetic acid, 5-methoxy-3-[2-[4-[2-(1-methylethyl)phenyl]-1-piperazinyl]ethyl]-, ethyl ester, hydrochloride (1:1) (CA INDEX NAME)

L4 ANSWER 108 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

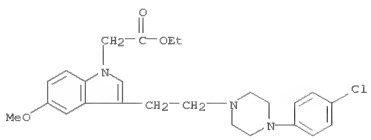


RN 157263-68-8 CAPLUS
CN 1H-Indole-1-acetic acid, 3-[2-[4-(2-chlorophenyl)-1-piperazinyl]ethyl]-5-methoxy-, ethyl ester, hydrochloride (1:1) (CA INDEX NAME)

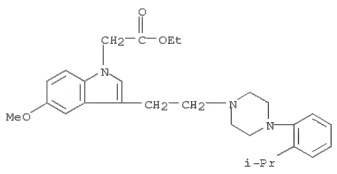


● HCl

RN 157263-69-9 CAPLUS
CN 1H-Indole-1-acetic acid, 3-[2-[4-(4-chlorophenyl)-1-piperazinyl]ethyl]-5-methoxy-, ethyl ester (CA INDEX NAME)

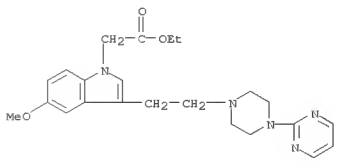


L4 ANSWER 108 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



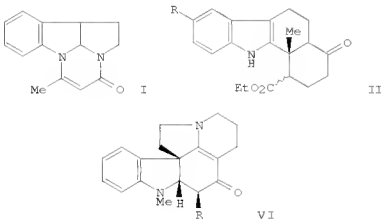
● HCl

RN 157263-73-5 CAPLUS
CN 1H-Indole-1-acetic acid, 5-methoxy-3-[2-[4-(2-pyrimidinyl)-1-piperazinyl]ethyl]-, ethyl ester, hydrochloride (1:1) (CA INDEX NAME)



● HCl

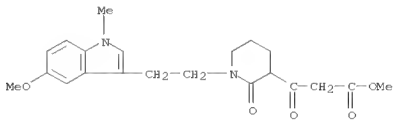
L4 ANSWER 109 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1994:457756 CAPLUS
DOCUMENT NUMBER: 121:57756
ORIGINAL REFERENCE NO.: 121:10425a,10428a
TITLE: Electrophilic substitution in indoles. Part 19. Facile syntheses of the 2a,5a-diazacyclopenta[j,k]fluorene, indolo[2,3-a]quinolizinone and aspidosperma alkaloid ring systems from N-acyltryptamines
AUTHOR(S): Wilkins, David J.; Jackson, Anthony H.; Shannon, Patrick V. R.
CORPORATE SOURCE: Sch. Chem. Appl. Chem., Univ. Wales Coll. Cardiff, Cardiff, CF1 3TB, UK
SOURCE: Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999) (1994), (3), 299-307
CODEN: JCPRB4; ISSN: 0300-922X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 121:57756
GI



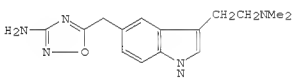
I II VI

AB Reaction with tryptamine with diketene gave N-[2-(1H-indol-3-yl)ethyl]-3-oxobutyramide (80%), which with phosphoryl chloride in dichloromethane gave (9bS*,9cS*)-1,2,9b,9c-tetrahydro-5-methyl-2a,5a-diazacyclopenta[j,k]fluoren-3-one I (73%). Hydrogenation gave the 4,5-dihydro and perhydro derivs. Michael addition of Et acetoacetate to benzyl acrylate gave 5-benzyl 1-Et 2-acetylpentanedioate (57%) which was hydrogenolyzed to 4-ethoxycarbonyl-5-oxohexanoic acid (100%), the mixed anhydride of which condensed with tryptamine to give 4-ethoxycarbonyl-N-[2-(1H-indol-3-yl)ethyl]-5-oxohexanamide (78%). The latter, with trifluoroacetic acid anhydride gave (±)-cis and trans-1-(ethoxycarbonyl)-2,3,6,7-tetrahydro-12b-methyl-12H-indolo[2,3-a]quinolizin-4(1H)-one II (95%).
N-[2-(1-Methylindol-3-yl)ethyl]piperidin-

L4 ANSWER 109 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
2-one (III) was synthesized in three stages. The anion of III with diketene gave (a) N-[2-(1-methylindol-3-yl)ethyl]-3-(1,3-dioxobutyl)piperidin-2-one (IV) and (b) in a three-stage process, N-[2-(1-methylindol-3-yl)ethyl]-3-(1-oxo-2-methoxycarbonylethyl)piperidin-2-one (V). Treatment of the dione IV with excess of trifluoroacetic acid anhydride gave (2S*,3R*,12R*)-3-acetyl-5-deethyl-5,19-didehydro-1-methyl-4-oxoaspidospermidine, (VI, R = COMe). Redn. of VI (R = COMe) with sodium cyanoborohydride gave the 20,21-dihydro deriv. and two (±)-diastereoisomeric alcs. Cyclization of the ester V with trifluoroacetic acid anhydride gave (2S*,3S*,12R*)-5-deethyl-5,19-didehydro-3-methoxycarbonyl-1-methyl-4-oxoaspidospermidine (VI, R = CO2Me).
IT 155988-76-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and intramol. cyclization of)
RN 155988-76-4 CAPLUS
CN 3-Piperidinepropanoic acid, 1-[2-(5-methoxy-1-methyl-1H-indol-3-yl)ethyl]-β,2-dioxo-, methyl ester (CA INDEX NAME)

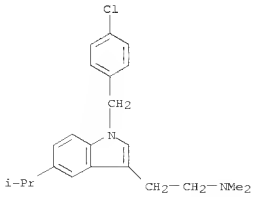


L4 ANSWER 110 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1994:457264 CAPLUS
DOCUMENT NUMBER: 121:57264
ORIGINAL REFERENCE NO.: 121:10321a,10324a
TITLE: Improved Fischer Indole Reaction for the Preparation of N,N-Dimethyltryptamines: Synthesis of L-695,894, a Potent 5-HT1D Receptor Agonist
AUTHOR(S): Chen, Cheng-yi; Senanayake, Chris H.; Bill, Timothy J.; Larsen, Robert D.; Verhoeven, Thomas R.; Reider, Paul J.
CORPORATE SOURCE: Merck Research Laboratories, Merck Co. Inc., Rahway, NJ, 07065, USA
SOURCE: Journal of Organic Chemistry (1994), 59(13), 3738-41
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 121:57264
GI

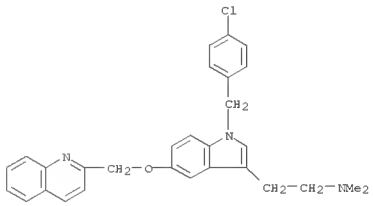


I

AB A facile preparation of 5-substituted-N,N-dimethyltryptamines using an improved Fischer indole reaction is described. This methodol. has been applied to the synthesis of the novel 5-HT1D agonist L-695,894 (I), a potential antimigraine drug.
IT 156281-05-9P 156281-06-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, via Fischer indole reactions of phenylhydrazine derivative with (dimethylamino)butanal acetal)
RN 156281-05-9 CAPLUS
CN 1H-Indole-3-ethanamine, 1-[(4-chlorophenyl)methyl]-N,N-dimethyl-5-(1-methylethyl)- (CA INDEX NAME)



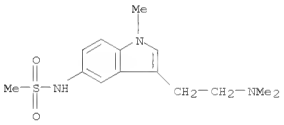
L4 ANSWER 110 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
RN 156281-06-0 CAPLUS
CN 1H-Indole-3-ethanamine, 1-[(4-chlorophenyl)methyl]-N,N-dimethyl-5-(2-quinolinylmethoxy)- (CA INDEX NAME)



L4 ANSWER 111 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1994:280303 CAPLUS
DOCUMENT NUMBER: 120:280303
ORIGINAL REFERENCE NO.: 120:49399a,49402a
TITLE: Pharmaceutical sachets containing 5-HT1 receptor agonists
INVENTOR(S): Schaeffer, Alain Emile Edouard
PATENT ASSIGNEE(S): Laboratoires Glaxo, Fr.
SOURCE: Fr. Demande, 11 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2691630	A1	19931203	FR 1993-6435	19930528
FR 2691630	B1	19950524		
PRIORITY APPLN. INFO.:			GB 1992-11276 A	19920528

AB Oral pharmaceutical compns. containing 5-HT1 receptor agonists are disclosed.
A unit dose sachet contained 3[2-(Vdimethylamino)ethyl]-N-methyl-1H-indole-5-methanesulfonamide succinate 140, lactose 204, aspartame 40, and flavors 16mg.
IT 155019-90-2 155019-92-4
RL: BIOL (Biological study)
(pharmaceutical sachets containing)
RN 155019-90-2 CAPLUS
CN Methanesulfonamide, N-[3-[2-(dimethylamino)ethyl]-1-methyl-1H-indol-5-yl]-
(CA INDEX NAME)

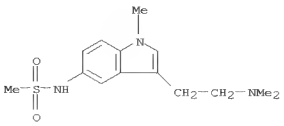


RN 155019-92-4 CAPLUS
CN Butanedioic acid, compd. with N-[3-[2-(dimethylamino)ethyl]-1-methyl-1H-indol-5-yl]methanesulfonamide (1:1) (CA INDEX NAME)

CM 1

CRN 155019-90-2

L4 ANSWER 111 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
CMF C14 H21 N3 O2 S



CM 2

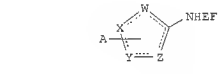
CRN 110-15-6
CMF C4 H6 O4

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

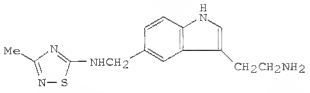
L4 ANSWER 112 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1994:245114 CAPLUS
DOCUMENT NUMBER: 120:245114
ORIGINAL REFERENCE NO.: 120:43461a,43464a
TITLE: Preparation of heteroaromatic 5-hydroxytryptamine receptor agonists
INVENTOR(S): Castro Pineiro, Jose Luis; Matassa, Victor Giulio
PATENT ASSIGNEE(S): Merck Sharp and Dohme Ltd., UK
SOURCE: PCT Int. Appl., 43 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9321182	A1	19931028	WO 1993-GB789	19930414
W: AU, CA, JP, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9340766	A	19931118	AU 1993-40766	19930414
EP 636131	A1	19950201	EP 1993-910152	19930414
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 07505649	T	19950622	JP 1993-518132	19930414
US 5510359	A	19960423	US 1994-318610	19941007
PRIORITY APPLN. INFO.:			GB 1992-8463 A	19920416
			WO 1993-GB789 A	19930414

OTHER SOURCE(S): MARPAT 120:245114
GI



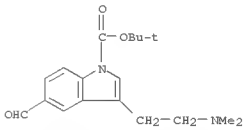
I



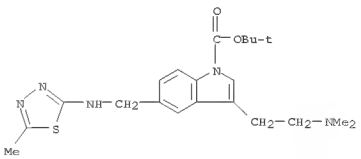
II

AB Title compds. I (W, X, Y, Z = O, S, N, C such that one of W, X, Y, Z = O, S and at least one of W, X, Y, Z = C; A = H, hydrocarbyl, heterocyclyl, halo, NC, F3C, RxO, RxS, RyRxN, RyCORxN, RyO2CRxN, etc. wherein Rx, Ry = H, hydrocarbyl, heterocyclyl, RxRy = C2-6 alkylene; E = bond, C13-4 alkylene; F = substituted heterocyclyl) or a salt thereof, are prepared
To 5-(aminomethyl)-3-[2-(N-tert-butoxycarbonylamino)ethyl]-14-indole (preparation given) in THF and (Me2CH)2NEt was added 5-chloro-3-methyl-1,2,4-thiadiazole to give the protected thiadiazolylamine which in CH2C12 was reacted with F3CCO2H to give the

L4 ANSWER 112 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
title compd. II. The activity of I as agonists of 5-HT1 receptors was measured as to their ability to mediate contraction of the saphencus vein and calcd. as -log10EC50(pEC50) from plots of % 5-HT (1 μM) response against the concn. of the agonist and was not less than 5.0. A tablet formulation comprising I is given.
IT 152673-52-4P 154295-30-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of 5-HT1 agonists)
RN 152673-52-4 CAPLUS
CN 1H-Indole-1-carboxylic acid, 3-[2-(dimethylamino)ethyl]-5-formyl-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 154295-30-4 CAPLUS
CN 1H-Indole-1-carboxylic acid, 3-[2-(dimethylamino)ethyl]-5-[[(5-methyl-1,3,4-thiadiazol-2-yl)amino]methyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

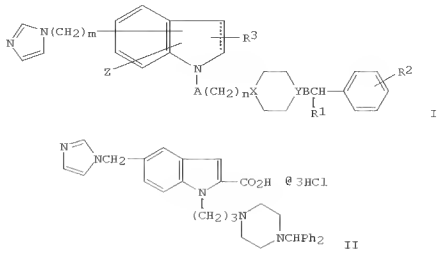


REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 113 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1994:134530 CAPLUS
DOCUMENT NUMBER: 120:134530
ORIGINAL REFERENCE NO.: 120:23707a,23710a
TITLE: Preparation of (imidazolyl- and imidazolylalkyl)indole derivatives as inhibitors of thromboxane A2 synthesis and histamine
INVENTOR(S): Matsui, Hiroshi; Kamiya, Shoji; Shirahase, Hiroaki; Nakamura, Shohei
PATENT ASSIGNEE(S): Kyoto Pharmaceutical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 73 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9320065	A1	19931014	WO 1993-JP378	19930326
W: AU, CA, JP, KR, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2109931	A1	19931014	CA 1993-2109931	19930326
AU 9337680	A	19931108	AU 1993-37680	19930326
AU 658729	B2	19950427		
EP 597112	A1	19940518	EP 1993-906837	19930326
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
US 5538973	A	19960723	US 1995-393042	19950223
PRIORITY APPLN. INFO.:			JP 1992-102071 A	19920327
			WO 1993-JP378 A	19930326
			US 1993-142443 B1	19931126

OTHER SOURCE(S): MARPAT 120:134530
GI



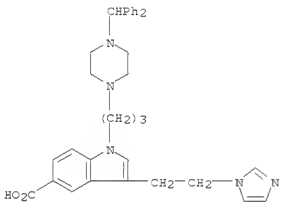
I

II

AB The title compds. (I; R1 = H, aryl; R2 = H, halo, lower alkyl or alkoxy; R3 = H, lower alkyl; A = bond, CO, CH2CO, CONH, COCH2O, alkyleneoxy; B = bond, O, alkylene, alkyleneoxy; X = Y = N or one of X and Y = N and the other = CH; Z = H, CO2H or its ester; m, n = 0-4), also having vasodilating and blood platelet aggregation-inhibiting activity and inhibiting histamine- and leukotriene-induced contraction of a respiratory tract and useful for prevention and/or treatment of diseases induced by thromboxane A2 or histamine, e.g. asthma and allergy, are prepared Thus, alkylation of 2-ethoxycarbonyl-5-(1H-imidazol-ylmethyl)-1H-indole by Br(CH2)3Cl in the presence of NaH in DMF and condensation of the resulting 1-(3-chloropropyl)indole derivative with 1-diphenylmethylpiperazine in the presence of K2CO3 and NaI in DMF at 80° gave, after saponification with NaOH in 95% aqueous EtOH and acidification with 3 N aqueous HCl, an (imidazolylpropyl)indoline derivative (II). II at 10-5 M in vitro inhibited 100% the histamine-induced contraction of guinea pig's lungs and at 30 mg/kg p.o. in vivo inhibited the histamine- and leukotriene D4-induced contraction of respiratory tract by 100 and 75%, resp.

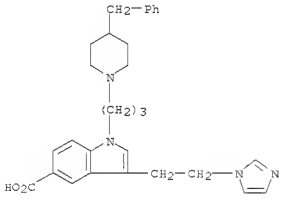
IT 152631-38-4P 152631-39-5P 152631-40-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as thromboxane A synthesis and histamine inhibitor)

RN 152631-38-4 CAPLUS
CN 1H-Indole-5-carboxylic acid, 1-[3-[4-(diphenylmethyl)-1-piperazinyl]propyl]-3-[2-(1H-imidazol-1-yl)ethyl]-, sodium salt (1:1) (CA INDEX NAME)



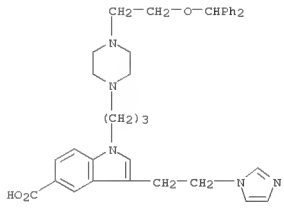
● Na

RN 152631-39-5 CAPLUS
CN 1H-Indole-5-carboxylic acid, 3-[2-(1H-imidazol-1-yl)ethyl]-1-[3-[4-(phenylmethyl)-1-piperidinyl]propyl]-, sodium salt (1:1) (CA INDEX NAME)



● Na

RN 152631-40-8 CAPLUS
CN 1H-Indole-5-carboxylic acid, 1-[3-[4-[2-(diphenylmethoxy)ethyl]-1-piperazinyl]propyl]-3-[2-(1H-imidazol-1-yl)ethyl]-, sodium salt (1:1) (CA INDEX NAME)



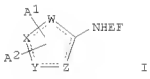
● Na

L4 ANSWER 114 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1994:107034 CAPLUS
DOCUMENT NUMBER: 120:107034
ORIGINAL REFERENCE NO.: 120:18897a,18900a
TITLE: Imidazole, triazole and tetrazole serotonin 5-HT1 receptor antagonists
INVENTOR(S): Castro, Pineiro Jose Luis; Matassa, Victor Giulio
PATENT ASSIGNEE(S): Merck Sharp and Dohme Ltd., UK
SOURCE: PCT Int. Appl., 53 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9320066	A1	19931014	WO 1993-GB652	19930329
W: AU, CA, JP, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9338956	A	19931108	AU 1993-38956	19930329
AU 675641	B2	19970213		
EP 637307	A1	19950208	EP 1993-907945	19930329
EP 637307	B1	20001108		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 07505382	T	19950615	JP 1993-517223	19930329
JP 3285581	B2	20020527		
AT 197453	T	20001111	AT 1993-907945	19930329
ES 2152948	T3	20010216	ES 1993-907945	19930329
US 5607957	A	19970304	US 1994-313058	19940929

PRIORITY APPLN. INFO.: GB 1992-7396 A 19920403
WO 1993-GB652 A 19930329

OTHER SOURCE(S): MARPAT 120:107034
GI

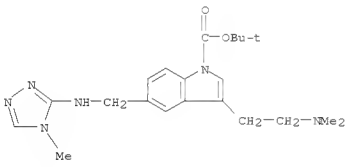


I

AB The title compds. I [A1, A2 = H, hydrocarbon group, heterocyclic group, halogen, CN, CF3, (un)substituted amino, etc.; E = direct bond, (un)branched C1-4 alkylene; F = (un)substituted heterocycly1; 2-4 of W, X,
Y, and Z = N and the remainder are C; when W = X = Y = Z = N then A2 = nonbonded electron pair], which are serotonin 5-HT1 receptor antagonists (no data) and useful in the treatment of migraine headache (no data), are prepared and I-containing formulations presented. Thus,

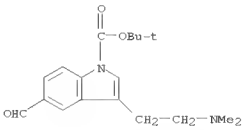
3-[2-(dimethylamino)ethy1]-5-[(2-methy1-1,2,4-triazo1-3-y1)aminomethy1]-1H-

L4 ANSWER 114 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

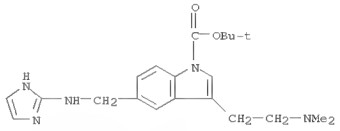


REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 114 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
indole oxalate (m.p. 208-210°) was prepd. from 2-methyl-3-nitro-1,2,4-triazole in 3 steps.
IT 152673-52-4P 152673-59-1P 152673-62-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of serotonin 5-HT1 receptor
antagonists)
RN 152673-52-4 CAPLUS
CN 1H-Indole-1-carboxylic acid, 3-[2-(dimethylamino)ethyl]-5-formyl-, 1,1-dimethylethyl ester (CA INDEX NAME)

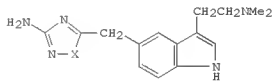


RN 152673-59-1 CAPLUS
CN 1H-Indole-1-carboxylic acid, 3-[2-(dimethylamino)ethyl]-5-[(1H-imidazol-2-ylamino)methyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 152673-62-6 CAPLUS
CN 1H-Indole-1-carboxylic acid, 3-[2-(dimethylamino)ethyl]-5-[[(4-methyl-4H-1,2,4-triazol-3-yl)amino]methyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

L4 ANSWER 115 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1994:8531 CAPLUS
DOCUMENT NUMBER: 120:8531
ORIGINAL REFERENCE NO.: 120:1877a,1880a
TITLE: Synthesis, biological activity and electrostatic properties of 3-[2-(dimethylamino)ethyl]-5-[(3-amino-1,2,4-thiadiazol-5-yl)methyl]-1H-indole, a novel 5-HT1D receptor agonist
AUTHOR(S): Castro, Jose L.; Matassa, Victor G.; Broughton, Howard
B.; Mosley, Ralph T.; Street, Leslie J.; Baker, Raymond
CORPORATE SOURCE: Neurosci. Res. Cent., Med. Chem. Dept., Merck, Sharp and Dohme Res. Lab., Harlow/Essex, CM20 2QR, UK
SOURCE: Bioorganic & Medicinal Chemistry Letters (1993), 3(6),
993-7
CODEN: BMCLE8; ISSN: 0960-894X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 120:8531
GI



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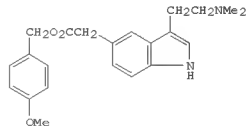
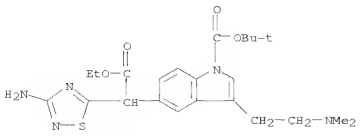


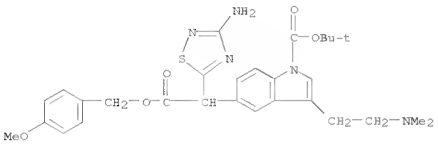
II

AB The synthesis, biol. activity and electrostatic properties of the title thiadiazolyltryptamine I (X = S), a novel 5-HT1D receptor agonist, are described. The compound was synthesized in four steps from the readily available tryptamine ester II, and was remarkably more potent than the corresponding oxadiazole analog I (X = O) both in functional and binding assays.
IT 151560-28-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and attempted basic hydrolysis of)
RN 151560-28-0 CAPLUS
CN 1H-Indole-5-acetic acid, α-(3-amino-1,2,4-thiadiazol-5-yl)-3-[2-(dimethylamino)ethyl]-1-[(1,1-dimethylethoxy)carbonyl]-, ethyl ester (CA INDEX NAME)

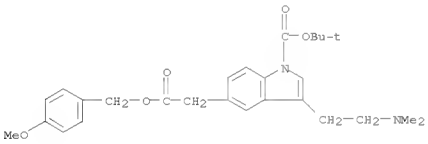
L4 ANSWER 115 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



IT 148459-07-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation, acidic deesterification, and decarboxylation of)
RN 148459-07-8 CAPLUS
CN 1H-Indole-5-acetic acid, α-(3-amino-1,2,4-thiadiazol-5-yl)-3-[2-(dimethylamino)ethyl]-1-[(1,1-dimethylethoxy)carbonyl]-, (4-methoxyphenyl)methyl ester (CA INDEX NAME)

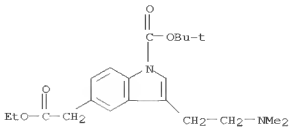


IT 137499-38-8P 151560-27-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation, enolate formation, and alkylation of, with amino(chloro)thiadiazole)
RN 137499-38-8 CAPLUS
CN 1H-Indole-5-acetic acid, 3-[2-(dimethylamino)ethyl]-1-[(1,1-dimethylethoxy)carbonyl]-, (4-methoxyphenyl)methyl ester (CA INDEX NAME)



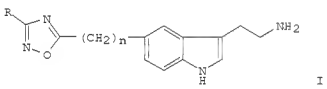
RN 151560-27-9 CAPLUS

L4 ANSWER 115 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
CN 1H-Indole-5-acetic acid, 3-[2-(dimethylamino)ethyl]-1-[(1,1-dimethylethoxy)carbonyl]-, ethyl ester (CA INDEX NAME)



L4 ANSWER 116 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1993:603336 CAPLUS
DOCUMENT NUMBER: 119:203336
ORIGINAL REFERENCE NO.: 119:36261a,36264a
TITLE: Synthesis and serotonergic activity of 5-(oxadiazolyl)tryptamines: potent agonists for 5-HT1D receptors
AUTHOR(S): Street, Leslie J.; Baker, Raymond; Castro, Jose L.; Chambers, Mark S.; Guiblin, Alexander R.; Hobbs, Sarah C.; Matassa, Victor G.; Reeve, Austin J.; Beer, Margaret S.; et al.
CORPORATE SOURCE: Chem. Dep., Merck Sharp and Dohme Res. Lab., Harlow/Essex, CM20 2QR, UK
SOURCE: Journal of Medicinal Chemistry (1993), 36(11), 1529-38
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

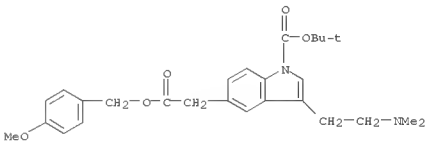


I

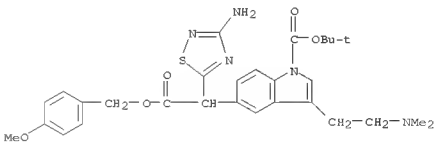
AB The synthesis and 5-HT1D receptor activity of a novel series of 5-(oxadiazolyl)tryptamines I (R = Me, Et, H2N, Ph, PhCH2, 4-MeSO2NHC6H4CH2, etc.; n = 0-3) is described. Modifications of the oxadiazole 3-substituent, length of the linking chain (n), and the amine substituents are explored and reveal a large binding pocket in the 5-HT1D receptor domain. Oxadiazole substituents such as benzyl are accommodated without loss of agonist potency or efficacy. The incorporation of polar functionality on a Ph or benzyl spacer group results in a 10-fold increase in affinity and functional potency. Optimal 5-HT1D activity is observed when the heterocycle is conjugated with the indole and the benzyl sulfonamides represent some of the most potent 5-HT1D agonists known. Replacement of O for S in the heterocycle leads to a further increase in potency. Deletion of oxadiazole N-2 does not reduce activity, suggesting the requirement for only one H-bond acceptor in this location. The selectivity of these compds. for 5-HT1D receptors over other serotonergic receptors is discussed. Sulfonamide I (R = 4-MeSO2NHC6H4CH2, n = 0) shows ≥1000-fold selectivity for 5-HT1D over 5-HT2, 5-HT1C, and 5-HT3 receptors and 10-fold selectivity with respect to 5-HT1A receptors. The functional activity of this series of compds. is studied and demonstrates high 5-HT1D receptor potency and efficacy comparable to that of 5-HT.

IT 137499-38-8P
RL: SPN (Synthetic preparation); PREP (Preparation)

L4 ANSWER 116 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
(prepn. and condensation of, with aminochlorothiadiazole)
RN 137499-38-8 CAPLUS
CN 1H-Indole-5-acetic acid, 3-[2-(dimethylamino)ethyl]-1-[(1,1-dimethylethoxy)carbonyl]-, (4-methoxyphenyl)methyl ester (CA INDEX NAME)



IT 148459-07-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and deprotection of)
RN 148459-07-8 CAPLUS
CN 1H-Indole-5-acetic acid, α-(3-amino-1,2,4-thiadiazol-5-yl)-3-[2-(dimethylamino)ethyl]-1-[(1,1-dimethylethoxy)carbonyl]-, (4-methoxyphenyl)methyl ester (CA INDEX NAME)



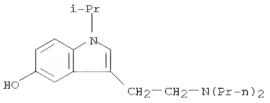
L4 ANSWER 117 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1993:552256 CAPLUS
DOCUMENT NUMBER: 119:152256
ORIGINAL REFERENCE NO.: 119:27041a,27044a
TITLE: Species differences in the pharmacology of the 5-hydroxytryptamine2 receptor: Structurally specific differentiation by ergolines and tryptamines
AUTHOR(S): Nelson, David L.; Lucaites, Virginia L.; Audia, James E.; Nissen, Jeffrey S.; Wainscott, David B.
CORPORATE SOURCE: Lilly Res. Lab., CNS/GI/GU Div., Indianapolis, IN, USA
SOURCE: Journal of Pharmacology and Experimental Therapeutics (1993), 265(3), 1272-9
CODEN: JPETAB; ISSN: 0022-3565
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Species differences in the recognition of a series of ergolines by the 5-hydroxytryptamine2 (5-HT2, serotonin2) receptor were investigated in four species, the rat, pig, squirrel monkey and human. In pig frontal cortical membranes the initial studies showed that the ergolines gave shallow displacement curves against [3H]ketanserin binding. The component of [3H]ketanserin binding having low affinity for the ergolines was determined to be the result of [3H]ketanserin binding to α-1 adrenergic receptors. Thus, in all subsequent assays prazosin was used to mask [3H]ketanserin binding to α-1 adrenergic receptors. Examination of a series of ergolines revealed a distinct pattern in the species selectivity. Compds. that were unsubstituted at the N1 position of the ergoline nucleus showed higher affinity for the pig, squirrel monkey and human 5-HT2 receptors than for the rat. Conversely, compds. that had an N1-iso-Pr substituent showed higher affinity for the rat receptor compared to the pig, squirrel monkey and human 5-HT2 receptors. For example, LY53857, a widely used 5-HT2 antagonist, has an iso-Pr substituent at position N1 of the ergoline nucleus and exhibited a 4- to 5-fold higher affinity for the rat 5-HT2 receptor, whereas its N1-unsubstituted homolog, LY86057, had more than 10-fold higher affinity for the pig, squirrel monkey and human 5-HT2 receptors. Similar results were seen with three addnl. ergoline pairs, each having different substituents at the C8 position compared to LY53857. Even an N1-substitution on LY53857 as small as a Me group, LY108742, resulted in the compound having higher affinity for the rat 5-HT2 receptor compared to the other species. Simple mols. such as the tryptamines, whose indole-ethylamine nucleus is contained within the ergoline structure, were also investigated. Similar to the ergolines, the unsubstituted tryptamines had higher affinity for the human compared to the rat 5-HT2 receptor and addition of an iso-Pr group to the N1 position resulted in the loss of affinity at the human, but not the rat, 5-HT2 receptor. These studies showed that simple tryptamines display species selectivity similar to the ergolines and suggest that the ergolines and

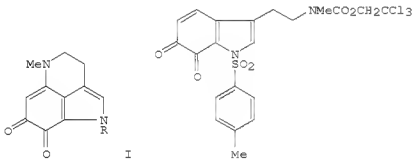
L4 ANSWER 117 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
tryptamines bind to the 5-HT2 receptor in a similar orientation.

IT 149968-81-0
RL: BIOL (Biological study)
(serotonin S2 receptor binding of, in human and other mammals, species variation in)

RN 149968-81-0 CAPLUS
CN 1H-Indol-5-ol, 3-[2-(dipropylamino)ethyl]-1-(1-methylethyl)- (CA INDEX NAME)



L4 ANSWER 118 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1993:449715 CAPLUS
DOCUMENT NUMBER: 119:49715
ORIGINAL REFERENCE NO.: 119:9041a,9044a
TITLE: Total syntheses of damirone A and damirone B
AUTHOR(S): Sadanandan, E. V.; Cava, Michael P.
CORPORATE SOURCE: Dep. Chem., Univ. Alabama, Tuscaloosa, AL, 35487-0336, USA
SOURCE: Tetrahedron Letters (1993), 34(15), 2405-8
CODEN: TELEAY; ISSN: 0040-4039
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 119:49715
GI

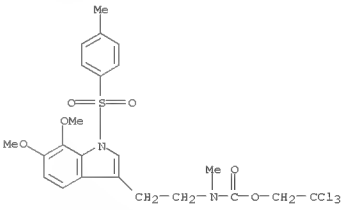


AB The first total syntheses of the tricyclic alkaloids damirone A I (R = Me) and damirone B I (R = H) were achieved starting from 6,7-dimethoxyindole via cyclization of indole II.

IT 148613-93-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and demethylation of)

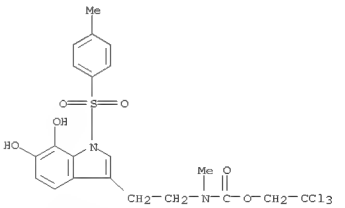
RN 148613-93-8 CAPLUS
CN Carbamic acid, [2-[6,7-dimethoxy-1-[(4-methylphenyl)sulfonyl]-1H-indol-3-yl]ethyl]methyl-, 2,2,2-trichloroethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 118 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



IT 148613-94-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and oxidation of)

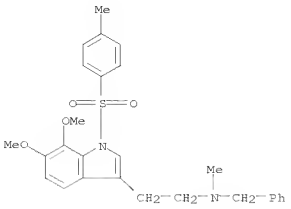
RN 148613-94-9 CAPLUS
CN Carbamic acid, [2-[6,7-dihydroxy-1-[(4-methylphenyl)sulfonyl]-1H-indol-3-yl]ethyl]methyl-, 2,2,2-trichloroethyl ester (9CI) (CA INDEX NAME)



IT 148613-92-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with trichloroethyl chloroformate)

RN 148613-92-7 CAPLUS
CN 1H-Indole-3-ethanamine, 6,7-dimethoxy-N-methyl-1-[(4-methylphenyl)sulfonyl]-N-(phenylmethyl)- (CA INDEX NAME)

L4 ANSWER 118 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

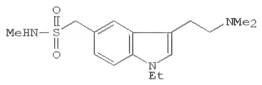


L4 ANSWER 119 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1992:651236 CAPLUS
DOCUMENT NUMBER: 117:251236
ORIGINAL REFERENCE NO.: 117:43495a,43498a
TITLE: [3-(aminoalkyl)-1H-indol-5-yl]methanesulfonamides and -sulfonamides, a method for their preparation and their use for the treatment of headaches
INVENTOR(S): Bays, David Edmund; Bradshaw, John; Feniuk, Wasyl; North, Peter Charles
PATENT ASSIGNEE(S): Glaxo Group Ltd., UK
SOURCE: Eur. Pat. Appl., 13 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 500086	A1	19920826	EP 1992-102813	19920220
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, PT, SE				
WO 9214708	A1	19920903	WO 1992-EP354	19920220
W: AT, AU, BB, BG, BR, CA, CH, CS, DE, DK, ES, FI, GB, HU, JP, KP, KR, LK, LU, MG, MN, MW, NL, NO, PL, RO, RU, SD, SE, US				
RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FR, GA, GB, GN, GR, IT, LU, MC, ML, MR, NL, SE, SN, TD, TG				
AU 9212567	A	19920915	AU 1992-12567	19920220
PRIORITY APPLN. INFO.:			GB 1991-3770	A 19910222
			WO 1992-EP354	A 19920220

OTHER SOURCE(S): CASREACT 117:251236; MARPAT 117:251236
GI



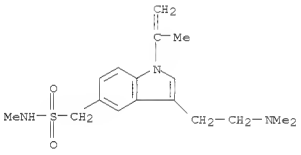
I

AB Some [3-(aminoalkyl)-1H-indol-5-yl]methanesulfonamides,e.g. I, and [3-(aminoalkyl)-1H-indol-5-yl]sulfonamides are claimed. The use of said compds. for the treatment of headaches, cluster headaches, chronic paroxysmal hemicrania, headaches associated with vascular disorders or substance withdrawal, tension headaches and migraine (no data) is claimed.
I-hemisuccinate was prepared by reduction of [3-(cyanomethyl)-1-ethyl-N-methyl-1H-indol-5-yl]methanesulfonamide.

IT 144678-43-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(alkylation of)

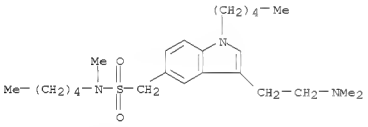
L4 ANSWER 119 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

RN 144678-43-3 CAPLUS
CN 1H-Indole-5-methanesulfonamide, 3-[2-(dimethylamino)ethyl]-N-methyl-1-(1-methylethenyl)- (CA INDEX NAME)



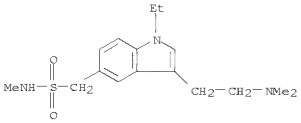
IT 144678-47-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 144678-47-7 CAPLUS
CN 1H-Indole-5-methanesulfonamide, 3-[2-(dimethylamino)ethyl]-N-methyl-N,1-dipentyl- (CA INDEX NAME)



IT 144678-38-6P 144678-39-7P 144678-40-0P
144678-41-1P 144678-42-2P 144678-44-4P
144678-46-6P 144678-48-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, for treatment of headaches)

RN 144678-38-6 CAPLUS
CN 1H-Indole-5-methanesulfonamide, 3-[2-(dimethylamino)ethyl]-1-ethyl-N-methyl- (CA INDEX NAME)

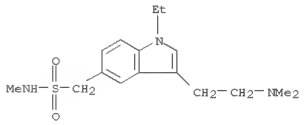


L4 ANSWER 119 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

RN 144678-39-7 CAPLUS
CN Butanedioic acid, compd. with 3-[2-(dimethylamino)ethyl]-1-ethyl-N-methyl-1H-indole-5-methanesulfonamide (1:1) (CA INDEX NAME)

CM 1

CRN 144678-38-6
CMF C16 H25 N3 O2 S

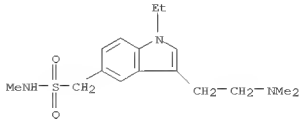


CM 2

CRN 110-15-6
CMF C4 H6 O4

$HO_2C-CH_2-CH_2-CO_2H$

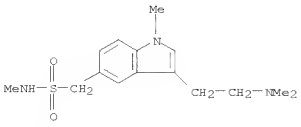
RN 144678-40-0 CAPLUS
CN 1H-Indole-5-methanesulfonamide, 3-[2-(dimethylamino)ethyl]-1-ethyl-N-methyl-, hydrochloride (1:1) (CA INDEX NAME)



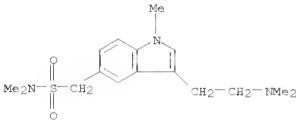
● HCl

RN 144678-41-1 CAPLUS
CN 1H-Indole-5-methanesulfonamide, 3-[2-(dimethylamino)ethyl]-N,1-dimethyl- (CA INDEX NAME)

L4 ANSWER 119 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



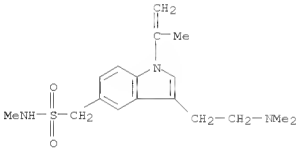
RN 144678-42-2 CAPLUS
CN 1H-Indole-5-methanesulfonamide, 3-[2-(dimethylamino)ethyl]-N,N,1-trimethyl- (CA INDEX NAME)



RN 144678-44-4 CAPLUS
CN Butanedioic acid, compd. with 3-[2-(dimethylamino)ethyl]-N-methyl-1-(1-methylethenyl)-1H-indole-5-methanesulfonamide (1:1) (CA INDEX NAME)

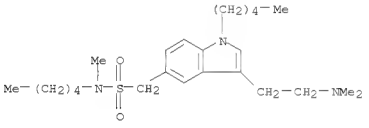
CM 1

CRN 144678-43-3
CMF C17 H25 N3 O2 S



CM 2

L4 ANSWER 119 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

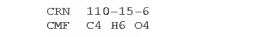


CM 2

CRN 144-62-7
CMF C2 H2 O4

L4 ANSWER 119 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

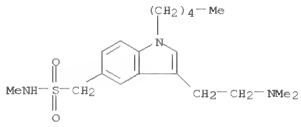
CRN 110-15-6
CMF C4 H6 O4



RN 144678-46-6 CAPLUS
CN 1H-Indole-5-methanesulfonamide, 3-[2-(dimethylamino)ethyl]-N-methyl-1-pentyl-, ethanedioate (1:1) (CA INDEX NAME)

CM 1

CRN 144678-45-5
CMF C19 H31 N3 O2 S



CM 2

CRN 144-62-7
CMF C2 H2 O4

RN 144678-48-8 CAPLUS
CN 1H-Indole-5-methanesulfonamide, 3-[2-(dimethylamino)ethyl]-N-methyl-N,1-dipentyl-, ethanedioate (1:1) (CA INDEX NAME)

CM 1

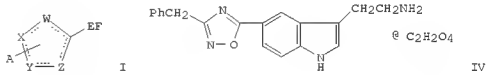
CRN 144678-47-7
CMF C24 H41 N3 O2 S

L4 ANSWER 120 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER:	1992:83677 CAPLUS
DOCUMENT NUMBER:	116:83677
ORIGINAL REFERENCE NO.:	116:14255a,14258a
TITLE:	Preparation of substituted (1,2,4-oxadiazolylindolyl)ethylamine and analogs as agonists of 5-HT1-like receptors
INVENTOR(S):	Baker, Raymond; Reeve, Austin J.; Street, Leslie J.
PATENT ASSIGNEE(S):	Merck Sharp and Dohme Ltd., UK
SOURCE:	Eur. Pat. Appl., 58 pp. CODEN: EPXXDW
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	1
PATENT INFORMATION:	

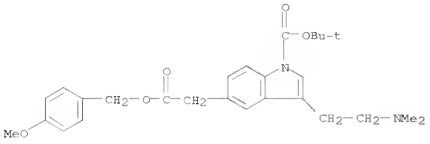
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 438230	A2	19910724	EP 1991-300180	19910110
EP 438230	A3	19920212		
EP 438230	B1	19970423		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
AT 152110	T	19970515	AT 1991-300180	19910110
CA 2034189	A1	19910718	CA 1991-2034189	19910115
FI 9100228	A	19910718	FI 1991-228	19910116
NO 9100187	A	19910718	NO 1991-187	19910116
AU 9169440	A	19910725	AU 1991-69440	19910116
CN 1053429	A	19910731	CN 1991-100380	19910117
JP 06100558	A	19940412	JP 1991-216736	19910117
PRIORITY APPLN. INFO.:			GB 1990-1018 A	19900117
			GB 1990-8587 A	19900417

OTHER SOURCE(S): MARPAT 116:83677
GI

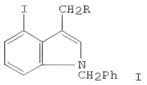


AB Title compds. I [wherein the broken circle represents 2 non-adjacent double bonds in any position; W, X, Y, Z = O, S, N, C, such that 1 of W, X, Y, Z = O, S and at least 1 of W, X, Y, Z = C; A = H, hydrocarbyl, halo, NC, F3C, O2N, etc.; E = bond, C1-4 alkylene, F = (substituted) heterocyclyl] or a salt or prodrug thereof, are prepared NaNO2 was added to 4-(H2N)C6H4CO2Et in concentrated HCl, the mixture stirred at 0° before adding SnCl2.2H2O in HCl to give 4-(H2NNH)C6H4CO2Et.HCl (II). II and 4-ClCH2(CH2)2CH(OMe)2 in EtOH/H2O were refluxed, the solvent removed and the residue chromatographed to give 2-(5-5-carbethoxy-1H-indol-3-yl)ethylamine.H maleate (III). NaH was added to phenylacetamide oxime in THF, the reaction mixture refluxed, III was

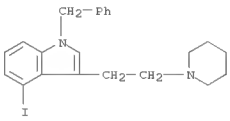
L4 ANSWER 120 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
added and the whole refluxed for 2 h, the reaction mixt. cooled to room temp. to give the title compd. as the H.oxalate (IV). The activity as agonist of 5-HT1-like receptor was measured in terms of their ability to mediate contraction of the saphenous vein of rabbits, and the potency calcd. as -log10EC50 (pEC50). The pEC50 of IV was not less than 5.0. Tablet compns. comprising I are given.
IT 137499-38-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of 5-HT1 agonists)
RN 137499-38-8 CAPLUS
CN 1H-Indole-5-acetic acid, 3-[2-(dimethylamino)ethyl]-1-[(1,1-dimethylethoxy)carbonyl]-, (4-methoxyphenyl)methyl ester (CA INDEX NAME)



L4 ANSWER 121 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1991:408498 CAPLUS
DOCUMENT NUMBER: 115:8498
ORIGINAL REFERENCE NO.: 115:1656h,1657a
TITLE: Synthesis of 3,4-disubstituted indoles via a sequential olefin-insertion/ene route
AUTHOR(S): Tidwell, Jeffrey H.; Senn, Dwayne R.; Buchwald, Stephen L.
CORPORATE SOURCE: Dep. Chem., Massachusetts Inst. Technol., Cambridge, MA, 02139, USA
SOURCE: Journal of the American Chemical Society (1991), 113(12), 4685-6
CODEN: JACSAT; ISSN: 0002-7863
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 115:8498
GI

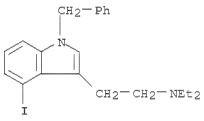


AB 3,4-Disubstituted iodoindole derivs. I [R = C(CO2Et):CHCO2Et, CH(CO2Et)CH2CO2Et, CH(CN)CH2CN, C(OH)(CO2Et)2, CH(OH)CO2Bu, N(CO2Et)NHCO2Et, CH2NEt2, CH2R1, R1 = 1-piperidinyl] were prepared utilizing an intramol. insertion of N-allyl-N-benzyl-2-bromoaniline (II) into the Zr-C bond in ZrCp2MeCl (III) (Cp = cyclopentadienyl) and an ene reaction. Thus, II reacts with III and iodine to give I (R = iodo) (IV). IV reacts with DBU and undergoes an ene reaction with enophiles, e.g., EtO2CC.tplbond.CO2Et, NCCH:CHCN, H2C:N+Et2, to give I [C(CO2Et):CHCO2Et, CH(CN)CH2CN, CH2NEt2, resp.].
IT 133931-20-1P 133931-21-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 133931-20-1 CAPLUS
CN 1H-Indole, 4-iodo-1-(phenylmethyl)-3-[2-(1-piperidinyl)ethyl]- (CA INDEX NAME)

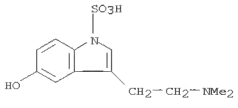


L4 ANSWER 121 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

RN 133931-21-2 CAPLUS
CN 1H-Indole-3-ethanamine, N,N-diethyl-4-iodo-1-(phenylmethyl)- (CA INDEX NAME)



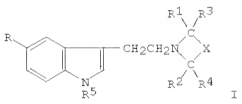
L4 ANSWER 122 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1990:569255 CAPLUS
DOCUMENT NUMBER: 113:169255
ORIGINAL REFERENCE NO.: 113:28667a,28670a
TITLE: Biogenic amines and active peptides in extracts of the skin of thirty-two European amphibian species
AUTHOR(S): Roseghini, M.; Falconieri Erspamer, G.; Severini, C.; Simmaco, M.
CORPORATE SOURCE: Inst. Pharmacol. III, Univ. "La Sapienza", Rome, I-00185, Italy
SOURCE: Comparative Biochemistry and Physiology, Part C: Pharmacology, Toxicology & Endocrinology (1989), 94C(2), 455-60
CODEN: CBPCEE; ISSN: 0742-8413
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Exts. prepared from fresh or dried skins of 32 European amphibian species were submitted to chemical (color reactions) and biol. screening to determine the occurrence and contents of biogenic amines and peptides active on smooth muscle prepns. and blood pressure. Only indolealkylamines were detectable in the skins. They were represented by tryptamine, 5-hydroxytryptamine, and its N-methylated, cyclized, and sulfoconjugated derivs. The peptide families identified in the exts. were as follows: bombesins (bombesin and alytesin), bradykinins (bradykinin, bradykinin 1-8, and bradykinin 1-7), chemotactic peptides (RECP I, II, and III), bombinins, and TRH. Bombesins, bombinins, and TRH were isolated from skin exts. of discoglossid frogs; chemotactic peptides and again TRH from exts. of ranid frogs. Further research will certainly lengthen the list of active peptides in the skin of European amphibians, as is the case with Australian, American, and African amphibians.
IT 131198-19-1
RL: BIOL (Biological study)
(of skin, of European amphibians)
RN 131198-19-1 CAPLUS
CN 1H-Indole-1-sulfonic acid, 3-[2-(dimethylamino)ethyl]-5-hydroxy- (CA INDEX NAME)



L4 ANSWER 123 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1990:198126 CAPLUS
DOCUMENT NUMBER: 112:198126
ORIGINAL REFERENCE NO.: 112:33489a,33492a
TITLE: Preparation of 3-[2-(pyrrolidino)ethyl]- and 3-[2-(piperidino)ethyl]indoles as selective 5-hydroxytryptamine antagonists
INVENTOR(S): Glaser, Thomas; Raddatz, Siegfried; Traber, Joerg; Allen, George
PATENT ASSIGNEE(S): Bayer A.-G., Fed. Rep. Ger.
SOURCE: U.S., 14 pp. Cont.-in-part of U.S. Ser. No. 760,195, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 4870085	A	19890926	US 1988-175066		19880330
DE 3430284	A1	19860227	DE 1984-3430284		19840817
PRIORITY APPLN. INFO.:			DE 1984-3430284	A	19840817
			US 1985-760195	A2	19850729

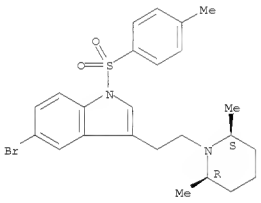
OTHER SOURCE(S): CASREACT 112:198126; MARPAT 112:198126
GI



I

AB The title compds. [I; R = H, lower alkyl, lower alkoxy, Ph(lower alkyl), Ph(lower alkoxy), OH, amino(lower alkyl), F, Cl, Br, cyano, H2NCO, azido; R1, R2 = lower alkyl; R3, R4 = H, lower alkyl; R5 = H, R6CO, R6SO2; R6 = amino, lower alkoxy, Ph, (lower alkyl) Ph; X = (CH2)n; n = 2,3] or their pharmaceutically acceptable salts, useful for treatment of sleep disturbances, migraine, vasospasms, and ischemias (no data), were prepared
by acylation of indoles with (COCl)2, amidation of the intermediate indolyl glyoxyl chlorides with pyrrolidine- or piperidine derivs., and reduction of the resulting α-dioxo intermediates with LiAlH4.
IT 126811-77-6P 126811-79-8P 126827-56-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as selective hydroxytryptamine antagonist)
RN 126811-77-6 CAPLUS
CN 1H-Indole, 5-bromo-3-[2-(2,6-dimethyl-1-piperidinyl)ethyl]-1-methyl-,

L4 ANSWER 123 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

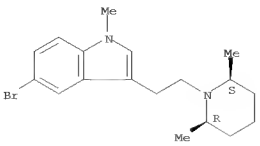


● HCl

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 123 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
monohydrochloride, cis- (9CI) (CA INDEX NAME)

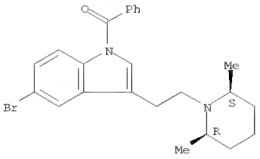
Relative stereochemistry.



● HCl

RN 126811-79-8 CAPLUS
CN 1H-Indole, 1-benzoyl-5-bromo-3-[2-(2,6-dimethyl-1-piperidinyl)ethyl]-, monohydrochloride, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



● HCl

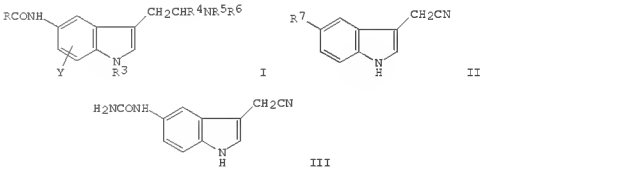
RN 126827-56-3 CAPLUS
CN 1H-Indole, 5-bromo-3-[2-(2,6-dimethyl-1-piperidinyl)ethyl]-1-[(4-methylpheny1)sulfonyl]-, monohydrochloride, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L4 ANSWER 124 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1989:497076 CAPLUS
DOCUMENT NUMBER: 111:97076
ORIGINAL REFERENCE NO.: 111:16325a,16328a
TITLE: Preparation of (3-aminoalkyl-1H-indol-5-yl)urea and amide derivatives as antihypertensives
INVENTOR(S): Stanley, Kerry G.; Ho, Winston
PATENT ASSIGNEE(S): McNeilab, Inc., USA
SOURCE: U.S., 11 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4803218	A	19890207	US 1982-427024	19820929
PRIORITY APPLN. INFO.:			US 1982-427024	19820929

OTHER SOURCE(S): CASREACT 111:97076; MARPAT 111:97076
GI

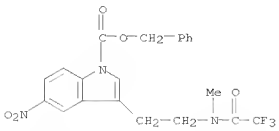


I II

III

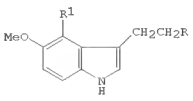
AB The title compds. [I; R = C1-4 alkyl, alkoxy, Ph, NR1R2, etc.; R1 = H, C1-4 alkyl, Ph, cycloalkyl; R2 = H, C1-4 alkyl; R3,R4 = H, C1-4 alkyl; R5 = H, C1-4 alkyl, CO2Me, CO2CF3; R6 = H, C1-4 alkyl, R5R6 = N-alkylpyrrolidinylidene; Y = H, halo], useful as antihypertensive agents,
are prepared Hydrogenation of nitro derivs. II (R7 = NO2) over PtO2 gave 71% amine II (R7 = NH2), which was treated with KOCN in HOAc and H2O at 0° to give ±3% urea derivative III. Hydrogenation of III over Raney Ni in NH3-saturated EtOH gave I (R = NH2, R3-R6 = Y = H), which decreased the
mean arterial pressure by 48 mm Hg for 15 h at 30 mg/kg p.o. in rats. A tablet formulation containing I 500, starch 100, microcryst. cellulose 100,
and Ca stearate 2.5 g was prepared
IT 122110-11-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reduction of)

L4 ANSWER 124 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
RN 122110-11-6 CAPLUS
CN 1H-Indole-1-carboxylic acid, 3-[2-[methyl(2,2,2-trifluoroacetyl)amino]ethyl]-5-nitro-, phenylmethyl ester (CA INDEX NAME)



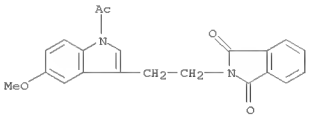
REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 125 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1988:437706 CAPLUS
DOCUMENT NUMBER: 109:37706
ORIGINAL REFERENCE NO.: 109:6379a,6382a
TITLE: Indole derivatives. 129. Synthesis of disubstituted tryptamines by nitration of 5-methoxy-N-phthalyltryptamines
AUTHOR(S): Petrunin, I. A.; Vinograd, L. H.; Przhiyalgovskaya, N.
M.; Suvorov, N. N.
CORPORATE SOURCE: Mosk. Khim.-Tekhnol. Inst., Moscow, USSR
SOURCE: Khimiya Geterotsiklicheskikh Soedinenii (1987), (8), 1050-3
CODEN: KGSSAQ; ISSN: 0453-8234
DOCUMENT TYPE: Journal
LANGUAGE: Russian
OTHER SOURCE(S): CASREACT 109:37706
GI



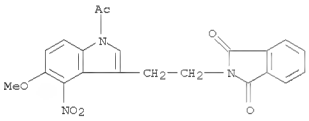
I

AB Nitration of 5-methoxy-N-phthalyltryptamine I (R = phthalimido, R1 = H) with HNO3 in AcOH gives mainly I (R1 = NO2). I (R1 = NH2, NHAc) were obtained from I (R1 = NO2).
IT 115168-35-9P 115168-42-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 115168-35-9 CAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2-[2-(1-acetyl-5-methoxy-1H-indol-3-yl)ethyl]- (CA INDEX NAME)



RN 115168-42-8 CAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2-[2-(1-acetyl-5-methoxy-4-nitro-1H-indol-3-yl)ethyl]- (CA INDEX NAME)

L4 ANSWER 125 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

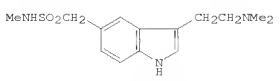


L4 ANSWER 126 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1986:478831 CAPLUS
DOCUMENT NUMBER: 105:78831
ORIGINAL REFERENCE NO.: 105:12789a,12792a
TITLE: 3-[2-(Dimethylamino)ethyl]-N-methyl-1H-indole-5-methanesulfonamide
INVENTOR(S): Oxford, Alexander William
PATENT ASSIGNEE(S): Glaxo Group Ltd., UK
SOURCE: Ger. Offen., 57 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3527648	A1	19860213	DE 1985-3527648	19850801
DE 3527648	C2	19930826		
CH 666026	A5	19880630	CH 1985-3296	19850730
HU 40077	A2	19861128	HU 1985-2945	19850731
HU 201738	B	19901228		
DK 8503511	A	19860202	DK 1985-3511	19850801
DK 158942	B	19900806		
DK 158942	C	19910121		
FI 8502969	A	19860202	FI 1985-2969	19850801
FI 78466	B	19890428		
FI 78466	C	19890810		
SE 8503680	A	19860202	SE 1985-3680	19850801
SE 452460	B	19871130		
SE 452460	C	19880310		
BE 903006	A1	19860203	BE 1985-215426	19850801
NO 8503046	A	19860203	NO 1985-3046	19850801
NO 164653	B	19900723		
NO 164653	C	19901107		
GB 2162522	A	19860205	GB 1985-19418	19850801
GB 2162522	B	19880224		
AU 8545689	A	19860206	AU 1985-45689	19850801
AU 573878	B2	19880623		
FR 2568571	A1	19860207	FR 1985-11790	19850801
FR 2568571	B1	19880923		
NL 8502171	A	19860303	NL 1985-2171	19850801
NL 188642	B	19920316		
NL 188642	C	19920817		
JP 61047464	A	19860307	JP 1985-168664	19850801
JP 06023197	B	19940330		
ZA 8505818	A	19860430	ZA 1985-5818	19850801
AT 8502266	A	19871215	AT 1985-2266	19850801
AT 386196	B	19880711		
CA 1241004	A1	19880823	CA 1985-487992	19850801
PL 146005	B1	19881231	PL 1985-254800	19850801
IL 75986	A	19890228	IL 1985-75986	19850801
SU 1498386	A3	19890730	SU 1985-3935745	19850801
US 5037845	A	19910806	US 1989-317682	19890301
SK 277952	B6	19950913	SK 1991-4041	19911223
CZ 280530	B6	19960214	CZ 1991-4041	19911223
PRIORITY APPLN. INFO.:			GB 1984-19575 A	19840801
			US 1985-761392 B1	19850801

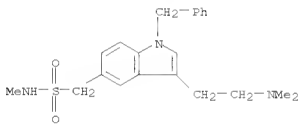
L4 ANSWER 126 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
US 1987-82666 B1 19870807

OTHER SOURCE(S): CASREACT 105:78831
GI

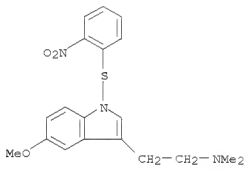


I

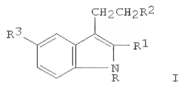
AB The title compound (I), prepared by 8 methods, is useful in treating migraine
headaches at 0.1-100 mg per dose, up to 8 times daily. Hydrogenation of 3-(cyanomethyl)-N-methyl-1H-indole-5-methanesulfonamide over prereduced 10% Pd oxide on active C in methanolic and ethanolic Me2NH for 24 h at room temperature gave I (isolated as the succinate). Several formulations were
given.
IT 103628-58-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and debenzylation of)
RN 103628-58-6 CAPLUS
CN 1H-Indole-5-methanesulfonamide, 3-[2-(dimethylamino)ethyl]-N-methyl-1-(phenylmethyl)- (CA INDEX NAME)



L4 ANSWER 127 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



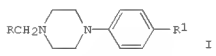
L4 ANSWER 127 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1986:207088 CAPLUS
DOCUMENT NUMBER: 104:207088
ORIGINAL REFERENCE NO.: 104:32817a,32820a
TITLE: Derivatives of serotonin as affinity labels for serotoninergic receptor sites
AUTHOR(S): Huynh Dinh Tam; Namane, A.; Babin, F.; Igolen, J.; Rousselle, J. C.; Fillion, M. P.; Fillion, G.
CORPORATE SOURCE: Dep. Biochim. Genet. Mol., Inst. Pasteur, Paris, 75724, Fr.
SOURCE: Tetrahedron Letters (1985), 26(37), 4443-6
CODEN: TELEAY; ISSN: 0040-4039
DOCUMENT TYPE: Journal
LANGUAGE: French
OTHER SOURCE(S): CASREACT 104:207088
GI



I

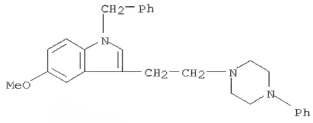
AB Serotonin and bufotenine derivs. I [R = H, BrCH2CO, 2-O2NC6H4S; R1 = H, 2-O2NC6H4S; R2 = H2N, Me2N, ClCH2CONH, BrCH2CONH, N3; R3 = HO, MeO, 4-(FSO2)C6H4CO] were prepared as potential electrophilic or photoactivable
labels for the serotoninergic sites. The most promising compound, I (R = R1
= H, R2 = N3, R3 = HO), presents a high affinity for the site; the corresponding binding appears specific and irreversible after photoactivation.
IT 102250-02-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and binding by, with serotoninergic receptors)
RN 102250-02-2 CAPLUS
CN 1H-Indole-3-ethanamine, 5-methoxy-N,N-dimethyl-1-[(2-nitrophenyl)thio]- (CA INDEX NAME)

L4 ANSWER 128 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1982:449551 CAPLUS
DOCUMENT NUMBER: 97:49551
ORIGINAL REFERENCE NO.: 97:8203a,8206a
TITLE: Neuropharmacological effects of some N-phenylpiperazine derivatives
AUTHOR(S): Zou, Gang; Tu, Zenghong; Lu, Rongfa; Jiang, Xiujuan
CORPORATE SOURCE: Shanghai Inst. Mater. Med., Acad. Sin., Shanghai, Peop. Rep. China
SOURCE: Yaoxue Xuebao (1981), 16(5), 321-7
CODEN: YHHPAL; ISSN: 0513-4870
DOCUMENT TYPE: Journal
LANGUAGE: Chinese
GI



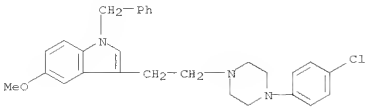
I

AB A series of 25 title compds. (I; R = PhOCH2, naphthyl, substituted benzofuryl, etc.; R1 = H or Cl) were tested for tranquilizing and adrenolytic activity. The most active compound was I; (R = 3,4,5-(MeO)3C6H2CH2, R1 = Cl) [82205-91-2]. This compound produced antimescaline and antiamphetamine activity in grouped mice, catalepsy,ptosis, hypothermia, potentiation of morphine analgesia, antiemetic activity, and had a tranquilizing effect on Rhesus monkeys. In
addition, the compound had an α-receptor blocking effect and some cardiovascular activity.
IT 1179-26-6 1180-56-9
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); BIOL (Biological study)
(α-sympatholytic and tranquilizing activity of)
RN 1179-26-6 CAPLUS
CN 1H-Indole, 5-methoxy-1-(phenylmethyl)-3-[2-(4-phenyl-1-piperazinyl)ethyl]- (CA INDEX NAME)



RN 1180-56-9 CAPLUS
CN 1H-Indole, 3-[2-[4-(4-chlorophenyl)-1-piperazinyl]ethyl]-5-methoxy-1-(phenylmethyl)- (CA INDEX NAME)

L4 ANSWER 128 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



L4 ANSWER 129 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1982:406148 CAPLUS
DOCUMENT NUMBER: 97:6148
ORIGINAL REFERENCE NO.: 97:1187a,1190a
TITLE: Indole derivatives and their medicinal use
INVENTOR(S): Coates, I. H.; Dowle, M. D.; Mills, K.; Bays, D. E.; Webb, C. F.
PATENT ASSIGNEE(S): Glaxo Group Ltd., UK
SOURCE: Belg., 82 pp.
CODEN: BEXXAL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

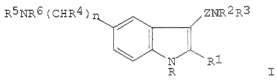
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
BE 889931	A1	19820211	BE 1981-205644	19810811
DK 8103572	A	19820213	DK 1981-3572	19810811
DK 157995	B	19900312		
DK 157995	C	19900806		
SE 8104783	A	19820213	SE 1981-4783	19810811
SE 454777	B	19880530		
SE 454777	C	19880922		
AU 8173995	A	19820218	AU 1981-73995	19810811
AU 550010	B2	19860227		
FR 2488606	A1	19820219	FR 1981-15515	19810811
FR 2488606	B1	19841026		
NL 8103764	A	19820301	NL 1981-3764	19810811
GB 2083463	A	19820324	GB 1981-24478	19810811
GB 2083463	B	19840510		
DE 3131752	A1	19820616	DE 1981-3131752	19810811
DE 3131752	C2	19920423		
ZA 8105541	A	19830330	ZA 1981-5541	19810811
CH 652394	A5	19851115	CH 1981-5161	19810811
JP 57059865	A	19820410	JP 1981-125413	19810812
JP 01048896	B	19891020		
CA 1165765	A1	19840417	CA 1981-383680	19810812
US 4672067	A	19870609	US 1984-625648	19840628
US 4636521	A	19870113	US 1984-626383	19840629
AT 8403184	A	19860315	AT 1984-3184	19841008
AT 381491	B	19861027		
US 4839377	A	19890613	US 1987-82132	19870806

PRIORITY APPLN. INFO.: GB 1980-26287 A 19800812
GB 1980-26288 A 19800812
AT 1981-3528 A 19810811
US 1981-291997 A1 19810811
US 1981-292022 A1 19810811
US 1981-292023 A1 19810811

L4 ANSWER 129 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

US 1982-404872 A1 19820803
US 1982-431597 A1 19820930
US 1983-461278 A1 19830126
US 1985-711152 A1 19850313

OTHER SOURCE(S): CASREACT 97:6148; MARPAT 97:6148
GI



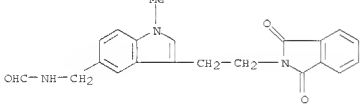
I

AB I [R, R1, R2, R4, R6 = H, alkyl; R3 = H, alkyl, cycloalkyl, alkenyl, aralkyl; R5 = CHO, acyl, esterified CO2H, (un)substituted carbamoyl, thiocarbamoyl, sulfamoyl; n = 0, 1; Z = alkylene, mono- or dialkylalkylene; or NR2R3 form a heterocycle or R2R3 = aralkylidene] were prepared and they are useful as antihypertensives (no data, formulations are given). 5-(Aminomethyl)-3-(2-phthalimidoethyl)indole reacted with Ac2O, and the product was hydrazinolyzed to give 5-(acetamidomethyl)-3-(2-aminoethyl)indole.

IT 82017-04-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and deprotection of)

RN 82017-04-7 CAPLUS

CN Formamide, N-[[3-[2-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)ethyl]-1-methyl-1H-indol-5-yl]methyl]- (CA INDEX NAME)



L4 ANSWER 130 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN

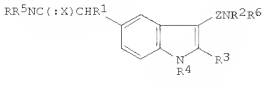
ACCESSION NUMBER: 1982:199523 CAPLUS
DOCUMENT NUMBER: 96:199523
ORIGINAL REFERENCE NO.: 96:32899a,32902a
TITLE: Indole compounds and their pharmaceutical use
INVENTOR(S): Bays, David Edmund; Webb, Colin Frederick; Dowle, Michael Dennis
PATENT ASSIGNEE(S): Glaxo Group Ltd., UK
SOURCE: Ger. Offen., 68 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3131728	A1	19820311	DE 1981-3131728	19810811
DE 3131728	C2	19920430		
BE 889929	A1	19820211	BE 1981-205642	19810811
DK 8103570	A	19820213	DK 1981-3570	19810811
DK 157920	B	19900305		
DK 157920	C	19900806		
SE 8104781	A	19820213	SE 1981-4781	19810811
SE 454880	B	19880606		
SE 454880	C	19880915		
AU 8173994	A	19820218	AU 1981-73994	19810811
AU 548467	B2	19851212		
FR 2488607	A1	19820219	FR 1981-15513	19810811
FR 2488607	B1	19841116		
NL 8103769	A	19820301	NL 1981-3769	19810811
GB 2082175	A	19820303	GB 1981-24479	19810811
GB 2082175	B	19840502		
ZA 8105540	A	19830330	ZA 1981-5540	19810811
CH 651551	A5	19850930	CH 1981-5159	19810811
JP 57064669	A	19820419	JP 1981-125411	19810812
JP 02047462	B	19901019		
CA 1169428	A1	19840619	CA 1981-383670	19810812
US 4650810	A	19870317	US 1983-461233	19830126

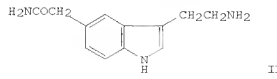
PRIORITY APPLN. INFO.: GB 1980-26286 A 19800812
US 1981-292021 A1 19810811

OTHER SOURCE(S): CASREACT 96:199523; MARPAT 96:199523
GI

L4 ANSWER 130 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



I



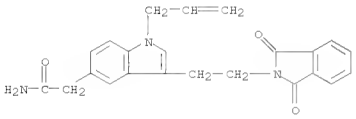
II

AB I (R-R4 = H or alkyl; R5 = H, alkyl, aralkyl, cycloalkyl, etc., or RR5N = heterocycle; R6 = H, alkyl, alkenyl, or R2R1 = aralkylidene; A = C2-3 alkylene; Z = O or S) were prepared for use against migraine (no data). Thus, 4-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)butanol di-Et acetal was cyclized with 4-H2NNHC6H4CH2CO2H.HCl and the acid esterified and ammonolyzed to give II.

IT 81709-47-9P 81726-52-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydrazinolysis of)

RN 81709-47-9 CAPLUS

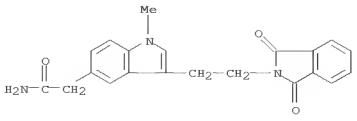
CN 1H-Indole-5-acetamide, 3-[2-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)ethyl]-1-(2-propen-1-yl)- (CA INDEX NAME)



RN 81726-52-5 CAPLUS

CN 1H-Indole-5-acetamide, 3-[2-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)ethyl]-1-methyl- (CA INDEX NAME)

L4 ANSWER 130 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



L4 ANSWER 131 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN

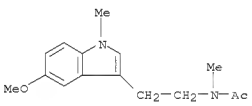
ACCESSION NUMBER: 1981:437436 CAPLUS
DOCUMENT NUMBER: 95:37436
ORIGINAL REFERENCE NO.: 95:6363a,6366a
TITLE: Structural immunochemistry of melatonin-BSA binding, model of amino and indole groups crosslinking
AUTHOR(S): Besselievre, R.; Lemaitre, B. J.; Husson, H. P.; Hartmann, L.
CORPORATE SOURCE: Chim. Clin. Biol. Mol., Inst. Biomed. Cordeliers, Gif-sur-Yvette, Fr.
SOURCE: Biomedicine Express (1980), 33(7), 226-8
CODEN: BMEXBH; ISSN: 0300-0885
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Bovine serum albumin was coupled with HCHO to melatonin, mono-, and dimethylmelatonin (identified by mass spectrometry and 1H NMR) in yields of 9, 3.3, and 1.6, resp., and mol. ratios between the indoles and albumin of 9:1, 2:1, and 1:1, resp. Thus, coupling to albumin occurs at the indole N and another bond with the amide moiety consolidates the binding. The binding sites are necessary for the antigenicity of the mol.

IT 77977-64-1
RL: PRP (Properties)
(albumin-binding sites of, antigenicity in relation to)

RN 77977-64-1 CAPLUS

CN Acetamide, N-[2-(5-methoxy-1-methyl-1H-indol-3-yl)ethyl]-N-methyl- (CA INDEX NAME)



L4 ANSWER 132 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN

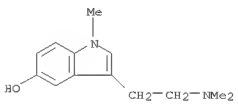
ACCESSION NUMBER: 1980:542682 CAPLUS
DOCUMENT NUMBER: 93:142682
ORIGINAL REFERENCE NO.: 93:22559a,22562a
TITLE: The action of methylated derivatives of 5-hydroxytryptamine at ganglionic receptors
AUTHOR(S): Wallis, D. I.; Nash, H. L.
CORPORATE SOURCE: Dep. Physiol., Univ. Coll., Cardiff, CF1 1XL, UK
SOURCE: Neuropharmacology (1980), 19(5), 465-72
CODEN: NEPHBW; ISSN: 0028-3908
DOCUMENT TYPE: Journal
LANGUAGE: English

AB In rabbit isolated superior cervical ganglia, 5-hydroxytryptamine creatinine sulfate (I) [971-74-4] and DMPP [54-77-3] evoked a brief depolarization followed by an after-hyperpolarization, whereas N,N-dimethyl-5-hydroxytryptamine monooxalate (II) [2963-79-3] and N,N,N-trimethyl-5-hydroxytryptamine (III) [74834-00-7] evoked depolarizations of long duration. The order of potency was III >> II > I = DMPP. Quipazine (1 μM), a selective antagonist of I, reduced the amplitude of responses to I, II, and III by 94, 37, and 10%, resp., and increased the response to DMPP by 42%. I (10 μM), superfused over the ganglion, reduced responses to I, II, III, and DMPP by 56, 27, 25, and 9%, resp. Hexamethonium (100 μM), a selective DMPP antagonist, reduced responses to DMPP, II, and III by 84, 64, and 86%, resp.; responses to I were potentiated in 7 of 13 expts. Thus, II and III may have a dual action at ganglionic nicotinic and I receptors. The 2 receptors may be in close association in the membrane.

IT 74834-00-7
RL: BIOL (Biological study)
(ganglion receptors response to, characterization of)

RN 74834-00-7 CAPLUS

CN 1H-Indol-5-ol, 3-[2-(dimethylamino)ethyl]-1-methyl- (CA INDEX NAME)

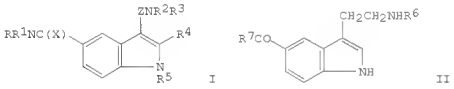


L4 ANSWER 133 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1980:532369 CAPLUS
DOCUMENT NUMBER: 93:132369
ORIGINAL REFERENCE NO.: 93:21l05a,21l08a
TITLE: Indole compounds and pharmaceutical compositions containing them
INVENTOR(S): Webb, Colin Frederick
PATENT ASSIGNEE(S): Glaxo Group Ltd., UK
SOURCE: Ger. Offen., 102 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2940687	A1	19800430	DE 1979-2940687	19791008
DE 2940687	C2	19910801		
ZA 7905239	A	19801126	ZA 1979-5239	19791002
FI 7903071	A	19800413	FI 1979-3071	19791004
DK 7904255	A	19800413	DK 1979-4255	19791009
AU 7951657	A	19800417	AU 1979-51657	19791010
AU 531783	B2	19830908		
GB 2035310	A	19800618	GB 1979-35208	19791010
GB 2035310	B	19821222		
US 4252803	A	19810224	US 1979-83343	19791010
AT 7906605	A	19840815	AT 1979-6605	19791010
AT 377511	B	19850325		
SE 7908443	A	19800413	SE 1979-8443	19791011
SE 448628	B	19870309		
SE 448628	C	19870618		
CH 646151	A5	19841115	CH 1979-9194	19791011
BE 879381	A1	19800201	BE 1979-197621	19791012
NL 7907583	A	19800415	NL 1979-7583	19791012
FR 2438651	A1	19800509	FR 1979-25446	19791012
FR 2438651	B1	19830304		
JP 55062063	A	19800510	JP 1979-130944	19791012
JP 63058817	B	19881117		
CA 1146550	A1	19830517	CA 1979-337443	19791012

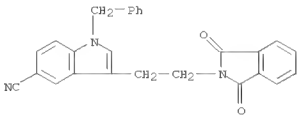
PRIORITY APPLN. INFO.: GB 1978-40279 A 19781012

OTHER SOURCE(S): MARPAT 93:132369
GI

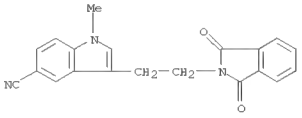


L4 ANSWER 133 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

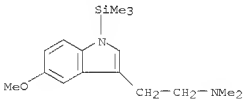
AB The indole derivs. I [R, R1, R2, R3 = H, (substituted) alkyl, cycloalkyl, aryl, or aralkyl; RR1N, and R2R3N = ring; R4 = H, C1-3 alkyl, aryl; R5 = H, alkyl, aralkyl; Z = C1-4 alkylene; X = O, S] and their salts were prepared for use in treatment of hypertension and migraines (no data). Thus, II (R6 = CO2CH2Ph, R7 = OH) reacted with PhCH2NH2 in the presence of
2-chloro-1-methylpyridinium iodide to give II (R6 = CO2CH2Ph, R7 = NHCH2Ph), which was hydrogenated over Pd-C to give I (R6 = H, R7 = NHCH2Ph), isolated as compound with creatinine sulfate.
IT 74885-47-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and alcoholysis of)
RN 74885-47-5 CAPLUS
CN 1H-Indole-5-carbonitrile, 3-[2-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)ethyl]-1-(phenylmethyl)- (CA INDEX NAME)



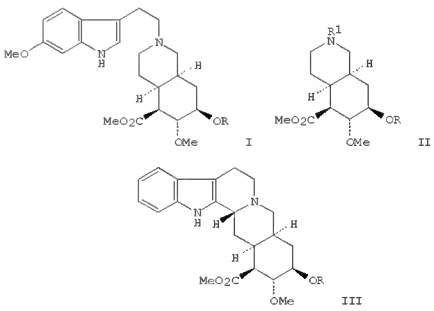
IT 74885-50-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with hydrazine)
RN 74885-50-0 CAPLUS
CN 1H-Indole-5-carbonitrile, 3-[2-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)ethyl]-1-methyl- (CA INDEX NAME)



L4 ANSWER 134 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1979:435131 CAPLUS
DOCUMENT NUMBER: 91:35131
ORIGINAL REFERENCE NO.: 91:5703a,5706a
TITLE: Improved selective ion monitoring mass-spectrometric assay for the determination of N,N-dimethyltryptamine in human blood utilizing capillary column gas chromatography
AUTHOR(S): Walker, R. W.; Mandel, L. R.; Kleinman, J. E.; Gillin,
J. C.; Wyatt, R. J.; Vandenheuvel, W. J. A.
CORPORATE SOURCE: Merck Sharp and Dohme Res. Lab., Rahway, NJ, 07065, USA
SOURCE: Journal of Chromatography, Biomedical Applications (1979), 162(4), 539-46
CODEN: JCBADL; ISSN: 0378-4347
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The use of a glass capillary column in combination with selective ion monitoring results in an assay with a high degree of specificity and sensitivity for N,N-dimethyltryptamine (DMT) in whole blood. 5-Methoxy-DMT is used as an internal standard and carrier in the isolation
procedure. An 18 m + 0.33 mm, SE-30-coated glass capillary column was used at 200° with He carrier gas for the separation of the trimethylsilyl derivs. The superior resolving characteristics of the capillary column (as compared to previously employed packed columns) allows monitoring of the intense m/e 58 ion arising from the DMT side chain. A sensitivity limit of 10 pg/mL blood is realized with a 10-mL blood sample.
IT 34025-40-6
RL: PRP (Properties)
(mass spectrum of)
RN 34025-40-6 CAPLUS
CN 1H-Indole-3-ethanamine, 5-methoxy-N,N-dimethyl-1-(trimethylsilyl)- (CA INDEX NAME)

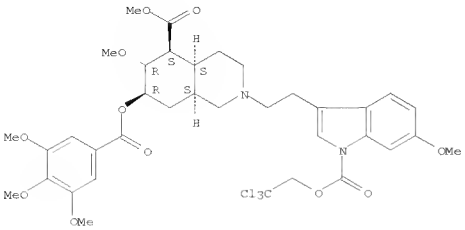


L4 ANSWER 135 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1979:420841 CAPLUS
DOCUMENT NUMBER: 91:20841
ORIGINAL REFERENCE NO.: 91:3497a,3500a
TITLE: The chemical transformation of reserpine to deserpidine
AUTHOR(S): Sakai, Shinichiro; Ogawa, Masaki
CORPORATE SOURCE: Fac. Pharm. Sci., Chiba Univ., Chiba, 260, Japan
SOURCE: Heterocycles (1978), 10, 67-71
CODEN: HTCYAM; ISSN: 0385-5414
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

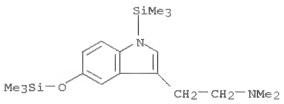


AB Treatment of reserpine with hot HCO2H-HCONH2 gave the secodihydroreserpine
I [R = 3,4,5-(MeO)3C6H2CO], which underwent ring cleavage with ClCO2CH2CCl3 to give the isoquinoline II (R1 = CO2CH2CCl3). Reduction of the
latter by Zn-HOAc gave II (R1 = H), which was alkylated by tryptophyl bromide and then cyclized by Hg(OAc)2 oxidation to give deserpidine (III).
IT 70617-34-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 70617-34-4 CAPLUS
CN 5-Isoquinolinecarboxylic acid, decahydro-6-methoxy-2-[2-[6-methoxy-1-[(2,2,2-trichloroethoxy)carbonyl]-1H-indol-3-yl]ethyl]-7-[(3,4,5-trimethoxybenzoyl)oxy]-, methyl ester, [4aS-(4aα,5β,6α,7β,8aα)]- (9CI) (CA INDEX NAME)

L4 ANSWER 135 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
Absolute stereochemistry.

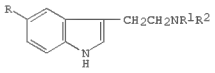


L4 ANSWER 136 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1979:416117 CAPLUS
DOCUMENT NUMBER: 91:16117
ORIGINAL REFERENCE NO.: 91:2676h,2677a
TITLE: Mass fragmentographic quantification of urinary N,N-dimethyltryptamine and bufotenine
AUTHOR(S): Raisanen, Martti; Karkkainen, Jorma
CORPORATE SOURCE: Dep. Med. Chem., Univ. Helsinki, Helsinki, SF-00170/17, Finland
SOURCE: Journal of Chromatography, Biomedical Applications (1979), 162(4), 579-84
CODEN: JCBADL; ISSN: 0378-4347
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The N,N-dimethylated metabolites of tryptamine and serotonin, N,N-dimethyltryptamine (I) and bufotenine (II), resp., were determined quant. in urine by an isotope dilution assay based on mass fragmentog. after extraction of the amines with a nonionic adsorbent, cleanup by thin-layer chromatog., and preparation of trimethylsilyl (TMS) derivs. Thus, an alkalinized (pH 11) morning urine sample (150 mL) was treated with XAD 2 adsorbent (5 g/100 mL) and after adsorption, the resin was placed in a column and the amines eluted with EtOA. The concentrated column eluate was applied to a silica gel G thin-layer plate which was developed in PhMe-HOAc-EtOAc-H2O (16:8:4:1) to remove contaminants. The amines then were eluted from the plate, derivatized to TMS derivs., and analyzed by gas chromatog. on 1% OV-101-coated Gas-Chrom Q and by electron-impact ionization mass spectroscopy. With multiple ion detection methods, 0.1-0.15 ng I/mL urine and 0.25-0.30 ng II/mL urine were detectable. Average urinary excretions of I in men and women were 105 and 81 ng/g creatinine, and of II, 990 and 875 ng/g creatine, resp.
IT 34025-41-7
RL: PRP (Properties)
(mass spectrum of)
RN 34025-41-7 CAPLUS
CN 1H-Indole-3-ethanamine, N,N-dimethyl-1-(trimethylsilyl)-5-[(trimethylsilyl)oxy]- (CA INDEX NAME)



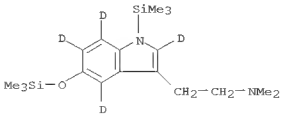
L4 ANSWER 136 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

L4 ANSWER 137 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1979:405443 CAPLUS
DOCUMENT NUMBER: 91:5443
ORIGINAL REFERENCE NO.: 91:1022h,1023a
TITLE: Deuterium labeling of tryptamine, serotonin and their N-methylated metabolites using solvent exchange reactions
AUTHOR(S): Raisanen, Martti; Karkkainen, Jorma
CORPORATE SOURCE: Dep. Med. Chem., Univ. Helsinki, Helsinki, SF-00170/17, Finland
SOURCE: Acta Chemica Scandinavica, Series B: Organic Chemistry and Biochemistry (1979), B33(1), 11-14
CODEN: ACBOCV; ISSN: 0302-4369
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

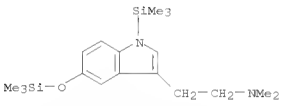


I

AB Tryptamine (I, R = R2 = H), serotonin (I, R = OH, R1 = R2 = H), and their N-methylated metabolites I (R = H, OH, R1 = H, Me, R2 = Me) were deuterated by the title method with heterogeneous Pt-catalysis in 30% AcOD-D2O or by homogeneous acid catalysis with 2M D2SO4 in D2O. The deuterated trimethylsilyl derivs. were characterized by their mass spectra. The deuteriums were attached to the indole nucleus.
IT 70455-46-8
RL: PRP (Properties)
(mass spectrum of)
RN 70455-46-8 CAPLUS
CN 1H-Indole-2,4,8,7-d4-3-ethanamine, N,N-dimethyl-1-(trimethylsilyl)-5-[(trimethylsilyl)oxy]- (9CI) (CA INDEX NAME)

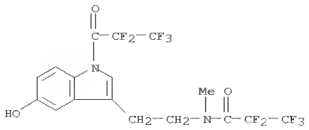


L4 ANSWER 138 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1979:199754 CAPLUS
DOCUMENT NUMBER: 90:199754
ORIGINAL REFERENCE NO.: 90:31719a,31722a
TITLE: Quantitative assay of the N-methylated metabolites of tryptamine and serotonin by gas chromatography mass spectrometry as applied to the determination of lung indoleethylamine N-methyltransferase activity
AUTHOR(S): Raisanen, M.; Karkkainen, J.
CORPORATE SOURCE: Dep. Med. Chem., Univ. Helsinki, Helsinki, Finland
SOURCE: Biomedical Mass Spectrometry (1978), 5(10), 596-600
CODEN: BMSYAL; ISSN: 0306-042X
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A specific and sensitive method is described for the identification and quantification of the N-mono- and dimethylated derivs. of tryptamine and serotonin by gas chromatog. and mass spectrometry, with a detection limit of <5 pmol of amine per sample. This technique was applied to determination of indoleethylamine N-methyltransferase (I) in rabbit and human lung. Km values for tryptamine of 0.34 + 10-3 and 0.43 + 10-3M were obtained with I from rabbit and human lung, resp. When serotonin was the substrate, Km values of 1.00 + 10-3 and 1.11 + 10-3 were obtained with I from rabbit and human lung resp.
IT 34025-41-7 70328-78-8
RL: PRP (Properties)
(mass spectrum of)
RN 34025-41-7 CAPLUS
CN 1H-Indole-3-ethanamine, N,N-dimethyl-1-(trimethylsilyl)-5-[(trimethylsilyl)oxy]- (CA INDEX NAME)

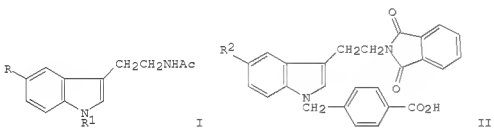


RN 70328-78-8 CAPLUS
CN Propanamide, 2,2,3,3,3-pentafluoro-N-[2-[5-hydroxy-1-(2,2,3,3,3-pentafluoro-1-oxopropyl)-1H-indol-3-yl]ethyl]-N-methyl- (CA INDEX NAME)

L4 ANSWER 138 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

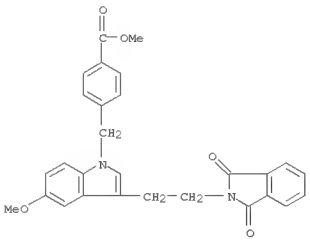


L4 ANSWER 139 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1978:579781 CAPLUS
DOCUMENT NUMBER: 89:179781
ORIGINAL REFERENCE NO.: 89:27915a,27918a
TITLE: Indole N-alkylation of tryptamines via dianion and phthalimido intermediates. New potential indolealkylamine haptens
AUTHOR(S): De Silva, S. Osmund; Snieckus, Victor
CORPORATE SOURCE: Guelph-Waterloo Cent. Grad. Work Chem., Univ. Waterloo, Waterloo, ON, Can.
SOURCE: Canadian Journal of Chemistry (1978), 56(12), 1621-7
CODEN: CJCHAG; ISSN: 0008-4042
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

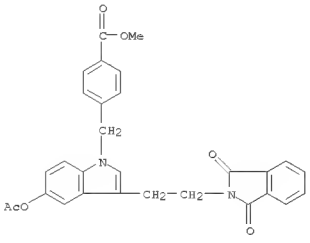


AB Tryptamines I (R = H, MeO, PhCH2O; R1 = 4-MeO2CC6H4CH2) were prepared from I (R1 = H) by treatment with BuLi and regiospecific benzylation of the resulting dianions with 4-(BrCH2)C6H4CO2Me; alternatively, I (R1 = H) underwent phase-transfer catalyzed benzylation by 4-(BrCH2)C6H4CO2Me in 50% aqueous NaOH-CH2Cl2 containing Bu4N+.HSO4-. Treatment of I (R1 = 4-MeO2CC6H4CH2) with LiI and NaCN in refluxing DMF gave I (R1 = 4-HO2CC6H4CH2). Phthalimidoethylindoles II (R2 = H, MeO, HO, Ac) were prepared analogously. These 1-(4-carboxybenzyl)tryptamines may be useful in radioimmunoassay and immunohistochem. studies.
IT 68062-96-4P 68062-97-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and demethylation of)
RN 68062-96-4 CAPLUS
CN Benzoic acid, 4-[[3-[2-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)ethyl]-5-methoxy-1H-indol-1-yl]methyl]-, methyl ester (CA INDEX NAME)

L4 ANSWER 139 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

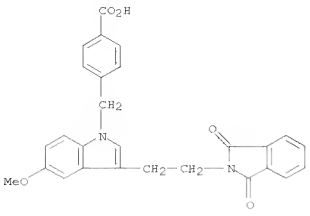


RN 68062-97-5 CAPLUS
CN Benzoic acid, 4-[[5-(acetyloxy)-3-[2-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)ethyl]-1H-indol-1-yl]methyl]-, methyl ester (CA INDEX NAME)

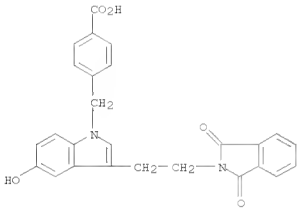


IT 68062-99-7P 68063-00-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 68062-99-7 CAPLUS
CN Benzoic acid, 4-[[3-[2-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)ethyl]-5-methoxy-1H-indol-1-yl]methyl]- (CA INDEX NAME)

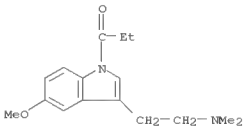
L4 ANSWER 139 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



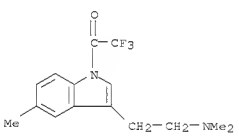
RN 68063-00-3 CAPLUS
CN Benzoic acid, 4-[[3-[2-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)ethyl]-5-hydroxy-1H-indol-1-yl]methyl]- (CA INDEX NAME)



L4 ANSWER 140 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1978:499491 CAPLUS
DOCUMENT NUMBER: 89:99491
ORIGINAL REFERENCE NO.: 89:15051a,15054a
TITLE: Gas-liquid chromatographic properties of catecholamines. Phenylethylamines and indolalkylamines as their propionyl derivatives
AUTHOR(S): Hiemke, Christoph; Kauert, Gerold; Kalbhen, Dieter Abbo
CORPORATE SOURCE: Inst. Pharmacol., Univ. Bonn, Bonn, Fed. Rep. Ger.
SOURCE: Journal of Chromatography (1978), 153(2), 451-60
CODEN: JOCRAM; ISSN: 0021-9673
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The gas chromatog. properties of the biogenic amines, catecholamines, phenylethylamines and indolalkylamines as their propionyl derivs. were studied. These derivs. are readily formed in an aqueous medium. Propionylated amines are more stable than their parent compds. and increasingly lipophilic, so that they can be extracted quant. into an organic solvent. The propionyl derivs. of the biogenic amines show good gas chromatog. properties. They can be well separated on OV-101 and OV-17 silicones. Care must be taken of certain interactions of the compds. during the chromatog. procedures. Pre-treatment of the column with thionyl chloride inhibits decomposition of β-O-propionylated catecholamines and prevents their interference with other amines. Propionylation is a useful means for the isolation and determination of a wide range of biogenic amines from biol. materials by gas chromatog.
IT 67224-57-1
RL: ANT (Analyte); ANST (Analytical study)
(gas chromatog. of, stability in relation to)
RN 67224-57-1 CAPLUS
CN 1-Propanone, 1-[3-[2-(dimethylamino)ethyl]-5-methoxy-1H-indol-1-yl]- (CA INDEX NAME)



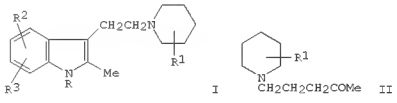
L4 ANSWER 141 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1978:117167 CAPLUS
DOCUMENT NUMBER: 88:117167
ORIGINAL REFERENCE NO.: 88:18365a,18368a
TITLE: A gas chromatographic procedure for determining N, N-dimethyltryptamine and N-monomethyltryptamine in urine using a nitrogen detector
AUTHOR(S): Oon, M. C. H.; Rodnight, R.
CORPORATE SOURCE: Dep. Biochem., Inst. Psychiatry, London, UK
SOURCE: Biochemical Medicine (1977), 18(3), 410-19
CODEN: BIMDA2; ISSN: 0006-2944
DOCUMENT TYPE: Journal
LANGUAGE: English
AB N,N-dimethyltryptamine (I) and N-monomethyltryptamine (II) were determined in urine after acid and solvent extraction, thin-layer chromatog., and derivatization with trifluoroacetic anhydride. The derivs. were separated by gas chromatog. and detected with a N detector. The N detector has increased sensitivity for the indoleamine derivs., and fewer peaks were found in the elution profile as compared with a flame-ionization detector.
There was a significant tendency for I excretion to be increased in psychotic patients.
IT 66002-73-1
RL: PRP (Properties)
(mass spectrum of)
RN 66002-73-1 CAPLUS
CN Ethanone, 1-[3-[2-(dimethylamino)ethyl]-5-methyl-1H-indol-1-yl]-2,2,2-trifluoro- (CA INDEX NAME)



L4 ANSWER 142 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1977:502164 CAPLUS
DOCUMENT NUMBER: 87:102164
ORIGINAL REFERENCE NO.: 87:16211a,16214a
TITLE: 3-(Piperidino-lower-alkyl)indoles
INVENTOR(S): Zenitz, Bernard L.
PATENT ASSIGNEE(S): Sterling Drug Inc., USA
SOURCE: U.S., 16 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

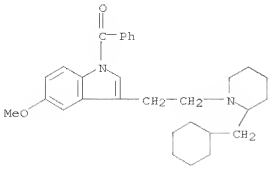
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4021431	A	19770503	US 1975-633939	19751120
US 4160862	A	19790710	US 1974-439279	19740204
PRIORITY APPLN. INFO.:			US 1972-261739	A2 19720612
			US 1974-439279	A3 19740204
			GB 1973-19624	A 19730425

OTHER SOURCE(S): MARPAT 87:102164
GI

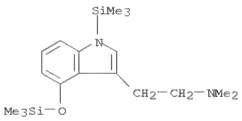


AB The antiinflammatory indoles I [R = R4C6H4CO (R4 = H, 2-Br, 2-F, 3-F, 4-F), Cl2C6H3CO, PhCH:CHCO, 2-thenoyl, 2-furoyl; R1 = 2-cyclohexylmethyl, 2-Me, 2-cyclohexyl, 2-(3-cyclohexylpropyl) 4-(2-cyclohexylethyl), 4-cyclohexyl; R2, R3 = H, MeO, F, CF3O, Me, PhCH2O, MeS, Cl, EtO] were prepared by Fischer indole synthesis of R2R3C6H3NHNH2·HCl with the piperidines II and subsequent acylation with RCl. II were prepared by reduction of phenyl- and (phenylalkyl)pyridines and subsequent substitution reactions with Cl(CH2)3COMe. The 2-[2-(cyclohexylmethyl)pyrrolidino]ethyl and 3-(2-cyclohexylmethylpiperidino)propyl analogs of I were prepared similarly. The antiinflammatory activies of I were determined by the carrageenin edema (CE) and adjuvant arthritic (AA) tests; thus, I (R = Bz, R1 = 2-cyclohexylmethyl, R2 = 5-MeO, R3 = H) reduced inflammation 44% at 0.324 μM/kg in the CE test and 79% at 0.1 μM/kg in the AA test.
IT 63757-03-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 63757-03-9 CAPLUS
CN Methanone, [3-[2-[2-(cyclohexylmethyl)-1-piperidinyl]ethyl]-5-methoxy-1H-

L4 ANSWER 142 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
indol-1-yl]phenyl- (CA INDEX NAME)

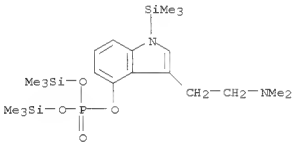


L4 ANSWER 143 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1977:435198 CAPLUS
DOCUMENT NUMBER: 87:35198
ORIGINAL REFERENCE NO.: 87:5541a,5544a
TITLE: GLC-mass spectral analysis of psilocin and psilocybin
AUTHOR(S): Repke, David B.; Leslie, Dale Thomas; Mandell, Daniel M.; Kish, Nicholas G.
CORPORATE SOURCE: Mountain View, CA, USA
SOURCE: Journal of Pharmaceutical Sciences (1977), 66(5), 743-4
CODEN: JPMSAE; ISSN: 0022-3549
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Freeze-dried pileus tissue (50 mg) of Psilocybe cubensis was extracted with MeOH, taken to dryness under N2, and 100 µL bis(trimethylsilyl)trifluoroacetamide were added. The closed vial was heated at 140° for 15 min for derivatization, and 1.0 µL sample was injected into a temperature-programmed (150/250°) gas chromatog. packed with 1.5% SE-30 on Chromosorb W. Retention times were 8.45 and 13.10 min, resp., for bis(trimethylsilyl)psilocin (I) and tris(trimethylsilyl)psilocybin (II). The concns. of the 2 hallucinogenic indoles in the sample were 0.420 and 0.168%, resp. In order to record a satisfactory mass spectrum for II, a 3% OV-101 column on Gas Chrom Q, temperature-programmed (200-275°) was used; II was eluted in 3.6 min. Mass spectra values for the derivs. are given.
IT 55760-24-2 63459-68-7
RL: PRP (Properties)
(mass spectrum of)
RN 55760-24-2 CAPLUS
CN 1H-Indole-3-ethanamine, N,N-dimethyl-1-(trimethylsilyl)-4-[(trimethylsilyl)oxy]- (CA INDEX NAME)



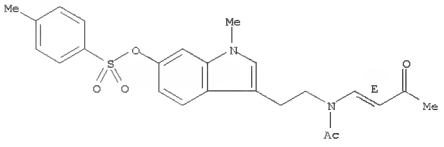
RN 63459-68-7 CAPLUS
CN Phosphoric acid, 3-[2-(dimethylamino)ethyl]-1-(trimethylsilyl)-1H-indol-4-yl bis(trimethylsilyl) ester (CA INDEX NAME)

L4 ANSWER 143 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

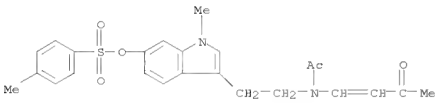


L4 ANSWER 144 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1976:17588 CAPLUS
DOCUMENT NUMBER: 84:17588
ORIGINAL REFERENCE NO.: 84:2923a,2926a
TITLE: Total synthesis of (+-)-vindoline
AUTHOR(S): Ando, Masayoshi; Buechi, George; Ohnuma, Takeshi
CORPORATE SOURCE: Dep. Chem., Massachusetts Inst. Technol., Cambridge, MA, USA
SOURCE: Journal of the American Chemical Society (1975), 97(23), 6880-1
CODEN: JACSAT; ISSN: 0002-7863
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB Vindoline (I), the major alkaloid of Catharanthus roseus and a structural moiety in the oncolytic Vinca alkaloids, was prepared by a stereospecific total synthesis. Cyclization of the intermediate enamino ketone (II) depended on the nature of the C-6 and Nb substituents. Tetracyclic ketone III resulted when the C-6 substituent is electron withdrawing and when Nb is part of a vinylogous imide.
IT 57765-30-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 57765-30-7 CAPLUS
CN Acetamide, N-[2-[1-methyl-6-[[(4-methylphenyl)sulfonyl]oxy]-1H-indol-3-yl]ethyl]-N-(3-oxo-1-butenyl)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

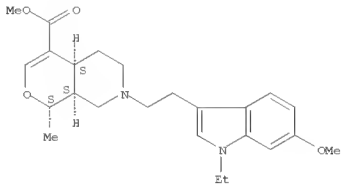


L4 ANSWER 145 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1975:479445 CAPLUS
DOCUMENT NUMBER: 83:79445
ORIGINAL REFERENCE NO.: 83:12487a,12490a
TITLE: Synthesis of naturally occurring indole derivative
AUTHOR(S): Buechi, George H.
CORPORATE SOURCE: Massachusetts Inst. Technol., Cambridge, MA, USA
SOURCE: Chimia (1975), 29(4), 172-3
CODEN: CHIMAD; ISSN: 0009-4293
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB Vindoline (I) and velbanamine (II), constituents of vinblastine, were prepared from 6-(benzyloxy)indole and the lactone III, resp. Key steps were the BF3 catalyzed cyclization of IV (R = 4-MeC6H4SO2) to give V, and the condensation of the epoxide VI with tryptamine in MeOH to give VII.
IT 56596-17-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and isomerization of)
RN 56596-17-9 CAPLUS
CN Acetamide, N-[2-[1-methyl-6-[[(4-methylphenyl)sulfonyl]oxy]-1H-indol-3-yl]ethyl]-N-(3-oxo-1-buten-1-yl)- (CA INDEX NAME)

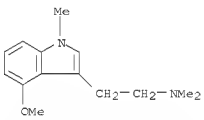


L4 ANSWER 146 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1975:410550 CAPLUS
DOCUMENT NUMBER: 83:10550
ORIGINAL REFERENCE NO.: 83:1777a,1780a
TITLE: Structure of caboxines. Oxindole alkaloids of Cabucala fasciculata
AUTHOR(S): Titeux, F.; Le Men-Olivier, L.; Le Men, J.
CORPORATE SOURCE: Fac. Pharm., Reims, Fr.
SOURCE: Phytochemistry (Elsevier) (1975), 14(2), 565-8
CODEN: PYTCAS; ISSN: 0031-9422
DOCUMENT TYPE: Journal
LANGUAGE: French
GI For diagram(s), see printed CA Issue.
AB The structures of 3 new methoxy pentacyclic oxindole alkaloids were elucidated by chemical correlations with reserpinine: caboxine-A (I), isocaboxine A (II) and isocaboxine B (III).
IT 55872-12-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 55872-12-3 CAPLUS
CN 1H-Pyrano[3,4-c]pyridine-4-carboxylic acid, 7-[2-(1-ethyl-6-methoxy-1H-indol-3-yl)ethyl]-4a,5,6,7,8,8a-hexahydro-1-methyl-, methyl ester, [1S-(1α,4aα,8aα)]- (9CI) (CA INDEX NAME)

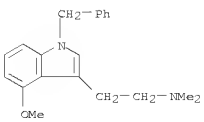
Absolute stereochemistry.



L4 ANSWER 147 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1974:145952 CAPLUS
DOCUMENT NUMBER: 80:145952
ORIGINAL REFERENCE NO.: 80:23549a,23552a
TITLE: New route for synthesizing psilocine derivatives
AUTHOR(S): Germain, Claude; Bourdais, Jacques
CORPORATE SOURCE: Lab. Chim. Heterocyclique Organomet., Univ. Paris-Sud, Orsay, Fr.
SOURCE: Chimica Therapeutica (1973), 8(6), 647-51
CODEN: CHTPBA; ISSN: 0009-4374
DOCUMENT TYPE: Journal
LANGUAGE: French
OTHER SOURCE(S): CASREACT 80:145952
GI For diagram(s), see printed CA Issue.
AB Indoles I (R = Me, PhCH2; R1 = Me, Me2CH n = 1.2) were prepared from 2,3-Cl(O2N)C6H3OH (II). Successive methylation, NCCH2CONMe2 condensation, hydrogenation and reductive cyclization of II indolecarboxamide III (R = H, R1 = Me, m = 0), which underwent alkylation and LiAlH4 reduction to give indolemethylamines I (R = PhCH2, 2-ClC6H4CH2). In 6 steps III (R = H, R1 = Me, m = 0) was converted to the indoleacetamide III (m = 1), which was reduced to the corresponding indoleethylamine I. Alkylation of III (R = H, R1 = Me, m = 1) and then reduction gave indoleethylamine I (R = Me, PhCH2).
Similarly, I (R1 = Me2CH) were prepared
IT 7556-46-9P 52335-83-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 7556-46-9 CAPLUS
CN 1H-Indole-3-ethanamine, 4-methoxy-N,N,1-trimethyl- (CA INDEX NAME)



RN 52335-83-8 CAPLUS
CN 1H-Indole-3-ethanamine, 4-methoxy-N,N-dimethyl-1-(phenylmethyl)- (CA INDEX NAME)



L4 ANSWER 147 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

L4 ANSWER 148 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1974:108368 CAPLUS
DOCUMENT NUMBER: 80:108368
ORIGINAL REFERENCE NO.: 80:17427a,17430a
TITLE: Indole pharmaceuticals
INVENTOR(S): Boch, Jean; Molle, Jean
PATENT ASSIGNEE(S): A.E.C. Societe de Chimie Organique et Biologique
SOURCE: Fr. Demande, 26 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2181559	A1	19731207	FR 1972-15253	19720428
FR 2181559	B1	19750620		
PRIORITY APPLN. INFO.:			FR 1972-15253 A	19720428

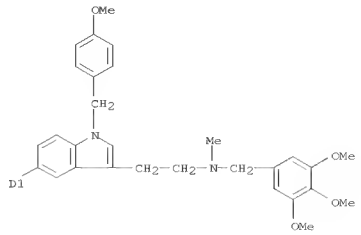
GI For diagram(s), see printed CA Issue.
AB Indoles I (R = H, Me, CH2Ph, substituted benzyl, SO2Ph, aminoalkyl; R1 = H, Me, Ph, substituted phenyl; R2 = Me; R3 = substituted phenyl; R4 = H, OMe, OCH2Ph; R5 = H, OMe; R4R5 = OCH2O) (61 compds.) were prepared by methylating I (R2 = H). I (R=R2, R4, R5 = H, R3 = 3,4,5-(MeO)3C6H2) was prepared by treating tryptamine with 3,4,5,-(MeO)3C6H2CHO and NaBH4 reduction I (R2 = Me) demonstrated sedative, anticonvulsant, analgesic, and neuroleptic activities.
IT 51590-08-0P 51841-22-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 51590-08-0 CAPLUS
CN 1H-Indole-3-ethanamine, 2-(methoxyphenyl)-1-[(4-methoxyphenyl)methyl]-N-methyl-N-[(3,4,5-trimethoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

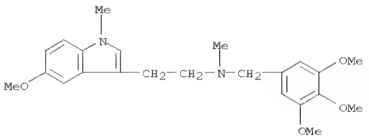
D1—O—Me

L4 ANSWER 148 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

PAGE 2-A



RN 51841-22-6 CAPLUS
CN 1H-Indole-3-ethanamine, 5-methoxy-N,1-dimethyl-N-[(3,4,5-trimethoxyphenyl)methyl]-, hydrochloride (1:1) (CA INDEX NAME)

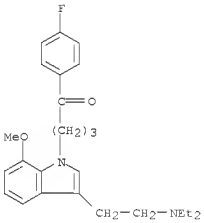


● HCl

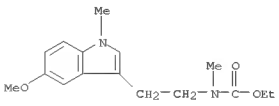
L4 ANSWER 149 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1973:136064 CAPLUS
DOCUMENT NUMBER: 78:136064
ORIGINAL REFERENCE NO.: 78:21849a,21852a
TITLE: Tryptamine butyrophenones
INVENTOR(S): Aries, Robert
SOURCE: Fr., 9 pp.
CODEN: FRXXAK
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2133026		19721229	FR 1971-12109	19710406

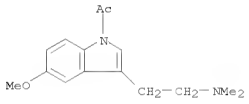
AB The indole (I) was prepared by treating 3-[2-(diethylamino)ethyl]-7-methoxyindole with p-fluoro-4-chlorobutyrophenone in liquid NH3 containing Fe(NO3)3 as catalyst.
IT 40728-93-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 40728-93-6 CAPLUS
CN 1-Butanone, 4-[3-[2-(diethylamino)ethyl]-7-methoxy-1H-indol-1-yl]-1-(4-fluorophenyl)- (CA INDEX NAME)



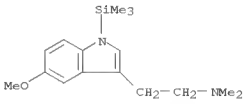
L4 ANSWER 150 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1973:15961 CAPLUS
DOCUMENT NUMBER: 78:15961
ORIGINAL REFERENCE NO.: 78:2527a,2530a
TITLE: Syntheses of heterocyclic compounds. CDXCIII. Reaction of N-ethyoxycarbonyl-5-methoxytryptamine with methyl fluorosulfonate (magic methyl)
AUTHOR(S): Kametani, Tetsuji; Suzuki, Toshio; Ogasawara, Kunio
CORPORATE SOURCE: Pharm. Inst., Tohoku Univ., Sendai, Japan
SOURCE: Chemical & Pharmaceutical Bulletin (1972), 20(9), 2057-9
CODEN: CPBTAL; ISSN: 0009-2363
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 78:15961
GI For diagram(s), see printed CA Issue.
AB N-Methylindole derivs. [I, R = H, R1 = (CH2)2NHCO2Et; R = (CH2)2NMeCO2Et.FSO3H, R1 = H] were prepared by reaction of N-ethoxycarbonyl-5-methoxytryptamine with 2 equivs. of FSO3Me at room temperature; the minor products were separated by silica gel and thick layer chromatog.
IT 39051-93-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 39051-93-9 CAPLUS
CN Carbamic acid, [2-(5-methoxy-1-methyl-1H-indol-3-yl)ethyl]methyl-, ethyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 151 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1973:3422 CAPLUS
DOCUMENT NUMBER: 78:3422
ORIGINAL REFERENCE NO.: 78:575a,578a
TITLE: Mass spectrometry of tryptamines and acetylated tryptamine derivatives
AUTHOR(S): Couch, M. W.; Williams, C. M.
CORPORATE SOURCE: Coll. Med., Univ. Florida, Gainesville, FL, USA
SOURCE: Analytical Biochemistry (1972), 50(2), 612-22
CODEN: ANBCA2; ISSN: 0003-2697
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB Mass spectra of 11 tryptamines, e.g., I and the acetylated derivs. II (R =
H, OMe, OH) are recorded. For diagnostic purposes, N-acetyltryptamines are preferred over other derivs. because they undergo the fewest rearrangements upon electron impact. Two modes of decomposition are noted for
the tryptamines.
IT 39998-63-5
RL: PRP (Properties)
(mass spectrum of)
RN 39998-63-5 CAPLUS
CN Ethanone, 1-[3-[2-(dimethylamino)ethyl]-5-methoxy-1H-indol-1-yl]- (CA INDEX NAME)

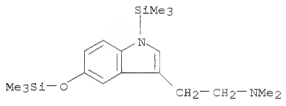


L4 ANSWER 152 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1971:507925 CAPLUS
DOCUMENT NUMBER: 75:107925
ORIGINAL REFERENCE NO.: 75:17032h,17033a
TITLE: Gas-liquid chromatographic and mass spectrometric studies on trimethylsilyl derivatives of N-methyl- and
N,N-dimethyltryptamines
AUTHOR(S): Narasimhachari, N.; Spaide, J.; Heller, B.
CORPORATE SOURCE: Thudichum Psychiatr. Res. Lab., Galesburg State Res. Hosp., Galesburg, IL, USA
SOURCE: Journal of Chromatographic Science (1971), 9(8), 502-5
CODEN: JCHSBZ; ISSN: 0021-9665
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The N,N-dime thyltryptamines: N,N-dimethyltryptamine (DMT), 5-methoxy-N8 N-dimethyltryptamine (5-OMeDMT), and 5-hydroxy-dimethyltryptamine (bufotenine) were completely derivatized to trimethylsilyl (TMS) derivs. with the TMS substituent on the indolic N. Gas chromatog. (GC) data of the derivs. and the mass spectrometry (MS) data of combined GC-MS anal. are described. The secondary amines N-methyltryptamine (NMT) and N-methylserotonin (NMS) gave >1 derivative but in the reaction indolic NH was
more reactive than the secondary amino NH. Primary amines reacted with CS2 to give isothiocyanates which have good GC properties and are ideally suited for GC-MS studies.
IT 34025-40-6 34025-41-7
RL: PRP (Properties)
(gas chromatography and mass spectrum of)
RN 34025-40-6 CAPLUS
CN 1H-Indole-3-ethanamine, 5-methoxy-N,N-dimethyl-1-(trimethylsilyl)- (CA INDEX NAME)

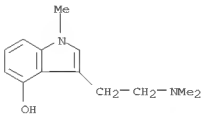


RN 34025-41-7 CAPLUS
CN 1H-Indole-3-ethanamine, N,N-dimethyl-1-(trimethylsilyl)-5-[(trimethylsilyl)oxy]- (CA INDEX NAME)

L4 ANSWER 152 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

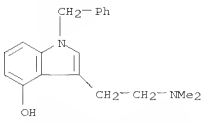


L4 ANSWER 153 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1970:130696 CAPLUS
DOCUMENT NUMBER: 72:130696
ORIGINAL REFERENCE NO.: 72:23409a,23412a
TITLE: Pharmacologic studies on the structure-activity relationship of hydroxyindole alkylamines
AUTHOR(S): Cerletti, Aurelio; Taeschler, M.; Weidmann, H.
CORPORATE SOURCE: Biol. Med. Res. Div., Sandoz Ltd., Basel, Switz.
SOURCE: Advances in Pharmacology (New York) (1968), 6(Pt. B), 233-46
CODEN: ADVPA3; ISSN: 0568-0123
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The structure-activity relations of some hydroxylated, phosphorylated, and
alkylated tryptamines and tryptamine analogs were investigated. The 4- and 5-hydroxy, and 4- and 5-phosphoryloxy derivs. of N,N-dimethyltryptamine possess considerable activity, while the corresponding 6- and 7-derivs. are practically inactive. The 4-hydroxyindoles exert a longlasting activating effect on the patellar reflex; the 5-hydroxyindole derivs. exert a short blocking action. The reflex-activating property was associated with substitution in position 4 of
the indole ring. Only the tertiary amines possess reflex-stimulating activity. The 4-hydroxylated N,N-dimethyltryptamines surpass their 5-substituted analogs in antiserotonin activity. Substitution in position
1 of the indole ring increases antiserotonin activity and reduces reflex activation with the 4-hydroxylated and 4-phosphorylated compds.
IT 1465-16-3 1640-03-5 18483-72-2
28289-20-5
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmacology of)
RN 1465-16-3 CAPLUS
CN 1H-Indol-4-ol, 3-[2-(dimethylamino)ethyl]-1-methyl- (CA INDEX NAME)

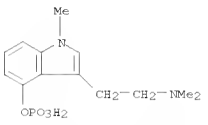


RN 1640-03-5 CAPLUS
CN 1H-Indol-4-ol, 3-[2-(dimethylamino)ethyl]-1-(phenylmethyl)- (CA INDEX NAME)

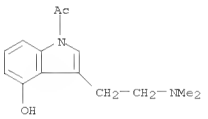
L4 ANSWER 153 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



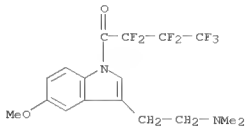
RN 18483-72-2 CAPLUS
CN 1H-Indol-4-ol, 3-[2-(dimethylamino)ethyl]-1-methyl-, dihydrogen phosphate (ester) (9CI) (CA INDEX NAME)



RN 28289-20-5 CAPLUS
CN Ethanone, 1-[3-[2-(dimethylamino)ethyl]-4-hydroxy-1H-indol-1-yl]- (CA INDEX NAME)

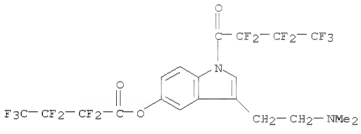


L4 ANSWER 154 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1969:400629 CAPLUS
DOCUMENT NUMBER: 71:629
ORIGINAL REFERENCE NO.: 71:119a,122a
TITLE: Gas liquid chromatography separation of indole amines and indole alcohols as heptafluorobutyryl derivatives
AUTHOR(S): Vessman, J.; Moss, Ann M.; Horning, Marjorie G.; Horning, Evan C.
CORPORATE SOURCE: Coll. of Med., Baylor Univ., Houston, TX, USA
SOURCE: Analytical Letters (1969), 2(2), 81-91
CODEN: ANALBP; ISSN: 0003-2719
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Indole amines and indole alcs. were converted to heptafluorobutyryl (HFB) derivs. by an acyl transfer reaction with heptafluorobutyrylimidazole. The indole NH group as well as all NH2 and OH groups were acylated. The HFB derivs. have excellent gas chromatographic properties and can be used with either H flame or electron capture detection systems. Mass spectra of the HFB derivs. of biologic N,N-dialkyl indole amines are very characteristic; these compds. can be identified easily by gas-liquid chromatog-mass spectrometry.
IT 25025-73-4 25179-02-6
RL: PRP (Properties)
(gas-liquid chromatog.-mass spectrometry of)
RN 25025-73-4 CAPLUS
CN 1-Butanone, 1-[3-[2-(dimethylamino)ethyl]-5-methoxy-1H-indol-1-yl]-2,2,3,3,4,4,4-heptafluoro- (CA INDEX NAME)



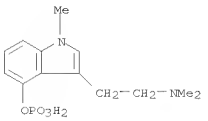
RN 25179-02-6 CAPLUS
CN Butanoic acid, 2,2,3,3,4,4,4-heptafluoro-, 3-[2-(dimethylamino)ethyl]-1-(2,2,3,3,4,4,4-heptafluoro-1-oxobutyl)-1H-indol-5-yl ester (CA INDEX NAME)

L4 ANSWER 154 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



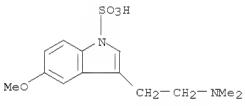
L4 ANSWER 155 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1968:37946 CAPLUS
DOCUMENT NUMBER: 68:37946
ORIGINAL REFERENCE NO.: 68:7351a,7354a
TITLE: Comparative neurophysiological studies of psychotomimetic N-dimethylamines and N-diethylamines and their nonpsychotomimetic congeners devoid of the N-dimethyl or N-dimethyl configurations
AUTHOR(S): Himwich, Harold E.
CORPORATE SOURCE: Galesburg State Res. Hosp., Galesburg, IL, USA
SOURCE: Amines Schizophr. (1967), Meeting Date 1965, 137-49
CODEN: 19DEA9
DOCUMENT TYPE: Conference
LANGUAGE: English
AB 4-Substituted indoleamines, 5-substituted indoleamines, lysergic acid diethylamide, mescaline, and 3,4-dimethoxyphenethylamine were studied for neurophysiol. action in mature rabbits. O-Phosphoryl-4-hydroxy-N-dimethyltryptamine (I), 4-hydroxy-N-dimethyltryptamine (II), 4-methyl-α-methyltryptamine (III), 4-hydroxy-α-methyltryptamine (IV), and 1-methyl-O-phosphoryl-4-hydroxy-N-dimethyltryptamine (V) all evoked an EEG alert reaction in rabbits with intact brains. Compds. I, II, and V were psychomimetic whereas III and IV were not. II and III were compared as congeners; the midbrain preparation was adequate to sustain EEG arousal to III, but only II was successful with the encephale isole preparation 5-Hydroxytryptamine phosphate (VI) and 5-hydroxy-N-dimethyltryptamine (VII) were tested in 73 animals. VI evoked an alerting reaction in intact and postpontine-transected rabbits. VII did not induce alerting at the midbrain level but, after 1st cervical section, EEG arousal was observed consistently. D-Lysergic acid diethylamide (VIII), D-lysergic acid ethylamide (IX), D-lysergic acid (X), D-lysergic acid morpholide (XI), D-1-methyllysergic acid diethylamide (XII), D-isolysergic acid diethylamide (XIII), L-lysergic acid dimethylamide (XIV), D-lysergic acid dimethylamide (XV), 2-bromo-D-lysergic acid diethylamide, and 1-methyl-D-lysergic acid butanolamide were tested; VIII, IX, X, XI, XII, and XV were hallucinogenic. VIII, IX, XI, XII, and XV produced an alerting reaction in the intact animal. VIII maintained EEG alerting after both C1 and postpontine transection and thus possesses a potent locus of action in the lower brainstem. XI, XII, and XV did not show alerting in the encephale isole prepns. X, a hallucinogen without an Et group in the N position, failed to elicit EEG activation. Addition of an Me group on the indole ring as in XII or substitution of H for an Et group as in XI caused a period of latency before drug-induced arousal occurred. Those psychomimetic congeners of VIII containing the N-diethylamine group behaved like indoles containing N-dimethylamine in that both showed activity in the lower brainstem.
IT 18483-72-2
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(brain response to)
RN 18483-72-2 CAPLUS
CN 1H-Indol-4-ol, 3-[2-(dimethylamino)ethyl]-1-methyl-, dihydrogen phosphate

L4 ANSWER 155 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
(ester) (9CI) (CA INDEX NAME)

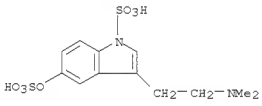


L4 ANSWER 156 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1967:461867 CAPLUS
DOCUMENT NUMBER: 67:61867
ORIGINAL REFERENCE NO.: 67:11595a,11598a
TITLE: 5-Methoxy- and 5-hydroxyindoles in the skin of Bufoalvarius
AUTHOR(S): Erspamer, Vittorio; Vitali, Tullo; Roseghini, Marisa; Cei, Jose M.
CORPORATE SOURCE: Univ. Parma, Parma, Italy
SOURCE: Biochemical Pharmacology (1967), 16(7), 1149-64
CODEN: BCPCA6; ISSN: 0006-2952
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The skin of B. alvarius, a desert toad of Arizona, contains a number of indolealkylamines and their metabolites belonging to the common series of 5-hydroxyindolealkylamines and to the unusual series of 5-methoxyindolealkylamines. The most abundant representative of 5-hydroxyindolealkylamines is, as in numerous other toads, bufotenine (up to 3 mg./g. dry skin), the most abundant representative of 5-methoxyindolealkylamines, O-methylbufotenine. In parotid and coxal glands as much as 5-15% of the dry weight is made up by this compound Natural O-methylbufotenine was isolated in a pure form and its identity with synthetic O-methylbufotenine definitely established. B. alvarius skin presents 3 S-containing indolealkylamines: one is bufoviridine, the well known O-sulfate of bufotenine, the other two are completely new compds. with sulfate probably attached to the NH group of the indole nucleus. All the hitherto described metabolites arising from the oxidative deamination of 5-hydroxyand 5-methoxyindolealkylamines may be found in B. alvarius skin: 5-hydroxytryptophol, 5-hydroxyindoleacetic acid, 5-methoxytryptophol, and 5-methoxyindoleacetic acid. The occurrence of the above compds. points to the necessary presence in B. alvarius skin of a number of enzymes: tryptophan 5-hydroxylase catalyzing the formation of 5-hydroxytryptophan, aromatic L-amino acid decarboxylase producing the decarboxylation of 5-hydroxytryptophan to 5-hydroxytryptamine, N-methyl transferase and 5-hydroxyindole-O-methyl transferase giving origin to the N-methyl- and O-methylindolealkylamines, and finally sulfoconjugases catalyzing the linkage of H2SO4 to the 5-hydroxy group and the NH group of the indole nucleus. The exceptionally rich sample of indolealkylamines in the skin of B. alvarius seems of interest not only from the point of view of comparative biochemistry, but also from that of comparative enzymology and biochem. taxonomy. 19 references.
IT 16369-09-8 16369-10-1
RL: BIOL (Biological study)
(in skin of toads)
RN 16369-09-8 CAPLUS
CN 1H-Indole-1-sulfonic acid, 3-[2-(dimethylamino)ethyl]-5-methoxy- (CA INDEX NAME)

L4 ANSWER 156 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 16369-10-1 CAPLUS
CN 1H-Indole-1-sulfonic acid, 3-[2-(dimethylamino)ethyl]-5-(sulfooxy)- (CA INDEX NAME)



L4 ANSWER 157 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1966:447562 CAPLUS
DOCUMENT NUMBER: 65:47562
ORIGINAL REFERENCE NO.: 65:8859b-h,8860a-g
TITLE: Research in the indole series. XVII. Preparation of some indolines, indoles, and tryptamines oxygenated at positions 4 or 6 by "aryne" cyclization
AUTHOR(S): Julia, Marc; Gaston-Breton, Hubert
CORPORATE SOURCE: Inst. Pasteur, Paris
SOURCE: Bulletin de la Societe Chimique de France (1966), (4), 1335-42
CODEN: BSCFAS; ISSN: 0037-8968
DOCUMENT TYPE: Journal
LANGUAGE: French
OTHER SOURCE(S): CASREACT 65:47562
GI For diagram(s), see printed CA Issue.
AB cf. CA 64, 677c. Treatment of I with KCN in Me2NCHO gave 80% II. Similarly, III gave 79% IV. With NaCN and NaI in Me2CO, I yielded 75% II.
Hydrolysis of II in aqueous H2SO4-AcOH gave 92% V which with SOCl2 yielded 70% VI, b10 145°. To 10 ml. 33% aqueous MeNH2 stirred at 0° were added, simultaneously, 6 g. VI and 14 ml. 10% aqueous NaOH, the mixture was stirred 30 min., and filtered to give 82% VII, m. 142° (EtOH). Similarly were prepared 60% VIII, m. 101° (EtOH), and 61% IX, m. 122° (EtOH). To a solution of 10 g. LiAlH4 in 800 ml. Et2O (prepared by filtration of the LiAlH4-Et2O mixture after 12 hrs. reflux)was added carefully 20 g. pure, dry VII and the mixture refluxed 95 hrs. to give 3 g. VII and 57% X, b0.5 110°; HCl salt m. 150° (EtOH-Et2O). Similarly, VIII gave 28% XI (HCl salt m. 175°) and IX gave 34% XII, b0.5 170° (HCl salt m. 202°). A solution of V in Et2O refluxed 12 hrs. with LiAlH4 gave 97% XIII, b18 123-5°, m. 35° (EtOH); 3,5-dinitrobenzoate m. 152° (EtOH). A solution of 55 g. XIII in 25 g. pyridine at 0° was treated carefully with 38 g. SOCl2 and the mixture heated 1 hr. at 60° to yield 75% XIV, b12 140-2°. A mixture of 19 g. XIII and 200 ml. 48% HBr was distilled at 100 ml./hr., the combined distillate and residue were poured into H2O, and extracted with Et2O to give 81% XV, b0.8 120°. A mixture of 10 g. XV and 100 g. MeNH2 in 10% C6H6 solution heated 15 hrs. at 120° in a sealed tube, the solution extracted with HCl, the extract washed with Et2O, and basified gave 55% X. Similarly were prepared 56% XI, 48% XII, 68% XVI (HCl salt m. 180°), 38% XVII (HCl salt m. 173°), 35% XVIII (HCl salt m. 183°), 50% XIX (HCl salt m. 205°), 59% XX (HCl salt m. 197°), and 40% XXI (HCl salt m. 155°). A solution of 50 g. II in 350 ml. 15% NH3 in MeOH was hydrogenated at 50° and 70 kg./cm.2 over Raney Ni to yield 78% XXII, b22 150°; oxalate m. 205° (EtOH); HCl salt m. 218° (EtOH-Et2O). Similarly, IV gave 78% XXIII. A solution of XXII in HCO2Et refluxed 3 hrs. gave 90% XXIV, m. 93° (C6H6). Similarly, XXIII yielded 100% XXV, m. 60° (petr. ether). Treatment of XXII or XXIII with Ac2O or BzCl gave the following derivs.: 97% XXVI, m. 98° (C6H6-petr. ether), 95% XXVII, m. 81° (C6H6petr. ether), and 86% XXVIII, m. 139° (C6H6). A solution of XXIV in Et2O

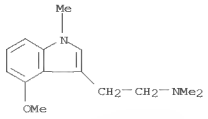
L4 ANSWER 157 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
refluxed 12 hrs. with LiAlH4 gave 90% X. Similarly were prepd. 80% XI, 65% XII, 75% XXIX, b15 168-70°, and 78% XXX, b23 170-5°; oxalate m. 226° (MeOH-Et2O). A soln. of 12 g. X and 4.5 g. Et2NH in 500 ml. Et2O was poured rapidly into 750 ml. PhLi (0.9 mole/l.) (Method A) and the mixt. refluxed 15 hrs. to give 81% XXXI, b11 110°; oxalate m. 118-19° (EtOHEt2O); picrate m. 162° (EtOH). A mixt. of 36 g. naphthalene, 300 ml. tetrahydrofuran (THF), and 11 g. Na was refluxed gently with stirring for 3 hrs. (Method B), 18 g. X and 8 g. Et2NH in 200 ml. THF were added rapidly, and the mixt. refluxed 12 hrs. to yield 60% XXXI. Thus were prepd. (product, % yield by method A, % yield by method B, b.p./mm., deriv., and m.p. of deriv. given): XXXII, -, 71,135-40°/12, picrate, 135° (EtOH); XXXIII, 40, 26, -, oxalate, 129° (EtOH-Et2O); XXXIV, 20 (and 57% XXII), -, -, oxalate, 165° (EtOAc); XXXV, -, 22, 130-40°/18, -, -; XXXVI, -, 66, 155°/1, HCl salt, 157° (isoPrOH-Et2O); XXXVII, -, 52, 165/18, HCl salt, 153° (isoPrOH-Et2O). A mixt. of 6 g. XXXI and 100 ml. 48% HBr was refluxed 15 hrs., cooled, neutralized with NaOH, the pH adjusted to 8.9 with NaHCO3, the mixt. extd. with Et2O, and the Et2O evapd. The residue was extd. with boiling petr. ether contg. a little EtOH, the soln. treated with C, and concd. to give 71% XXXVIII, m. 137° (petr. ether); HCl salt m. 170° (EtOH); methiodide m. 175° (EtOH-Et2O); ethiodide m. 146° (EtOH); benzyliodide m. 170° (EtOH). Similarly, XXXII yielded 68% XXXIX, m. 115° (petr. ether) (HCl salt m. 184°; ethiodide m. 153°); XXXVI gave 67% XL (methiodide m. 173°; benzyliodide m. 175°); and XXXVII gave XLI, m. 95° (ligroine) (ethiodide m. 168°). Dehydrogenation of XXXI with CuCl2 in refluxing pyridine gave 52% XLII. Treatment of XXXI with Pd-C and PhCH:CHCO2H in refluxing mesitylene gave 64% XLII. Similarly, XXXIV gave 50% XLIII, XXXV gave 42% XLIV, and XXXVI gave 27% XLV. Treatment of XXXVIII in the min. aq. NaOH with Raney Ni and maleic anhydride in refluxing H2O yielded 30% XLVI, m. 90° (petr. ether). A soln. of 170 g. II in 900 ml. C6H6 was refluxed 2 hrs. with 40 g. freshly prepd. NaNH2, the mixt. cooled to 40°, 111 g. freshly distd. ClCH2CH2NMe2 added dropwise, and the mixt. refluxed 2 hrs. to yield 63% XLVII, b25 200°, m. 38-40° (petr. ether). Hydrogenation of XLVII in MeOH-NH3 over Raney Ni at 50° and 70 kg./cm.2 gave 89% XLVIII, b25 195°, n23D 1.5432; dipicrate m. 186° (EtOH); tosylate m. 91° (petr. ether). Treatment of XLVIII with refluxing HCO2Et yielded 95% XLIX, b92 190°, n23D 1.5444, LiAlH4 redn. of which gave 95% L, b10 180°, n23D 1.5260; dipicrate m. 189° (EtOH); tosylate m. 94° (petr. ether). Cyclization of L by method B gave 31% LI, b12 165°, n23D 1.5539; monopicrate m. 169° (EtOH). Raney Ni dehydrogenation of LI yielded 60% LII, b0.005, 170°; HCl salt m. 257° (iso-PrOH). Many of the above compds. are acetylcholinesterase inhibitors.

IT 7556-46-9P, Indole, 3-[2-(dimethylamino)ethyl]-4-methoxy-1-methyl-
7608-43-7P, Indole, 3-[2-(dimethylamino)ethyl]-4-methoxy-1-methyl-, hydrochloride
RL: PREP (Preparation)
(preparation of)

L4 ANSWER 157 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

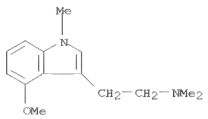
RN 7556-46-9 CAPLUS

CN 1H-Indole-3-ethanamine, 4-methoxy-N,N,1-trimethyl- (CA INDEX NAME)



RN 7608-43-7 CAPLUS

CN 1H-Indole-3-ethanamine, 4-methoxy-N,N,1-trimethyl-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

L4 ANSWER 158 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1966:403932 CAPLUS
DOCUMENT NUMBER: 65:3932
ORIGINAL REFERENCE NO.: 65:691b-e
TITLE: Antiinflammatory indole derivatives
PATENT ASSIGNEE(S): Merck & Co., Inc.
SOURCE: 105 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
NL 6508553		19660103	NL 1965-8553	19650702
PRIORITY APPLN. INFO.:			US	19640702

GI For diagram(s), see printed CA Issue.

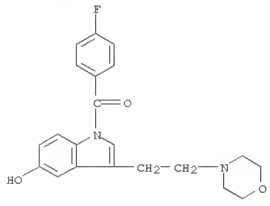
AB I (R1 = COC6H4Cl-4) (II) were prepared To a solution of 0.02 mole I (R = R1 = H) (III), 0.22 mole HNMe2, and a trace of HCl in 250 ml. EtOH was added 0.22 mole 40% H2CO and the whole refluxed 5 hrs. to give I (R = CH2NMe2, R1 = H). A solution of 0.021 mole III in 20 ml. HCONMe2 was added to a cold suspension of 52% NaH in mineral oil and 250 ml. HCONMe2, the mixture stirred 20 min., cooled, and treated with 0.0222 mole 4-ClC6H4COCl, and the mixture stirred 16 hrs. to give II (R = H). The following I (R1 = H) were prepared (R given): CHO; CH:NEt; Ac; CH2NHEt; CH2N:CHPh; CH2NEt2; CH:CMeNO2; CH2CHMeNH2; CH2CHMeNHEt; CHMeCOCl (IV); 1-methyl-butanon-1-yl (from IV and Et2Cd); CHMeCHEt:NEt; CHMeCHMeOH; CHMeCHEtBr; CHMeCHEtCN; CHMeCHEtNH2.HCl; CHMeCHEtN:CHPh; CHMeCHEtNHEt; CHMeCHEtCHO; CHMeCHEtCH :NEt; CHMeCHEt:CHNO2. The following II were prepared (R given): CH:NEt; CH: CHCMeNO2; CHMeCHEt:NEt; CHMeCHEtCN; CHMeCHEtCH:NEt; CH2N:CHPh; CH2-NH2.HCl, CH2NMe2; CH2NH2; CH2NEt2; CH2CHMeNH2; CHMeCHEtNH2; CHMeCHEtNHEt; CHMeCHEtCH2NH2; CHMeCHEtCH2NHEt; CHMeCHEtCH2NH2.HCl; CHMeCHEtN: CHPh; CHMeCHEtNH2.HCl; CHMeCHEtCH2NHEt.HCl; CHMeCHEtCH2NEt2; CH2CONH2 (m. 219-21°); CH2CN; CH2CH2NH2.HCl; CH2CH2NEt2; CH2CH2NMe2 [from I (R = CH2CH2NMe2, R1 = H), NaH, and 4-O2NC6H4OCOC6H4Cl-4 (m. 137°) in HCONMe2]. Also prepared was: 1-p-fluorobenzoyl-3-(β-morpholinoethyl)-5-hydroxyindole hydrochloride.

IT 6264-13-7P, Indol-5-ol, 1-(p-fluorobenzoyl)-3-(2-morpholinoethyl)-, hydrochloride
RL: PREP (Preparation)
(preparation of)

RN 6264-13-7 CAPLUS

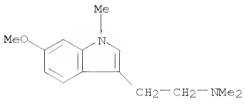
CN Methanone, (4-fluorophenyl)[5-hydroxy-3-[2-(4-morpholinyl)ethyl]-1H-indol-1-yl]-, hydrochloride (1:1) (CA INDEX NAME)

L4 ANSWER 158 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



● HCl

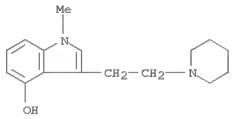
L4 ANSWER 159 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1966:62294 CAPLUS
DOCUMENT NUMBER: 64:62294
ORIGINAL REFERENCE NO.: 64:11697f
TITLE: 5-Methoxy-N,N-dimethyltryptamine, a possible endogenous psychotoxin
AUTHOR(S): Benington, F.; Morin, R. D.; Clark, L. C., Jr.
CORPORATE SOURCE: Med. Coll. of Alabama, Birmingham
SOURCE: Alabama J. Med. Sci. (1965), 2(4), 397-403
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A review of plant sources of substituted tryptamine alkaloids, their use as hallucinogens, and the occurrence of tryptamines as urinary metabolites. The possible role of the title compound as an endogenous psychotoxin in schizophrenia is discussed. 25 references.
IT 7409-74-7, Indole, 3-[2-(dimethylamino)ethyl]-6-methoxy-1-methyl- (behavioral and nervous system effects of)
RN 7409-74-7 CAPLUS
CN 1H-Indole-3-ethanamine, 6-methoxy-N,N,1-trimethyl- (CA INDEX NAME)



L4 ANSWER 160 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1965:480540 CAPLUS
DOCUMENT NUMBER: 63:80540
ORIGINAL REFERENCE NO.: 63:14818c-e
TITLE: Derivatives of 3,3'-dithiobis[indole-2-carboxylic acid] dihydrazides
INVENTOR(S): Szmuszkovicz, Jacob
PATENT ASSIGNEE(S): Upjohn Co.
SOURCE: 4 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

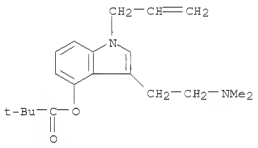
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3180875		19650427	US 1963-314484	19631007
PRIORITY APPLN. INFO.:			US	19631007

OTHER SOURCE(S): CASREACT 63:80540
AB Thionyl chloride (5 cc.) was added to 1.89 g. methyl 1-methylindole-2-carboxylate to give methyl 1-methyl-3-(chlorosulfinyl)indole-2-carboxylate (I), m. 85-8° (decomposition). I, prepared from 0.8 mole methyl 1-methylindole-2-carboxylate, was added over 2 hrs. to a stirred solution of 51.3 g. anhydrous NH2NH2, in 4 l. of Et2O while cooling at 5° to yield 70% 3,3'-dithiobis(1-methylindole-2-carboxylic acid) dimethyl ester (II), m. 199-201°. A mixture of 27.5 g. II and 125 cc. NH2NH2.H2O was refluxed in an oil bath with stirring for 1 hr. and the mixture kept 12 hrs. to yield 80% 3,3-dithiobis(1-methylindole-2-carboxylic acid)dihydrazide (III), m. 236.5-38°. A mixture of 15 g. III and 3 l. Me2CO was refluxed 2.5 hrs. to give 3,3'-dithiobis(1-methylindole-2-carboxylic acid) bis(isopropylidenehydrazide), m. 219-20°. Similarly prepared was 3,3'-dithiobis(1-methylindole-2-carboxylic acid) bis(benzylidenehydrazide), m. 222-3°.
IT 1568-25-8 1568-56-5 1568-57-6 1568-58-7
(Derived from data in the 7th Collective Formula Index (1962-1966))
RN 1568-25-8 CAPLUS
CN 1H-Indol-4-ol, 1-methyl-3-[2-(1-piperidinyl)ethyl]- (CA INDEX NAME)

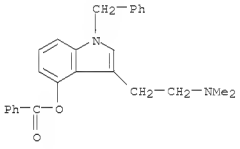


L4 ANSWER 160 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

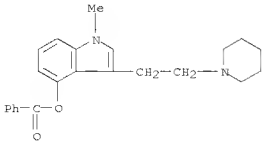
RN 1568-56-5 CAPLUS
CN Propanoic acid, 2,2-dimethyl-, 3-[2-(dimethylamino)ethyl]-1-(2-propen-1-yl)-1H-indol-4-yl ester (CA INDEX NAME)



RN 1568-57-6 CAPLUS
CN 1H-Indol-4-ol, 3-[2-(dimethylamino)ethyl]-1-(phenylmethyl)-, 4-benzoate (CA INDEX NAME)



RN 1568-58-7 CAPLUS
CN 1H-Indol-4-ol, 1-methyl-3-[2-(1-piperidinyl)ethyl]-, 4-benzoate (CA INDEX NAME)



L4 ANSWER 161 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1965:480539 CAPLUS
DOCUMENT NUMBER: 63:80539
ORIGINAL REFERENCE NO.: 63:14817g-h,14818a-c
TITLE: Indole series esters
INVENTOR(S): Hofmann, Albert; Troxler, Franz
PATENT ASSIGNEE(S): Sandoz Ltd.
SOURCE: 4 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

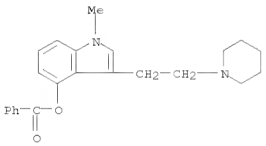
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CH 386422		19650415	CH 1960-3563	19600330
PRIORITY APPLN. INFO.:			CH	19600330

AB The title compds. were prepared by treatment of a hydroxy indole derivative with a reaction-capable derivative of an O-containing mono- or dibasic inorg. acid or an organic carboxylic acid. The compds. exhibit a stimulating effect on the central sympathetic nervous system. Thus, 547 mg. Na in 50 cc. tert-amyl alc. treated under N with 4.61 g. 1-methyl-3-(2-dimethylaminoethyl)-4-hydroxyindole (I), the mixture heated to boiling, evaporated to dryness, 40 cc. MeOCH2CH2OMe added, 3.3 g. PhCOCl in 40 cc. MeOCH2CH2OMe added, the mixture stirred 3 hrs. at room temperature, filtered through talc, the filtrate evaporated to dryness, and the residue chromatographe on Al2O3 gave 1-methyl-3-(2-dimethylaminoethyl)-4-benzoyloxyindole, m. 69.5-71.0° (C6H6-petr. ether). Preparation of I was as follows: 3-(2-dimethylaminoethyl)-4-benzyloxyindole stirred 30 min. at -60° with K metal in liquid NH3, MeI added, the NH3 evaporated after 30 min., the residue shaken between H2O and CHCl3, the CHCl3 extract evaporated, and the crude product chromatographed on Al2O3 gave 1-methyl-3-(2-dimethylaminoethyl)-4-benzyloxyindole, m. 62-7° (Et2O-petr. ether). Treatment in MeOH with H and Pd on Al2O3 gave I, m. 125-7° (MeOH-Et2O). NaH (90.5 mg.) in 50 cc. absolute PhMe treated 2.5 hrs. at 60° under N with 500 mg. 1-methyl-3-(2-diethylaminoethyl)-4-hydroxyindole (II) and 2 cc. HCONMe2, 530 mg. PhCOCl in 40 cc. absolute PhMe added, the mixture stirred 18 hrs. at 60°, excess NaH decomposed with MeOH, the mixture shaken with saturated NaHCO3 solution, dried, evaporated to dryness, and the residue in C6H6 washed with C6H6 + 1% MeOH through Al2O3 and evaporated gave 1-methyl-3-(2-diethylaminoethyl)-4-benzoyloxyindole, m. 167-8° (EtOH); bimaleate salt m. 122-4° (MeOH-EtOAc). II was prepared similarly to I, m. 92-5°. I (2.89 g.), 345 mg. NaH, 200 cc. MeOCH2CH2OMe, and 4 cc. HCONMe2 treated 2.5 hrs. at 60° under N, 1.55 g. ClSO3H added, the mixture heated 1 hr. at 60°, excess NaH decomposed with MeOH, the mixture filtered, washed, the filtrate evaporated,

L4 ANSWER 161 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
shaken between H2O and EtOAc, and the H2O exts. evapd. to dryness in vacuo
and chromatographed on cellulose powder with H2O-satd. BuOH gave I O-sulfate, m. 277-9° (MeOH-EtOH). Similarly to the first example were prepd. the following: 1-methyl-3-(2-dimethylaminoethyl)-4-acetoxyindole, bimaleate salt m. 140-1° (MeOH-EtOAc); 1-methyl-3-(2-dimethylaminoethyl)-4-trimethylacetoxyindole, bimaleate salt m. 137-8° (MeOH-EtOAc); 1-allyl-3-(2-dimethylaminoethyl)-4-trimethylacetoxyindole, bimaleate salt m. 124-6° (EtOAc); 1-benzyl-3-(2-dimethylaminoethyl)-4-benzoyloxyindole, bimaleate salt m. 127-9° (MeOH-EtOAc); and 1-methyl-3-(2-piperidinoethyl)-4-benzoyloxyindole, bimaleate salt m. 168-9° (MeOHEtOAc). In prepn. of the last-named compd. the following intermediates were prepd.: 1-methyl-3-(2-piperidinoethyl)-4-benzyloxyindole, b0.001 200°, and 1-methyl-3-(2-piperidinoethyl)-4-hydroxyindole, b0.001 155-60°, m. 121-6°.
IT 4548-65-6 4655-96-3 5034-52-6
(Derived from data in the 7th Collective Formula Index (1962-1966))
RN 4548-65-6 CAPLUS
CN Indol-4-ol, 1-methyl-3-(2-piperidinoethyl)-, benzoate (ester), maleate (8CI) (CA INDEX NAME)

CM 1

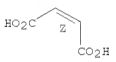
CRN 1568-58-7
CMF C23 H26 N2 O2



CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.

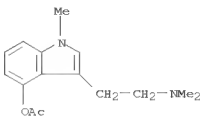


L4 ANSWER 161 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

RN 4655-96-3 CAPLUS
CN Indol-4-ol, 3-[2-(dimethylamino)ethyl]-1-methyl-, benzoate (ester), maleate (1:1) (8CI) (CA INDEX NAME)

CM 1

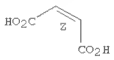
CRN 1568-54-3
CMF C15 H20 N2 O2



CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.

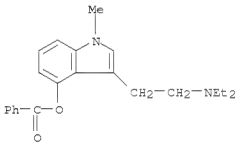


RN 5034-52-6 CAPLUS
CN Indol-4-ol, 3-[2-(diethylamino)ethyl]-1-methyl-, benzoate (ester), maleate
(1:1) (8CI) (CA INDEX NAME)

CM 1

CRN 1568-50-9
CMF C22 H26 N2 O2

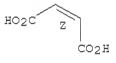
L4 ANSWER 161 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



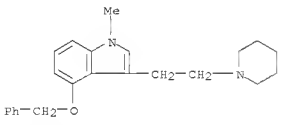
CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.

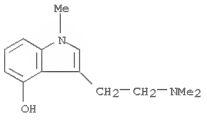


IT 1568-59-8P
RL: SPN (Synthetic preparation); PRP (Properties); PREP (Preparation)
(Indole series esters)
RN 1568-59-8 CAPLUS
CN 1H-Indole, 1-methyl-4-(phenylmethoxy)-3-[2-(1-piperidinyl)ethyl]- (CA INDEX NAME)

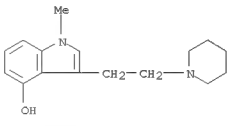


IT 1465-16-3P, Indol-4-ol, 3-[2-(dimethylamino)ethyl]-1-methyl-
1568-25-8P, Indol-4-ol, 1-methyl-3-(2-piperidinoethyl)-
1568-49-6P, Indol-4-ol, 3-[2-(dimethylamino)ethyl]-1-methyl-, benzoate (ester) 1568-50-9P, Indol-4-ol, 3-[2-(diethylamino)ethyl]-1-methyl-, benzoate (ester) 1568-52-1P, Indol-4-ol, 3-[2-(diethylamino)ethyl]-1-methyl- 1568-53-2P, Indol-4-ol, 3-[2-(dimethylamino)ethyl]-1-methyl-, hydrogen sulfate (ester)
1568-55-4P, Pivalic acid, 3-[2-(dimethylamino)ethyl]-1-methylindol-4-yl ester 1568-56-5P, Indol-4-ol, 1-allyl-3-[2-(dimethylamino)ethyl]-, pivalate (ester) 1568-57-6P, Indol-4-ol, 1-benzyl-3-[2-(dimethylamino)ethyl]-, benzoate (ester) 1568-58-7P, Indol-4-ol, 1-methyl-3-(2-piperidinoethyl)-, benzoate

L4 ANSWER 161 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
(ester) 1640-04-6P, Indole, 4-(benzyloxy)-3-[2-(dimethylamino)ethyl]-1-methyl- 3575-66-4P, Pivalic acid, 1-allyl-3-[2-(dimethylamino)ethyl]indol-4-yl ester, maleate 3575-70-0P, Indol-4-ol, 3-[2-(diethylamino)ethyl]-1-methyl-, benzoate (ester), maleate 4548-62-3P, Pivalic acid, 3-[2-(dimethylamino)ethyl]-1-methylindol-4-yl ester, maleate 4548-63-4P, Indol-4-ol, 1-allyl-3-[2-(dimethylamino)ethyl]-, pivalate (ester), maleate 4548-64-5P, Indol-4-ol, 1-benzyl-3-[2-(dimethylamino)ethyl]-, benzoate (ester), maleate 859041-98-8P, Indol-4-ol, 3-[2-(dimethylamino)ethyl]-1-methyl-, benzoate (ester), maleate 886015-20-9P, Indol-4-ol, 3-[2-(dimethylamino)ethyl]-1-methyl-, maleate
RL: PREP (Preparation)
(prepn. of)
RN 1465-16-3 CAPLUS
CN 1H-Indol-4-ol, 3-[2-(dimethylamino)ethyl]-1-methyl- (CA INDEX NAME)

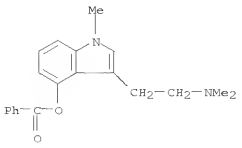


RN 1568-25-8 CAPLUS
CN 1H-Indol-4-ol, 1-methyl-3-[2-(1-piperidinyl)ethyl]- (CA INDEX NAME)

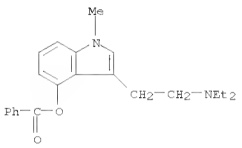


RN 1568-49-6 CAPLUS
CN 1H-Indol-4-ol, 3-[2-(dimethylamino)ethyl]-1-methyl-, 4-benzoate (CA INDEX NAME)

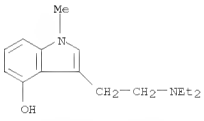
L4 ANSWER 161 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 1568-50-9 CAPLUS
CN 1H-Indol-4-ol, 3-[2-(diethylamino)ethyl]-1-methyl-, 4-benzoate (CA INDEX NAME)

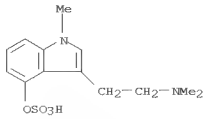


RN 1568-52-1 CAPLUS
CN 1H-Indol-4-ol, 3-[2-(diethylamino)ethyl]-1-methyl- (CA INDEX NAME)

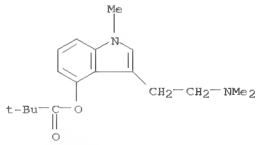


RN 1568-53-2 CAPLUS
CN 1H-Indol-4-ol, 3-[2-(dimethylamino)ethyl]-1-methyl-, 4-(hydrogen sulfate)
(CA INDEX NAME)

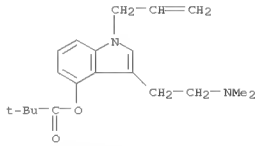
L4 ANSWER 161 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 1568-55-4 CAPLUS
CN Propanoic acid, 2,2-dimethyl-, 3-[2-(dimethylamino)ethyl]-1-methyl-1H-indol-4-yl ester (CA INDEX NAME)

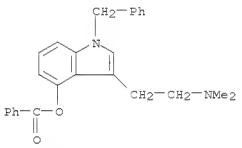


RN 1568-56-5 CAPLUS
CN Propanoic acid, 2,2-dimethyl-, 3-[2-(dimethylamino)ethyl]-1-(2-propen-1-yl)-1H-indol-4-yl ester (CA INDEX NAME)

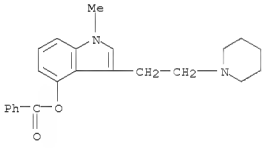


RN 1568-57-6 CAPLUS
CN 1H-Indol-4-ol, 3-[2-(dimethylamino)ethyl]-1-(phenylmethyl)-, 4-benzoate (CA INDEX NAME)

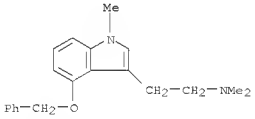
L4 ANSWER 161 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 1568-58-7 CAPLUS
CN 1H-Indol-4-ol, 1-methyl-3-[2-(1-piperidinyl)ethyl]-, 4-benzoate (CA INDEX NAME)



RN 1640-04-6 CAPLUS
CN 1H-Indole-3-ethanamine, N,N,1-trimethyl-4-(phenylmethoxy)- (CA INDEX NAME)

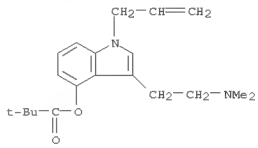


RN 3575-66-4 CAPLUS
CN Propanoic acid, 2,2-dimethyl-, 3-[2-(dimethylamino)ethyl]-1-(2-propenyl)-1H-indol-4-yl ester, (2Z)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 1568-56-5
CMF C20 H28 N2 O2

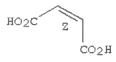
L4 ANSWER 161 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



CM 2

CRN 110-16-7
CMF C4 H4 O4

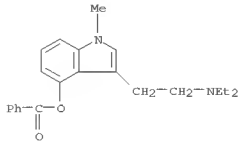
Double bond geometry as shown.



RN 3575-70-0 CAPLUS
CN 1H-Indol-4-ol, 3-[2-(diethylamino)ethyl]-1-methyl-, benzoate (ester), (2Z)-2-butenedioate (1:2) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 1568-50-9
CMF C22 H26 N2 O2

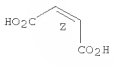


CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.

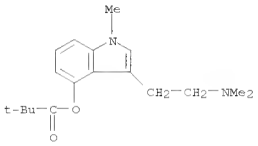
L4 ANSWER 161 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 4548-62-3 CAPLUS
CN Pivalic acid, 3-[2-(dimethylamino)ethyl]-1-methylindol-4-yl ester, maleate
(8CI) (CA INDEX NAME)

CM 1

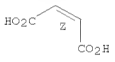
CRN 1568-55-4
CMF C18 H26 N2 O2



CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.

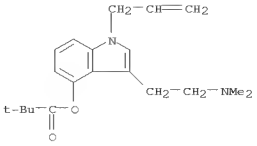


RN 4548-63-4 CAPLUS
CN Pivalic acid, 1-allyl-3-[2-(dimethylamino)ethyl]indol-4-yl ester, butenedioate (1:1) (8CI) (CA INDEX NAME)

CM 1

CRN 1568-56-5
CMF C20 H28 N2 O2

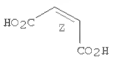
L4 ANSWER 161 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



CM 2

CRN 110-16-7
CMF C4 H4 O4

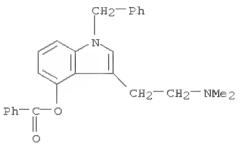
Double bond geometry as shown.



RN 4548-64-5 CAPLUS
CN Indol-4-ol, 1-benzyl-3-[2-(dimethylamino)ethyl]-, benzoate (ester), maleate (8CI) (CA INDEX NAME)

CM 1

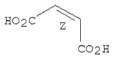
CRN 1568-57-6
CMF C26 H26 N2 O2



CM 2

CRN 110-16-7
CMF C4 H4 O4

L4 ANSWER 161 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
Double bond geometry as shown.



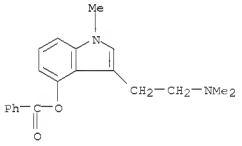
RN 859041-98-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

CM 1

CRN 6915-18-0
CMF C4 H4 O4

CM 2

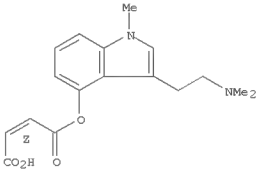
CRN 1568-49-6
CMF C20 H22 N2 O2



RN 886015-20-9 CAPLUS
CN 2-Butenedioic acid (2Z)-, 1-[3-[2-(dimethylamino)ethyl]-1-methyl-1H-indol-4-yl] ester (CA INDEX NAME)

Double bond geometry as shown.

L4 ANSWER 161 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



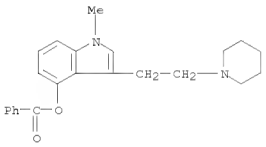
L4 ANSWER 162 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1965:480538 CAPLUS
DOCUMENT NUMBER: 63:80538
ORIGINAL REFERENCE NO.: 63:14817e-g
TITLE: 1-Benzyl-2,5-bis(chloromethyl)pyrrolidines and their salts
INVENTOR(S): Albertson, Noel F.
PATENT ASSIGNEE(S): Sterling Drug Inc.
SOURCE: 2 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3202675		19650824	US 1961-147729	19611026
PRIORITY APPLN. INFO.:			US	19611026

GI For diagram(s), see printed CA Issue.
AB Salts of the title compound (I) (R = Cl) are adrenergic blocking agents and antagonists of epinephrine. A stirred solution of 59.4 g. of cis-I (R = OH) in 400 ml. CHCl3 was treated dropwise at 0° with 70 g. SOCl2, kept 15 min. at 100°, and evaporated in vacuo. The residue was recrystd. from iso-PrOH to give 71.6 g. cis-I.-HCl, m. 163-4°. This with 10% NaOH gave I (R = Cl), an oil, whose uv and ir spectra are given.
IT 4548-65-6 4655-96-3 5034-52-6
(Derived from data in the 7th Collective Formula Index (1962-1966))
RN 4548-65-6 CAPLUS
CN Indol-4-ol, 1-methyl-3-(2-piperidinoethyl)-, benzoate (ester), maleate (8CI) (CA INDEX NAME)

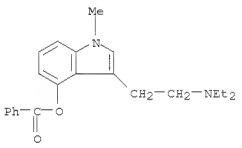
CM 1

CRN 1568-58-7
CMF C23 H26 N2 O2



CM 2

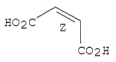
L4 ANSWER 162 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.



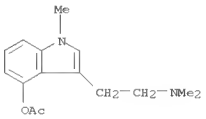
L4 ANSWER 162 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.

RN 4655-96-3 CAPLUS
CN Indol-4-ol, 3-[2-(dimethylamino)ethyl]-1-methyl-, benzoate (ester), maleate (1:1) (8CI) (CA INDEX NAME)

CM 1

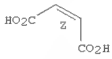
CRN 1568-54-3
CMF C15 H20 N2 O2



CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.



RN 5034-52-6 CAPLUS
CN Indol-4-ol, 3-[2-(diethylamino)ethyl]-1-methyl-, benzoate (ester), maleate (1:1) (8CI) (CA INDEX NAME)

CM 1

CRN 1568-50-9
CMF C22 H26 N2 O2

L4 ANSWER 163 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1965:416827 CAPLUS
DOCUMENT NUMBER: 63:16827
ORIGINAL REFERENCE NO.: 63:2959b-g
TITLE: Novel indole derivatives and a process for the manufacture thereof
INVENTOR(S): Cohen, Aaron; Heath-Brown, Basil
PATENT ASSIGNEE(S): Roche Products Ltd.
SOURCE: 4 pp.
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

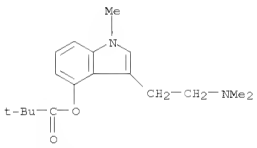
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 990092		19650422	GB 1962-40255	19621024
PRIORITY APPLN. INFO.:			GB	19621024

GI For diagram(s), see printed CA Issue.
AB Appetite suppressants of the formula Ia are prepared by reducing the corresponding nitro compound, or by treating the corresponding ketone with a hydroxylamine compound and catalytically reducing the product. E.g., 17.3 g. 3-(2-oxopropyl)indole and 6.9 g. hydroxylamine-HCl was stirred in pyridine at 20° for 16 hrs. under nitrogen. The solution was evaporated at 50°/10-15 mm., the residual oil dissolved in ether, washed with 2N HCl, NaHCO3 and H2O, and dried. The 20.2 g. of sirup was crystallized in benzene to give 5.38 g. 3-(2-hydroxyiminopropyl)indole (I), m. 105-6°. Total combined yield after recrystn. was 8.2 g. (43.5%), m. 110-13°. I (8.2 g.) was dissolved in EtOH and added to 0.4 g. hydrogenated Pt oxide under 30 ml. absolute alc.; 100 ml. of a 0.428N solution of HCl in EtOH was added and the mixture hydrogenated until 0.043 mole hydrogen was absorbed. The resulting solution was filtered and evaporated to dryness at 40°/15 mm. under nitrogen to give a green oil. The oil was dissolved in 50 ml. H2O and 100 ml. ether, and the ether layer was extracted with 20 ml. 2N HCl. The aqueous and acidic exts. were treated with NaHCO3 and extracted with ether. The combined ether exts. were dried and evaporated to dryness to give 7 g. of a brown gum. The latter was dissolved in 15 ml. hot benzene to give, after drying at 35°, 6.7 g. 3-(2-hydroxyaminopropyl)indole (II), m. 68°. The crystals contained one molar equivalent of benzene of crystallization Distillation at 115°/5.2 + 10-5 mm. gave 4.1 g. solvent-free II, a colorless viscous oil, setting to a hard glass on cooling, m. 68° (49.5%). A 62.4% yield of II was also obtained by dissolving 20.4 g. 3-(2-nitropropyl)indole in 120 ml. EtOH and 70 ml. H2O, adding 6.15 g. NH4Cl, followed by 16.3 g. Zn dust, added in 4-5 portions. The mixture was heated to 60° and stirred vigorously 1.5 hrs. The Zn dust disappeared and a white solid appeared. The cooled solution was treated with

L4 ANSWER 163 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
excess 2N NaOH and ether and filtered. The filtrate layers were sepd. and
the aq. layer extd. with ether. The combined ether extds. were extd. with
2N HCl. The acid was washed with ether, made alk. with 2N NaOH, and extd.
with ether. The combined basic ether layers were washed, dried, and
evapd. to give a sirupy base which was dissolved in 32 ml. hot benzene to
give II. In the same manner, 6.05 g. (59.3%)
3-(2-methyl-2-hydroxyaminopropyl)indole (III), m. 125-7°, and 9.25
g. (58.1%) 3-(2-methyl-2-hydroxyaminopropyl)-6-methylindole, m.
167-9°, were prepd. The reaction mixts. were not allowed to exceed
35° during the addn. of Zn dust and the mixts. were kept at
40° 1.25 hrs. in the prepn. of II.2 g. (68.5%)
5-chloro-3-(2-hydroxyaminopropyl)indole, m. 119-20°, and 9.5 g.
(68%) 3-(2-methyl-2-hydroxyaminopropyl)-5-methoxyindole, m. 162-3°.
A pharmaceutical prepn. was made up by dry-mixing 20 g. III, 125 g.
lactose, 4 g. talc, and 1 g. magnesium stearate in an opaque container
with the exclusion of air, and compressing the mixt. into tablets of 8 mm.
diam., each weighing 150 mg. and contg. 20 mg. active substance.

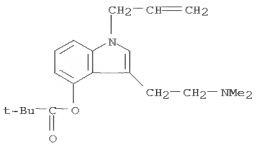
IT 1568-55-4P, Indol-4-ol, 3-[2-(dimethylamino)ethyl]-1-methyl-, pivalate (ester) 1568-56-5P, Indol-4-ol, 1-allyl-3-[2-(dimethylamino)ethyl]-, pivalate (ester) 1568-57-6P, Indol-4-ol, 1-benzyl-3-[2-(dimethylamino)ethyl]-, benzoate (ester) 1568-58-7P, Indol-4-ol, 1-methyl-3-(2-piperidinoethyl)-, benzoate (ester) 1568-59-8P, Indole, 4-(benzyloxy)-1-methyl-3-(2-piperidinoethyl)- 3575-66-4P, Pivalic acid, 1-allyl-3-[2-(dimethylamino)ethyl]indol-4-yl ester, maleate 4548-63-4P, Indol-4-ol, 1-allyl-3-[2-(dimethylamino)ethyl]-, pivalate (ester), maleate
RL: PREP (Preparation)
(preparation of)

RN 1568-55-4 CAPLUS
CN Propanoic acid, 2,2-dimethyl-, 3-[2-(dimethylamino)ethyl]-1-methyl-1H-indol-4-yl ester (CA INDEX NAME)

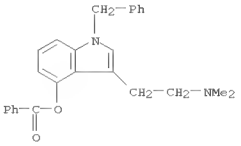


RN 1568-56-5 CAPLUS
CN Propanoic acid, 2,2-dimethyl-, 3-[2-(dimethylamino)ethyl]-1-(2-propen-1-yl)-1H-indol-4-yl ester (CA INDEX NAME)

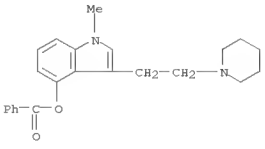
L4 ANSWER 163 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 1568-57-6 CAPLUS
CN 1H-Indol-4-ol, 3-[2-(dimethylamino)ethyl]-1-(phenylmethyl)-, 4-benzoate (CA INDEX NAME)

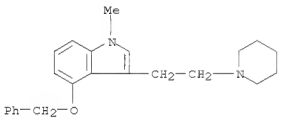


RN 1568-58-7 CAPLUS
CN 1H-Indol-4-ol, 1-methyl-3-[2-(1-piperidinyl)ethyl]-, 4-benzoate (CA INDEX NAME)



RN 1568-59-8 CAPLUS
CN 1H-Indole, 1-methyl-4-(phenylmethoxy)-3-[2-(1-piperidinyl)ethyl]- (CA INDEX NAME)

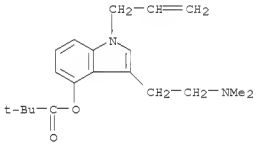
L4 ANSWER 163 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 3575-66-4 CAPLUS
CN Propanoic acid, 2,2-dimethyl-, 3-[2-(dimethylamino)ethyl]-1-(2-propenyl)-1H-indol-4-yl ester, (2Z)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

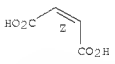
CRN 1568-56-5
CMF C20 H28 N2 O2



CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.

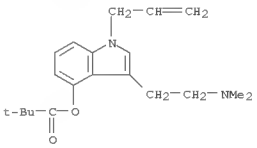


RN 4548-63-4 CAPLUS
CN Pivalic acid, 1-allyl-3-[2-(dimethylamino)ethyl]indol-4-yl ester, butenedioate (1:1) (8CI) (CA INDEX NAME)

CM 1

CRN 1568-56-5
CMF C20 H28 N2 O2

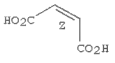
L4 ANSWER 163 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.



L4 ANSWER 164 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1965:416826 CAPLUS
DOCUMENT NUMBER: 63:16826
ORIGINAL REFERENCE NO.: 63:2958b-c,2959a-b
TITLE: 4-Hydroxytryptamine esters
PATENT ASSIGNEE(S): Westminster Bank Ltd.
SOURCE: 6 pp.; Addn. to Brit. 911,946 (see Ger. 1,087,321, CA 55, 27768h)
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

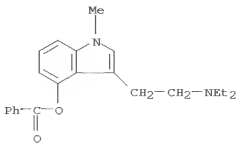
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 981192		19650120	GB 1961-8722	19610309
PRIORITY APPLN. INFO.:			CH	19600330

GI For diagram(s), see printed CA Issue.
AB To 547 mg. Na dissolved in 50 cc. tert-C5H11OH 4.61 g. 1-methyl-3-(2-dimethylaminoethyl)-4-hydroxyindole was added under N, the mixture evaporated to dryness, 40 cc. 1,2-dimethoxyethane and a solution of 3.3 g. BzCl in 40 cc. 1,2-dimethoxyethane were added, and the mixture was stirred for 3 hrs. at room temperature After filtering through talc and evaporating the filtrate to dryness, the residue was chromatographed with C6H6 on alumina to give I (R1 = R2 = R3 = Me, R4 = Bz) m. 69.5-71°. Similarly prepared were (R1, R2, R3, R4, and m.p. given): Et, Et, Me, Bz, 167-8°; Et, Et, Me, cis-HO2CCH:CHCO, 122-4°; Et, Et, Me, H,--(b0.001 195-200°); Me, Me, Me, SO3H, 277-9°; Me, Me, Me, Ac, 140-1°; Me, Me, Me, Me3CCO, 137-8°; Me, Me, CH2:CH, Me3CCO, 124-6°; Me, Me, PhCH2, Bz, 127-9°; (R1-R2), (CH2)5 Me, Bz, 168-9°; (R1-R2), (CH2)5 Me, PhCH2,--(b0.001 200°); Me, Me, CH2:CH, cis-HO2CCH:CHCO, 124-6°; Me, Me, H, Me3CCO, 123-4°. I are useful as pharmaceuticals.
IT 1568-51-0 1568-60-1
(Derived from data in the 7th Collective Formula Index (1962-1966))
RN 1568-51-0 CAPLUS
CN 1H-Indol-4-ol, 3-[2-(diethylamino)ethyl]-1-methyl-, benzoate (ester), (2Z)-2-butenedioate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 1568-50-9
CMF C22 H26 N2 O2

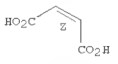
L4 ANSWER 164 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



CM 2

CRN 110-16-7
CMF C4 H4 O4

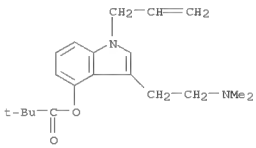
Double bond geometry as shown.



RN 1568-60-1 CAPLUS
CN Propanoic acid, 2,2-dimethyl-, 3-[2-(dimethylamino)ethyl]-1-(2-propenyl)-1H-indol-4-yl ester, (2Z)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

CRN 1568-56-5
CMF C20 H28 N2 O2

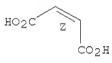


CM 2

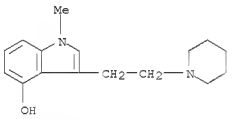
CRN 110-16-7
CMF C4 H4 O4

L4 ANSWER 164 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

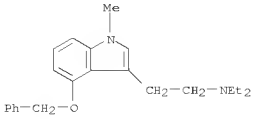
Double bond geometry as shown.



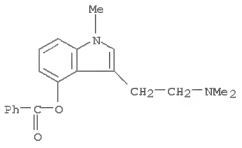
IT 1568-25-8P, Indol-4-ol, 1-methyl-3-(2-piperidinoethyl)-
1568-26-9P, Indole, 4-(benzyloxy)-3-[2-(diethylamino)ethyl]-1-methyl- 1568-49-6P, Indol-4-ol, 3-[2-(dimethylamino)ethyl]-1-methyl-, benzoate (ester) 1568-50-9P, Indol-4-ol, 3-[2-(diethylamino)ethyl]-1-methyl-, benzoate (ester) 1568-52-1P, Indol-4-ol, 3-[2-(diethylamino)ethyl]-1-methyl- 1568-53-2P, Indol-4-ol, 3-[2-(dimethylamino)ethyl]-1-methyl-, hydrogen sulfate (ester) 1568-54-3P, Indol-4-ol, 3-[2-(dimethylamino)ethyl]-1-methyl-, acetate (ester) 1568-55-4P, Indol-4-ol, 3-[2-(dimethylamino)ethyl]-1-methyl-, pivalate (ester) 1568-56-5P, Indol-4-ol, 1-allyl-3-[2-(dimethylamino)ethyl]-, pivalate (ester) 1568-57-6P, Indol-4-ol, 1-benzyl-3-[2-(dimethylamino)ethyl]-, benzoate (ester) 1568-58-7P, Indol-4-ol, 1-methyl-3-(2-piperidinoethyl)-, benzoate (ester) 3575-70-0P, Indol-4-ol, 3-[2-(diethylamino)ethyl]-1-methyl-, benzoate (ester), maleate 4548-63-4P, Indol-4-ol, 1-allyl-3-[2-(dimethylamino)ethyl]-, pivalate (ester), maleate
RL: PREP (Preparation)
(preparation of)
RN 1568-25-8 CAPLUS
CN 1H-Indol-4-ol, 1-methyl-3-[2-(1-piperidinyl)ethyl]- (CA INDEX NAME)



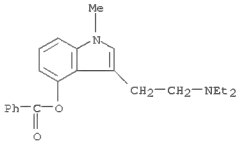
RN 1568-26-9 CAPLUS
CN 1H-Indole-3-ethanamine, N,N-diethyl-1-methyl-4-(phenylmethoxy)- (CA INDEX NAME)



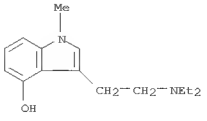
L4 ANSWER 164 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
RN 1568-49-6 CAPLUS
CN 1H-Indol-4-ol, 3-[2-(dimethylamino)ethyl]-1-methyl-, 4-benzoate (CA INDEX NAME)



RN 1568-50-9 CAPLUS
CN 1H-Indol-4-ol, 3-[2-(diethylamino)ethyl]-1-methyl-, 4-benzoate (CA INDEX NAME)

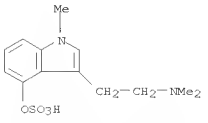


RN 1568-52-1 CAPLUS
CN 1H-Indol-4-ol, 3-[2-(diethylamino)ethyl]-1-methyl- (CA INDEX NAME)

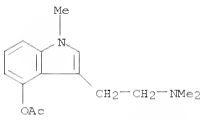


RN 1568-53-2 CAPLUS
CN 1H-Indol-4-ol, 3-[2-(dimethylamino)ethyl]-1-methyl-, 4-(hydrogen sulfate)
(CA INDEX NAME)

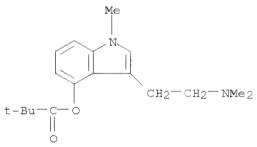
L4 ANSWER 164 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 1568-54-3 CAPLUS
CN 1H-Indol-4-ol, 3-[2-(dimethylamino)ethyl]-1-methyl-, 4-acetate (CA INDEX NAME)

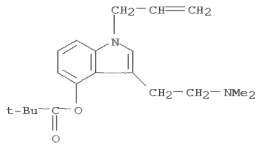


RN 1568-55-4 CAPLUS
CN Propanoic acid, 2,2-dimethyl-, 3-[2-(dimethylamino)ethyl]-1-methyl-1H-indol-4-yl ester (CA INDEX NAME)

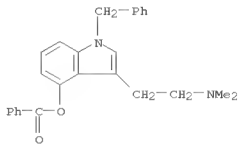


RN 1568-56-5 CAPLUS
CN Propanoic acid, 2,2-dimethyl-, 3-[2-(dimethylamino)ethyl]-1-(2-propen-1-yl)-1H-indol-4-yl ester (CA INDEX NAME)

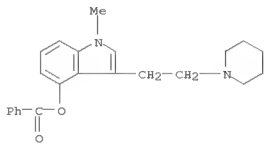
L4 ANSWER 164 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 1568-57-6 CAPLUS
CN 1H-Indol-4-ol, 3-[2-(dimethylamino)ethyl]-1-(phenylmethyl)-, 4-benzoate (CA INDEX NAME)



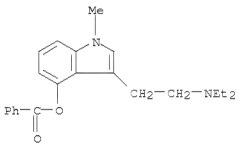
RN 1568-58-7 CAPLUS
CN 1H-Indol-4-ol, 1-methyl-3-[2-(1-piperidinyl)ethyl]-, 4-benzoate (CA INDEX NAME)



RN 3575-70-0 CAPLUS
CN 1H-Indol-4-ol, 3-[2-(diethylamino)ethyl]-1-methyl-, benzoate (ester), (2Z)-2-butenedioate (1:2) (salt) (9CI) (CA INDEX NAME)

CM 1

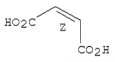
L4 ANSWER 164 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
CRN 1568-50-9
CMF C22 H26 N2 O2



CM 2

CRN 110-16-7
CMF C4 H4 O4

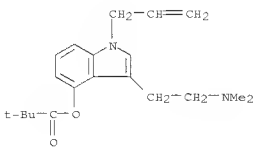
Double bond geometry as shown.



RN 4548-63-4 CAPLUS
CN Pivalic acid, 1-allyl-3-[2-(dimethylamino)ethyl]indol-4-yl ester, butenedioate (1:1) (8CI) (CA INDEX NAME)

CM 1

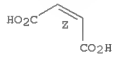
CRN 1568-56-5
CMF C20 H28 N2 O2



CM 2

CRN 110-16-7
CMF C4 H4 O4

L4 ANSWER 164 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
Double bond geometry as shown.



L4 ANSWER 165 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1965:416825 CAPLUS
DOCUMENT NUMBER: 63:16825
ORIGINAL REFERENCE NO.: 63:2957b-h,2958a-b
TITLE: α-(1-Aroyl-3-indolyl)alkanoic acids
INVENTOR(S): Shen, Tsung-Ying
PATENT ASSIGNEE(S): Merck & Co., Inc.
SOURCE: 17 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3161654		19641215	US 1963-286935	19630611
PRIORITY APPLN. INFO.:			US	19630611

GI For diagram(s), see printed CA Issue.
AB Treatment of a substituted phenylhydrazine with a substituted levulinic ester or amide gave an intermediate phenylhydrazone which cyclized to give the title compds. (I). Thus, a solution of 25 g. p-methoxyphenylhydrazine-HCl and 20 g. Et α-methyllevulinate in 250 ml. 2N EtOH-HCl was heated until the reaction began, the mixture kept refluxing 0.5 hr., the mixture concentrated in vacuo to 80 ml., 400 ml. H2O added, the mixture extracted with Et2O, the Et2O extract washed with NaHCO3, dried (Na2SO4), and evaporated to a sirup. R1, R2, R3, R4, R5, M, m.p.; H, Me, Me, H, Me, OEt, 88-8.5°; p-ClC6H4CO, Me, H, H, OMe, OMe, 99-100°; 2,4-Me(MeS)C6H2CO, Me, Me, H, OMe, OEt, --; Bz, Me, Me, H, OMe, OEt, --; p-ClC6H4CO, Me, Me, H, OMe, OEt, --; Bz, Me, H, H, OMe, OH, 172-3°; Bz, Me, H, H, OMe, OCH2Ph, 91-2°; p-FC6H4CO, Me, Me, H, OMe, OEt, --; p-ClC6H4CO, Me, H, H, OMe, OBu-tert, 103-4°; p-ClC6H4CO, Me, H, H, OMe, OH, 151°; p-MeSC6H4CO, Me, Me, H, OMe, OH, 175-6°; p-ClC6H4CO, Me, Me, H, OMe, OH, 87-8°; p-MeNHC6H4CO, Me, H, H, OMe, OMe, --; H, Me, H, H, NO2, OMe, 132-40°; H, Me, H, H, NH2, OMe, 144-5°; H, Me, H, H, 1-pyrrolidino, OMe, 117-18°; p-ClC6H4CO, Me, H, H, 1-pyrrolidino, OMe, 62-4°. p-ClC6H4CO, Me, H, H, NO2, OMe, 170-1°; p-ClC6H4CO, Me, H, H, NMe2, OMe, --; p-ClC6H4CO, Me, H, H, NHAc, OMe, 176-7°; H, Me, H, H, NO2, OCH2Ph, 147-8°; p-ClC6H4CO, Me, H, H, NO2, OCH2Ph, 166-7°; p-ClC6H4CO, Me, H, H, NH2, OMe, --; p-ClC6H4CO, Me, H, H, NHMe, OMe, --; p-ClC6H4CO, Me, H, H, (β-C2H4OH)2N, OMe, --; p-ClC6H4CO, Me, H, 4-Me, 1-piperazinyl, OMe, --; p-ClC6H4CO, Me, H, H, 4-morpholinyl, OMe, --; H, Me, H, H, CN, OMe, --; p-ClC6H4CO, Me, H, H, CN, OMe, --; p-ClC6H4CO, Me, H, H, NHMe, OMe, --; p-ClC6H4CO, Me, H, H, NMe2, OMe, --; p-MeSC6H4CO, Me, Et, H, OMe, OH, --. p-ClC6H4CO, Me, H, H, OMe, OAc, --; p-ClC6H4CO, Me, Me, H, OEt, OEt, --; Bz, Me, H, H, OMe, NH2, 219-20°; Bz, Me, H, H, OMe, OH, --; p-MeOC6H4CO, Me, H, H, OMe, OH, 88-9°; p-MeOC6H4CO, Me, Me, H, OMe, OH, 65°; p-BrC6H4CO, Me, H, H, OMe, OH, 106-7.5°; p-O2NC6H4CO, Me, H, H, OMe, OMe, 130-2°; o-ClC6H4CO, Me, H, H, OMe, OMe, 91-3°; m-ClC6H4CO, Me, H, H, OMe, OMe, 51-2°; p-PhC6H4CO, Me, H, H, OMe, OMe, 101.5-3.0°; p-AcC6H4CO, Me, H, H, OMe, OMe, 99-101°; p-BrC6H4CO, Me, Me, H, OMe, OBu-ter, 103-5°; α-naphthoyl, Me, H, H, OMe, OMe, --; p-BzC6H4CO, Me, H, H, OMe, OMe, 116-18°; p-HOC6H4CO, Me, H, H, OMe, OMe, 155-8°; o-HOC6H4CO, Me, H, H, OMe, OMe, --. o-FC6H4CO, Me, H, H, OMe, OMe, 98-9°; β-naphthoyl, Me, H, H, OMe, OMe, 120-4°; p-F3CC6H4CO, Me, H, H, H, OH, 169-71°; 2,6-(MeO)2C6H3CO, Me, H, H, OMe, OMe, 139.5-41°; 2,4-Cl2C6H3CO, Me, H, H, OMe, OMe, --; p-EtOC6H4CO, Me, H, H, OMe, OMe, --; p-PrOC6H4CO, Me, H, H, OMe, OMe, --; p-(4-MeC6H4CO)C6H4CO, Me, H, H, OMe, OMe, --; p-ClC6H4CO, Me, H, H, allyloxy, OMe, 158-70°; H, H, H, 4,6-(F3C)2, H, OMe, --; H, H, Me, 4,6-(F3C)2, H, OMe, --; H, Me, H, 4,6-(F3C)2, H, OMe, --; H, Me, Me, 4,6-(F3C)2, H, OMe, --; Bz, H, H, 4-F3C, H, OH, --; Bz, H, H, 4-F3C, NMe2, OH, --; Bz, H, H, 4-F3C, OH, OH, --; Bz, H, H, 4-F3C, H, OPr, --. H, H, H, 4,6-F2, H, OMe, --; H, H, Me, 4,6-F2, H, OMe, --; Bz, H, H, 4-F, H, OH, --; p-ClC6H4CO, H, H, 6-OMe, H, OH, --; p-ClC6H4CO, H, H, 7-OMe, OMe, OH, --; H, H, H, 4-OCH2Ph, H, OMe, --; p-ClC6H4CO, H, H, 4-OMe, H, OH, --; p-ClC6H4CO, H, H, 6-OMe, Cl, OH, --; p-ClC6H4CO, Me, H, 7-OMe, H, OH, --; p-ClC6H4CO, Me, H, 4-OMe, H, OH, --; p-ClC6H4CO, H, H, 7-OMe, Me, OH, --; p-ClC6H4CO, allyl, H, H, OMe, OH, --; p-ClC6H4CO, H, H, 7-OMe, F, OH, --; p-ClC6H4CO, H, H, 7-OMe, NO2, OH, -- The sirup was chromatographed on alumina and the eluate (Et2O-petr. ether) distd. to give Et α-(2-methyl-5-methoxy-3-indolyl)-propionate (II), b0.25 150-3°, m. 53-5.5° (petr. ether). A mixt. of 2.3 g. 50% NaH-mineral oil in 250 ml. HCONMe2 was stirred 20 min. under N with ice cooling, 8.64 g. II added, the mixt. stirred 20 min., 8.6 g. p-methylthiobenzoyl chloride in 50 ml. HCONMe2 added in 0.5 hr., the mixt. stirred 5 hrs. under N in an ice-bath, the soln. poured into a mixt. of 500 ml. Et2O, 5 ml. AcOH, and 1 l. H2O, the mixt. extd. with Et2O, and worked up as before to give Et α-(1-p-methylthiobenzoyl-2-methyl-5-methoxy-3-indolyl)propionate. Similarly prepd. are I given in the table.

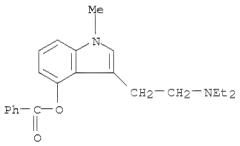
IT 1568-51-0 1568-60-1
(Derived from data in the 7th Collective Formula Index (1962-1966))

RN 1568-51-0 CAPLUS
CN 1H-Indol-4-ol, 3-[2-(diethylamino)ethyl]-1-methyl-, benzoate (ester), (2Z)-2-butenedioate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 1568-50-9
CMF C22 H26 N2 O2

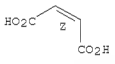
L4 ANSWER 165 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



CM 2

CRN 110-16-7
CMF C4 H4 O4

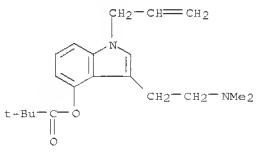
Double bond geometry as shown.



RN 1568-60-1 CAPLUS
CN Propanoic acid, 2,2-dimethyl-, 3-[2-(dimethylamino)ethyl]-1-(2-propenyl)-1H-indol-4-yl ester, (2Z)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

CRN 1568-56-5
CMF C20 H28 N2 O2

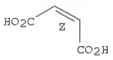


CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.

L4 ANSWER 165 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

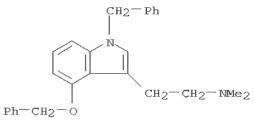


L4 ANSWER 166 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1965:90801 CAPLUS
DOCUMENT NUMBER: 62:90801
ORIGINAL REFERENCE NO.: 62:16201a-c
TITLE: New basic indole derivatives
INVENTOR(S): Hofmann, Albert; Troxler, Franz
PATENT ASSIGNEE(S): Sandoz Ltd.
SOURCE: 4 pp
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

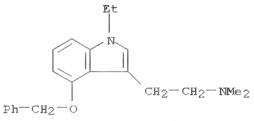
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CH 380129		19640915	CH 1959-724164	19590821
PRIORITY APPLN. INFO.:			CH	19590821

GI For diagram(s), see printed CA Issue.
AB (4-Benzyloxy-3-indolyl)propionitrile (7.2 g., m. 99-100°) was hydrolyzed to the carboxylic acid, which was then converted to the corresponding acid hydrazide (I), m. 179-80°. I was converted to the azide, which with Me2NH gave 2-(4-benzyloxy-3-indole)propionic acid dimethylamide (II), m. 148-50°. II was reduced with LiAlH4 to give III (R1 = H, R2 = R3 = Me, A = CHMe), a non-crystallizable resin. Similarly, 4-benzyloxy-3-indoleacetonitrile (m. 97-100°) gave the carboxylic acid (IV), m. 186-9°, which with PCl5 gave the acid chloride, converted directly with MeNH2 to 4-benzyloxy-3-indole acetic acid monomethylamide (V), m. 150-3°. V with LiAlH4 gave III (R1 = R2 = H, R3 = Me, A = CH2), m. 105-6°. IV also gave the monoethylamide, m. 155-6°, reduced to III (R1 = R2 = H, R3 = Et, A = CH2), m. 97-100°. Other III similarly prepared are given in the table. The compds. prepared were serotonin antagonists and had central sympathicomotic properties. R1, R2, R3, A., m.p.; H, Me, Me, (CH2)2, 84-6°; Me, Me, Me, CH2, 62-7°; Bu, H, H, CH2, -- (dioxalate m. 180-2°); PhCH2, Me, Me, CH2, 87-8°;
IT 1443-36-3P, Indole, 1-benzyl-4-(benzyloxy)-3-[2-(dimethylamino)ethyl]- 1464-37-5P, Indole, 4-(benzyloxy)-3-[2-(dimethylamino)ethyl]-1-ethyl- 1640-04-6P, Indole, 4-(benzyloxy)-3-[2-(dimethylamino)ethyl]-1-methyl-
RL: PREP (Preparation)
(preparation of)
RN 1443-36-3 CAPLUS
CN 1H-Indole-3-ethanamine, N,N-dimethyl-4-(phenylmethoxy)-1-(phenylmethyl)- (CA INDEX NAME)

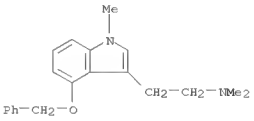
L4 ANSWER 166 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 1464-37-5 CAPLUS
CN 1H-Indole-3-ethanamine, 1-ethyl-N,N-dimethyl-4-(phenylmethoxy)- (CA INDEX NAME)



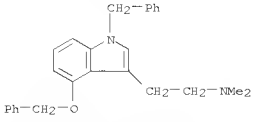
RN 1640-04-6 CAPLUS
CN 1H-Indole-3-ethanamine, N,N,1-trimethyl-4-(phenylmethoxy)- (CA INDEX NAME)



L4 ANSWER 167 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1965:82454 CAPLUS
DOCUMENT NUMBER: 62:82454
ORIGINAL REFERENCE NO.: 62:14634b-d
TITLE: New basic indole derivatives
INVENTOR(S): Hofmann, Albert; Troxler, Franz
PATENT ASSIGNEE(S): Sandoz Ltd.
SOURCE: 3 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

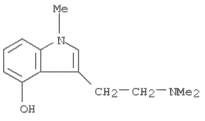
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CH 380132		19640915	CH 1959-724464	19590821
PRIORITY APPLN. INFO.:			CH	19590821

GI For diagram(s), see printed CA Issue.
AB I have pharmacodynamic properties, in particular as serotonin-antagonists, sympathomimetics in the central nervous system, and stimulants in psychic depression. To 165 mg. K (as amide) in liquid NH3 was added 900 mg. N,N-dimethyl-4-benzyloxytryptamine, the mixture stirred at -60° for 30 min., 650 mg. MeI added, and after 15 min. NH3 evaporated to give N,N-dimethyl-1-methyl-4-benzyloxytryptamine (II), m. 62-7° (Et2O-petr. ether). II (1.92 g.) was hydrogenated on 500 mg. Pd-Al2O3 in 15 cc. MeOH to give N,N-dimethyl-1-methyl-4-hydroxytryptamine, m. 125-7° (MeOH-Et2O). Similarly prepared were 1-benzyl-, m. 112-18° (C6H6) [from the 1-benzyl-4-benzyloxy analog, m. 87-8° (C6H6-petr. ether)], 1-butyl- [oxalate m. 271-3° (MeOH)], and 1-ethyl-4-hydroxy-N,N-dimethyltryptamine, m. 105-7° (C6H6-petr. ether); 1-methyl-4-hydroxy-3-(2-aminopropyl)indole m. 133-4° (EtOAc).
IT 1443-36-3P, Indole, 1-benzyl-4-(benzyloxy)-3-[2-(dimethylamino)ethyl]- 1465-16-3P, Indol-4-ol, 3-[2-(dimethylamino)ethyl]-1-methyl- 1640-02-4P, Indol-4-ol, 3-[2-(dimethylamino)ethyl]-1-ethyl- 1640-03-5P, Indol-4-ol, 1-benzyl-3-[2-(dimethylamino)ethyl]- 1640-04-6P, Indole, 4-(benzyloxy)-3-[2-(dimethylamino)ethyl]-1-methyl-
RL: PREP (Preparation)
(preparation of)
RN 1443-36-3 CAPLUS
CN 1H-Indole-3-ethanamine, N,N-dimethyl-4-(phenylmethoxy)-1-(phenylmethyl)- (CA INDEX NAME)

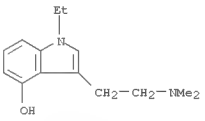


RN 1465-16-3 CAPLUS
CN 1H-Indol-4-ol, 3-[2-(dimethylamino)ethyl]-1-methyl- (CA INDEX NAME)

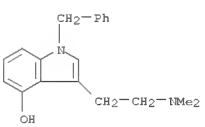
L4 ANSWER 167 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



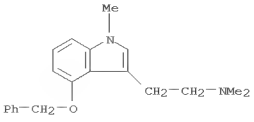
RN 1640-02-4 CAPLUS
CN 1H-Indol-4-ol, 3-[2-(dimethylamino)ethyl]-1-ethyl- (CA INDEX NAME)



RN 1640-03-5 CAPLUS
CN 1H-Indol-4-ol, 3-[2-(dimethylamino)ethyl]-1-(phenylmethyl)- (CA INDEX NAME)



RN 1640-04-6 CAPLUS
CN 1H-Indole-3-ethanamine, N,N,1-trimethyl-4-(phenylmethoxy)- (CA INDEX NAME)

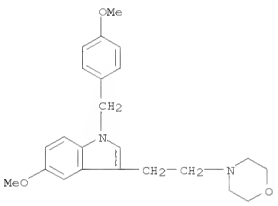


L4 ANSWER 168 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1965:77440 CAPLUS
DOCUMENT NUMBER: 62:77440
ORIGINAL REFERENCE NO.: 62:13738e-g
TITLE: Pharmacological properties of serotonin antagonists derived from tryptamine
AUTHOR(S): Jacob, J.; Echinard-Garin, P.; Felix, M.; Polte-Bevierre, M.; Michaud, G.
CORPORATE SOURCE: Inst. Pasteur, Paris
SOURCE: Therapie (1963), 18(4), 833-47
CODEN: THERAP; ISSN: 0040-5957
DOCUMENT TYPE: Journal
LANGUAGE: French

AB A series of 1-benzyl-, 1-phenethyl-, and 1-phenylpropyltryptamines was synthesized according to the method of Julia, et al. (CA 57, 9785b). These compds. antagonize the effects of 5-hydroxytryptamine on the isolated uterus of the female rat and on the cardiovascular system of the dog. The mode of action is however not the same since the order of effectiveness of the synthesized compds. is not identical in the two forms of antagonism studied. The most effective compound in vitro is 1-phenethyl-5-methoxy-N,N-dimethyltryptamine. These compds. also cause bradycardia in the dog and sedation in the mouse. The subcutaneous L.D.50 values in the mouse were .apprx.150-300 mg./kg.

IT 2639-42-1
(Derived from data in the 7th Collective Formula Index (1962-1966))

RN 2639-42-1 CAPLUS
CN 1H-Indole, 5-methoxy-1-[(4-methoxyphenyl)methyl]-3-[2-(4-morpholinyl)ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

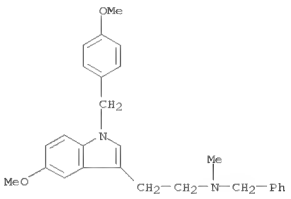


● HCl

IT 1947-66-6, Indole, 3-[2-(benzylmethylamino)ethyl]-5-methoxy-1-(p-methoxybenzyl)-, hydrochloride 1947-67-7, Indole,

L4 ANSWER 168 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
1-benzyl-5-methoxy-3-(2-piperidinoethyl)-, hydrochloride 1947-73-5, Indole, 3-[2-(diethylamino)ethyl]-5-methoxy-1-(3-phenylpropyl)-, hydrochloride 1947-74-6, Indole, 3-[2-(diethylamino)ethyl]-5-methoxy-1-phenethyl-, hydrochloride 1947-77-9, Indole, 3-[2-(dimethylamino)ethyl]-5-methoxy-1-(3-phenylpropyl)-, hydrochloride 1947-79-1, Indole, 3-[2-(dimethylamino)ethyl]-5-methoxy-1-phenethyl-, hydrochloride 1947-80-4, Indole, 1-benzyl-3-[2-(dimethylamino)ethyl]-5-methoxy-, hydrochloride 2297-74-7, Indole, 3-[2-(dimethylamino)ethyl]-5-methoxy-1-(p-methoxybenzyl)-, hydrochloride 2297-76-9, Indole, 1-benzyl-3-[2-(diethylamino)ethyl]-5-methoxy-, hydrochloride 104978-46-3, Indole, 5-methoxy-1-(p-methoxybenzyl)-3-(2-morpholinoethyl)-, hydrochloride (as 3-(2-aminoethyl)indol-5-ol antagonist)

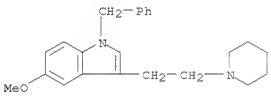
RN 1947-66-6 CAPLUS
CN 1H-Indole-3-ethanamine, 5-methoxy-1-[(4-methoxyphenyl)methyl]-N-methyl-N-(phenylmethyl)-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

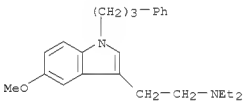
RN 1947-67-7 CAPLUS
CN 1H-Indole, 5-methoxy-1-(phenylmethyl)-3-[2-(1-piperidinyl)ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

L4 ANSWER 168 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



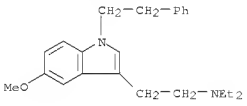
● HCl

RN 1947-73-5 CAPLUS
CN 1H-Indole-3-ethanamine, N,N-diethyl-5-methoxy-1-(3-phenylpropyl)-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

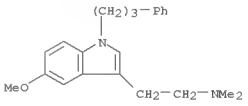
RN 1947-74-6 CAPLUS
CN 1H-Indole-3-ethanamine, N,N-diethyl-5-methoxy-1-(2-phenylethyl)-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

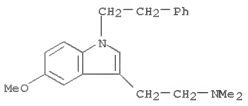
RN 1947-77-9 CAPLUS
CN 1H-Indole-3-ethanamine, 5-methoxy-N,N-dimethyl-1-(3-phenylpropyl)-, hydrochloride (1:1) (CA INDEX NAME)

L4 ANSWER 168 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



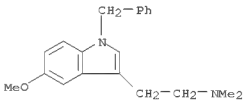
● HCl

RN 1947-79-1 CAPLUS
CN 1H-Indole-3-ethanamine, 5-methoxy-N,N-dimethyl-1-(2-phenylethyl)-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

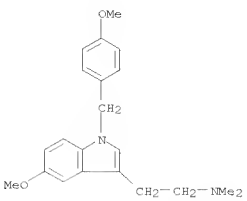
RN 1947-80-4 CAPLUS
CN 1H-Indole-3-ethanamine, 5-methoxy-N,N-dimethyl-1-(phenylmethyl)-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

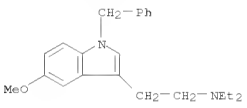
RN 2297-74-7 CAPLUS
CN 1H-Indole-3-ethanamine, 5-methoxy-1-[(4-methoxyphenyl)methyl]-N,N-dimethyl-, hydrochloride (1:1) (CA INDEX NAME)

L4 ANSWER 168 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



● HCl

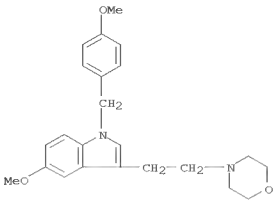
RN 2297-76-9 CAPLUS
CN 1H-Indole-3-ethanamine, N,N-diethyl-5-methoxy-1-(phenylmethyl)-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

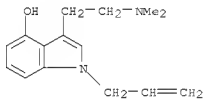
RN 104978-46-3 CAPLUS
CN 1H-Indole, 5-methoxy-1-[(4-methoxyphenyl)methyl]-3-[2-(4-morpholinyl)ethyl]-, hydrochloride (1:?) (CA INDEX NAME)

L4 ANSWER 168 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

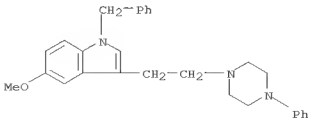


● x HCl

L4 ANSWER 169 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1965:52893 CAPLUS
DOCUMENT NUMBER: 62:52893
ORIGINAL REFERENCE NO.: 62:9401h,9402a-b
TITLE: The formation of O-methylated catechols by microsomal hydroxylation of phenols and subsequent enzymic catechol O-methylation. Substrate specificity
AUTHOR(S): Daly, John; Inscoe, Joseph K.; Axelrod, Julius
CORPORATE SOURCE: Natl. Inst. of Health, Bethesda, MD
SOURCE: Journal of Medicinal Chemistry (1965), 8(2), 153-7
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A microsomal hydroxylating system which converts phenols to catechols and requires NADP and glucose 6-phosphate was assayed for a variety of phenols using the enzyme catechol-O-methyltransferase and radioactive S-adenosylmethionine-methyl-14C. This system specifically methylates catechols, converting them to radioactive methoxyphenols which can be extracted and assayed. Among the phenols which are converted to catechols are N-acetylserotonin, hydroxyindoles, tyramine, octopamine, hordenine, metanephrine, morphine, phenazocine, levorphanol, and estradiol. 2,4,6-Trichlorophenol formed an O-methylated product. Products from a variety of substrates were identified by cochromatography with authentic compds.
IT 859042-02-7P, Indol-4-ol, 1-allyl-3-[2-(dimethylamino)ethyl]-
RL: PREP (Preparation)
(formation by enzymes)
RN 859042-02-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

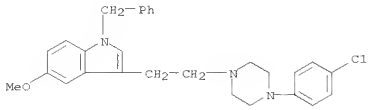


L4 ANSWER 170 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1965:36828 CAPLUS
DOCUMENT NUMBER: 62:36828
ORIGINAL REFERENCE NO.: 62:6485a-c
TITLE: Synthesis of some N-phenylpiperazine derivatives as potential central nervous system depressants
AUTHOR(S): Chou, Chi-Ting; Chi, Ju-Yun
CORPORATE SOURCE: Acad. Sinica, Shanghai, Peop. Rep. China
SOURCE: Yaoxue Xuebao (1964), 11(10), 692-9
CODEN: YHHPAL; ISSN: 0513-4870
DOCUMENT TYPE: Journal
LANGUAGE: Chinese
AB A series of indolylalkylphenylpiperazines was recently reported to be active central nervous system depressants. Variation in the length of the alkyl chains and change of substituents on the indole moiety or on the Ph group influenced only the strength and specificity of the activity. However, removal of the Ph group or replacement of it by an alkyl or arylalkyl group caused the loss of almost all of the central activities. It would seem possible to get even more favorable central nervous system depressants on further modification of the indole moiety, as long as the N-Ph group was retained. A number of N-phenyl- and -chlorophenylpiperazine derivs., the substituents on the other N being either isosteres of indole or pharmacol. interesting groups, were synthesized. These compds. were synthesized either by condensation of appropriate halides with N-phenyl-or-chlorophenylpiperazine, or by reduction of the corresponding amides by means of LiAlH4. The amides were in turn prepared by the interaction of acyl chlorides or acyl azides and N-phenyl- or -chlorophenylpiperazine, resp. Two of the amides were afforded on application of the Arndt-Eistert reaction. Two of these compds., 1-(3,4,5-trimethoxyphenethyl)-4-phenylpiperazine and 1-(3,4,5-trimethoxyphenethyl)-4-(p-chlorophenyl)piperazine exhibited marked tranquilizing activity in preliminary pharmacol. examns.
IT 1179-26-6P, Indole, 1-benzyl-5-methoxy-3-[2-(4-phenyl-1-piperazinyl)ethyl]- 1180-56-9P, Indole, 1-benzyl-3-[2-[4-(p-chlorophenyl)-1-piperazinyl]ethyl]-5-methoxy-
RL: PREP (Preparation)
(preparation of)
RN 1179-26-6 CAPLUS
CN 1H-Indole, 5-methoxy-1-(phenylmethyl)-3-[2-(4-phenyl-1-piperazinyl)ethyl]- (CA INDEX NAME)



RN 1180-56-9 CAPLUS
CN 1H-Indole, 3-[2-[4-(4-chlorophenyl)-1-piperazinyl]ethyl]-5-methoxy-1-(phenylmethyl)- (CA INDEX NAME)

L4 ANSWER 170 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



L4 ANSWER 171 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1964:492263 CAPLUS
DOCUMENT NUMBER: 61:92263
ORIGINAL REFERENCE NO.: 61:16038a-h,16039a-c
TITLE: Research in the indole series. XI. Certain indoles and aminoindoles doubled in the 1-position
AUTHOR(S): Julia, Marc; Manoury, Philippe
CORPORATE SOURCE: Inst. Pasteur, Paris
SOURCE: Bulletin de la Societe Chimique de France (1964), (8), 1946-53
CODEN: BSCFAS; ISSN: 0037-8968
DOCUMENT TYPE: Journal
LANGUAGE: French
GI For diagram(s), see printed CA Issue.

AB Several indoles were metalated and condensed with ω,ω-dihaloalkanes to yield the corresponding doubled mols. Tryptamines were doubled directly with dihaloalkanes. NaNH2 from 2.5 g. Na in 250 cc. liquid NH3 stirred 15 min. with 12 g. appropriate indole in 10 cc. dry Et2O, and the mixture treated dropwise with 0.05 mole dihaloalkane in 40 cc. HCONMe2 and a little NaI and stirred 4 hrs. gave the corresponding I: A, X, b.p./mm., m.p., % yield; (CH2)3, H (II), 195°/0.1, -, 38; (CH2)4, H (III), -, 88°, 62; (CH2)5, H (IV), 230°/0.05, 81°, 52; (CH2)6, H (V), -, 84°, 60; (CH2)10, H (VI), -, 67°, 60; p-CH2C6H4CH2, H (VII), -, 115°, 70; (CH2)4, 5-MeO (VIII), -, 189°, 80; (CH2)5, 5-MeO (IX), -, 112°, 68; (CH2)6, 5-MeO (X), -, 106°, 81; (CH2)4, 6-MeO (XI), -, 138°, 61; (CH2)6, 6-MeO (XII), -, 99°, 67; The appropriate diindole (0.02 mole) in 40 cc. dioxane added dropwise to 40 cc. dioxane, 40 cc. AcOH, 4.1 g. 30% aqueous CH2O, and 4.6 g. 40% aqueous Me2NH, stirred 2 hrs., and kept overnight yielded the corresponding XIII (listed in the table): m.p., , , starting; A, X, % yield, dihydrochloride, methiodide, picrate, oxalate, material; (CH2)3, H, 80, -, 125° (decomposition), -, 125°, II; (CH2)4, H (XIV), 90, 290°, -, -, -, III; (CH2)5, H, 89, decomposed, decomposed, 182°, -, IV; (CH2)6, H, (2.H2O), 86, 172°, -, -, V; (CH2)10, H, 90, -,-, -, 155°, VI; p-CH2C6H4CH2, H (XV), 88, decomposed, decomposed, -, -, VII; (CH2)4, 5-MeO, 79, -, -, -, 210-12°, VIII; (CH2)5, 5-MeO, 81, decomposed, -, -, 183°, IX; (CH2)6, 5-MeO, 83, -, -, -, 220°, X; (CH2)4, 6-MeO, 77, -, -, -, 170°, XI; (CH2)6, 6-MeO, 75, -, -, -, 172°, XII; 5-Methoxyindole (12.5 g.) in 200 cc. dry Et2O treated dropwise at 0° with 10 g. (COCl)2 in 20 cc. Et2O and the mixture stirred 1 hr. gave 21 g. 5-methoxy-3-indolylglyoxylyl chloride; a 14-g. portion with 200 cc. 40% aqueous Et2NH yielded 13 g. N,N-diethyl-5-methoxy-3-indolylglyoxylamide (XVI), m. 160° (EtOH). XVI (18 g.) in 800 cc. THF reduced with 8 g. LiAlH4 yielded 8.3 g. 5-methoxy-N,N-diethyltryptamine (XVII), identified as XVII.HCl, m. 190-1° (EtOH-Et2O). 6-Methoxyindole (21.5 g.) in 400 cc. dry Et2O treated at 0° with stirring with 20 g. (COCl)2 in 50 cc. dry Et2O yielded 29.5 g. the glyoxylyl chloride; a 15-g. portion treated with 250 cc. 40% aqueous Et2NH gave 14.8 g. N,N-diethyl-6-methoxy-3-indolylglyoxylamide (XVIII), m. 186° (aqueous EtOH). XVIII (14.8 g.) in 500 cc. THF

L4 ANSWER 171 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

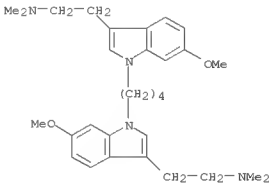
reduced with 8.5 g. LiAlH4 yielded 11.2 g. 6-methoxy-N,N-diethyltryptamine; identified as the oxalate, m. 160° (iso-PrOH). The appropriate dimethyltryptamine (XIX) (5 g.), 0.3 g. NaI, and 4.8 g. Br(CH2)5Br in 50 cc. HCONMe2 added at -40° to NaNH2 from 1 g. Na in 150 cc. liquid NH3, and the mixt. dild. with 100 cc. HCONMe2, kept 4 hrs. at -40°, and stirred 12 hrs. at room temp. gave 3.5 g. XX (A = (CH2)5, R = Me, X = H) (XXI), isolated as the oxalate, m. 185deg; (EtOH-Et2O); XX.2HCl m. 200° (EtOH-Et2O); XX.2MeI m. 259° (MeOH). XIX (5 g.), NaNH2 from 0.8 g. Na, 4.8 g. Br(CH2)5Br, and 0.3 g. NaI in 60 cc. HCONMe2 refluxed 4 hrs. yielded 4.6 g. XXI. Similarly were prepd. the following XX (listed in the table): A, R, X, % yield, m.p.oxalate, (CH2)3, Me, H, 48, 165°; (CH2)4, Me, H (XXII), 66, 182°; (CH2)6, Me, H, 50.5, 167°; (CH2)10, Me, H, 52, 171°; p-CH2C6H4CH2, Me, H (XXIII), 62, -; (CH2)3, Et, H, 52.5, 165-6°; (CH2)4, Et, H, 74, 174°; (CH2)5, Et, H, 64, 106°; (CH2)6, Et, H (XXIV), 69, 155°; (CH2)10, Et, H, 62, 144°; p-CH2C6H4CH2, Et, H, 52.5, 110°; (CH2)3, Me, 5-MeO, 33, 208°; (CH2)4, Me, 5-MeO, 52, 203°; (CH2)5, Me, 5-MeO, 54, 165°; (CH2)6, Me, 5-MeO, 54, 200°; p-CH2C6H4CH2, Me, 5-MeO (XXIVa), 52, 213°; (CH2)3, Et, 5-MeO, 34, 164°; (CH2)4, Et, 5-MeO, 50, 165°; (CH2)5, Et, 5-MeO, 55, 163°; (CH2)6, Et, 5-MeO, 51, 98°; p-CH2C6H4CH2, Et, 5-MeO (XXV), 48, 194°; (CH2)4, Me, 6-MeO, 54, 197°; (CH2)5, Me, 6-MeO, 51, 182°; (CH2)4, Et, 6-MeO, 49.5, 172°; (CH2)6, Et, 6-MeO, 52, 106°; IV (21 g.) in 600 cc. dry Et2O treated at 0° with stirring with 17 g. (COCl)2 in 20 cc. dry Et2O yielded 25 g. XXVI (R = COCOCl) (XXVII). XXVII (11 g.) with NH4OH gave 8.5 g. XXVI (R = COCONH2), m. 197° (THF-EtOH). XXVII (14 g.) with aq. Me2NH yielded 9 g. XXVI (R = COCONMe2), m. 168° (aq. EtOH). XXVII (10 g.) with Et2NH yielded 9.5 g. XXVI (R = COCONEt2), m. 136° (aq. EtOH). IV (15 g.) in 50 cc. HCONMe2 added dropwise to 15.3 g. POCl3 in 100 cc. HCONMe2 at 0°, and the mixt. stirred 2 hrs. at room temp., treated with 50 g. ice and 19 g. NaOH in 100 cc. H2O, and refluxed yielded 15 g. XXVI (R = CHO) (XXVIII), m. 187° (MeOH). XXVIII (9 g.) in 100 cc. MeNO2 refluxed 2 hrs. under N with 2.5 g. AcONH4 yielded 8.6 g. XXVI (R = CH:CHNO2) (XXIX), m. 154° (EtOHEt2O). XXIX (5 g.) refluxed 5 hrs. with 3 g. LiAlH4 in 200 cc. THF under N gave XXVI (R = CH2CH2NH2), isolated as 1.4 g. oxalate. XIV, XXIV.2HCl, and XXII.2HCl exhibited sedative action; XIV showed also hypotensive activity accompanied by cardiac and respiratory toxicity. XV.2HCl, XXIVa fumarate, XXV furnarate, showed longer lasting sedative activity than XIV, XXIV.2HCl, and XXII.2HCl.

IT 105312-15-0 105312-17-2 105432-57-3
105641-35-8 105730-52-7 105765-90-0
105766-03-8 105766-05-0 105767-74-6
105863-59-0 106170-48-3 106170-61-0
106194-50-7 106195-22-6
(Derived from data in the 7th Collective Formula Index (1962-1966))

RN 105312-15-0 CAPLUS
CN Indole, 1,1'-tetramethylenebis[3-[2-(dimethylamino)ethyl]-6-methoxy-, oxalate (7CI) (CA INDEX NAME)

CM 1

CRN 105312-14-9
CMF C30 H42 N4 O2



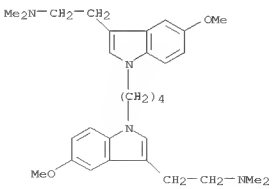
CM 2

CRN 144-62-7
CMF C2 H2 O4

RN 105312-17-2 CAPLUS
CN Indole, 1,1'-tetramethylenebis[3-[2-(dimethylamino)ethyl]-5-methoxy-, oxalate (7CI) (CA INDEX NAME)

CM 1

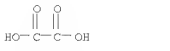
CRN 105312-16-1
CMF C30 H42 N4 O2



CM 2

CRN 144-62-7

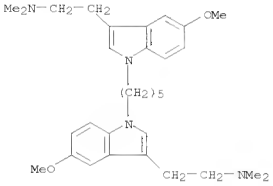
L4 ANSWER 171 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
CMF C2 H2 O4



RN 105432-57-3 CAPLUS
CN Indole, 1,1'-pentamethylenebis[3-[2-(dimethylamino)ethyl]-5-methoxy-, oxalate (7CI) (CA INDEX NAME)

CM 1

CRN 105432-56-2
CMF C31 H44 N4 O2



CM 2

CRN 144-62-7
CMF C2 H2 O4

RN 105641-35-8 CAPLUS
CN Indole, 1,1'-hexamethylenebis[3-[2-(dimethylamino)ethyl]-6-methoxy-, oxalate (7CI) (CA INDEX NAME)

CM 1

CRN 105641-34-7
CMF C32 H46 N4 O2

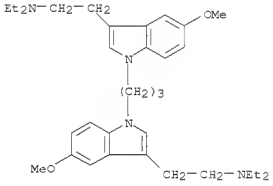
L4 ANSWER 171 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
CM 2

CRN 144-62-7
CMF C2 H2 O4

RN 105765-90-0 CAPLUS
CN Indole, 1,1'-trimethylenebis[3-[2-(diethylamino)ethyl]-5-methoxy-, oxalate (7CI) (CA INDEX NAME)

CM 1

CRN 105765-89-7
CMF C33 H48 N4 O2



CM 2

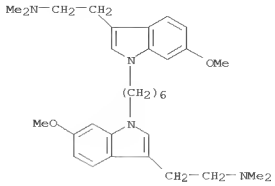
CRN 144-62-7
CMF C2 H2 O4

RN 105766-03-8 CAPLUS
CN Indole, 1,1'-tetramethylenebis[3-[2-(diethylamino)ethyl]-5-methoxy-, oxalate (7CI) (CA INDEX NAME)

CM 1

CRN 105766-02-7
CMF C34 H50 N4 O2

L4 ANSWER 171 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



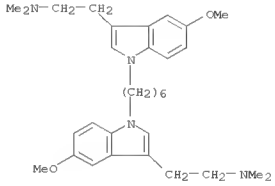
CM 2

CRN 144-62-7
CMF C2 H2 O4

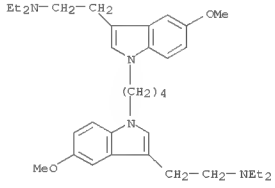
RN 105730-52-7 CAPLUS
CN Indole, 1,1'-hexamethylenebis[3-[2-(dimethylamino)ethyl]-5-methoxy-, oxalate (7CI) (CA INDEX NAME)

CM 1

CRN 105730-51-6
CMF C32 H46 N4 O2



L4 ANSWER 171 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



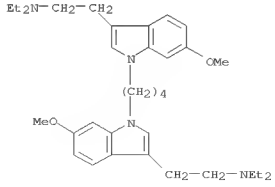
CM 2

CRN 144-62-7
CMF C2 H2 O4

RN 105766-05-0 CAPLUS
CN Indole, 1,1'-tetramethylenebis[3-[2-(diethylamino)ethyl]-6-methoxy-, oxalate (7CI) (CA INDEX NAME)

CM 1

CRN 105766-04-9
CMF C34 H50 N4 O2



CM 2

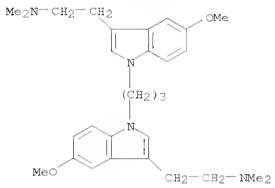
CRN 144-62-7
CMF C2 H2 O4

L4 ANSWER 171 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

RN 105767-74-6 CAPLUS
CN Indole, 1,1'-trimethylenebis[3-[2-(dimethylamino)ethyl]-5-methoxy-, oxalate (7CI) (CA INDEX NAME)

CM 1

CRN 105767-73-5
CMF C29 H40 N4 O2



CM 2

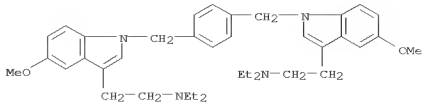
CRN 144-62-7
CMF C2 H2 O4

RN 105863-59-0 CAPLUS
CN Indole, 1,1'-(p-phenylenedimethylene)bis[3-[2-(diethylamino)ethyl]-5-methoxy-, oxalate (7CI) (CA INDEX NAME)

CM 1

CRN 105863-58-9
CMF C38 H50 N4 O2

L4 ANSWER 171 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



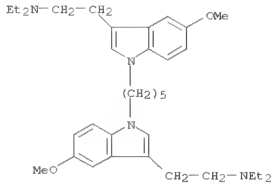
CM 2

CRN 144-62-7
CMF C2 H2 O4

RN 106170-48-3 CAPLUS
CN Indole, 1,1'-pentamethylenebis[3-[2-(diethylamino)ethyl]-5-methoxy-, oxalate (7CI) (CA INDEX NAME)

CM 1

CRN 106170-47-2
CMF C35 H52 N4 O2



CM 2

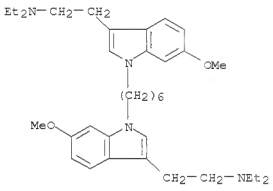
CRN 144-62-7
CMF C2 H2 O4

L4 ANSWER 171 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

RN 106170-61-0 CAPLUS
CN Indole, 1,1'-hexamethylenebis[3-[2-(diethylamino)ethyl]-6-methoxy-, oxalate (7CI) (CA INDEX NAME)

CM 1

CRN 106170-60-9
CMF C36 H54 N4 O2



CM 2

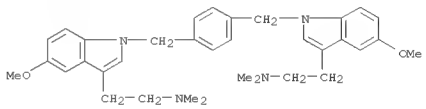
CRN 144-62-7
CMF C2 H2 O4

RN 106194-50-7 CAPLUS
CN Indole, 1,1'-(p-phenylenedimethylene)bis[3-[2-(dimethylamino)ethyl]-5-methoxy-, oxalate (7CI) (CA INDEX NAME)

CM 1

CRN 106194-49-4
CMF C34 H42 N4 O2

L4 ANSWER 171 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



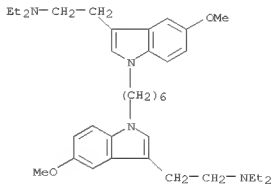
CM 2

CRN 144-62-7
CMF C2 H2 O4

RN 106195-22-6 CAPLUS
CN Indole, 1,1'-hexamethylenebis[3-[2-(diethylamino)ethyl]-5-methoxy-, oxalate (7CI) (CA INDEX NAME)

CM 1

CRN 106195-21-5
CMF C36 H54 N4 O2



CM 2

CRN 144-62-7
CMF C2 H2 O4

L4 ANSWER 171 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

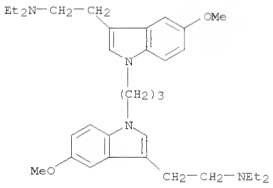
IT 856331-74-3P, Indole, 1,1'-trimethylenebis[3-[2-(diethylamino)ethyl]-5-methoxy-, oxalate (1:2) 856334-51-5P, Indole, 1,1'-(p-phenylenedimethylene)bis[3-[2-(dimethylamino)ethyl]-5-methoxy-, oxalate (1:2) 859040-78-1P, Indole, 1,1'-trimethylenebis[3-[2-(dimethylamino)ethyl]-5-methoxy-, oxalate (1:2) 859040-92-9P, Indole, 1,1'-tetramethylenebis[3-[2-(dimethylamino)ethyl]-6-methoxy-, oxalate (1:2) 859040-94-1P, Indole, 1,1'-tetramethylenebis[3-[2-(dimethylamino)ethyl]-5-methoxy-, oxalate (1:2) 859040-98-5P, Indole, 1,1'-tetramethylenebis[3-[2-(diethylamino)ethyl]-6-methoxy-, oxalate (1:2)
859041-00-2P, Indole, 1,1'-tetramethylenebis[3-[2-(diethylamino)ethyl]-5-methoxy-, oxalate (1:2) 859041-10-4P, Indole, 1,1'-(p-phenylenedimethylene)bis[3-[2-(diethylamino)ethyl]-5-methoxy-, oxalate (1:2) 859041-24-0P, Indole, 1,1'-pentamethylenebis[3-[2-(dimethylamino)ethyl]-5-methoxy-, oxalate (1:2) 859041-46-6P, Indole, 1,1'-hexamethylenebis[3-[2-(dimethylamino)ethyl]-6-methoxy-, oxalate (1:2)
859041-48-8P, Indole, 1,1'-hexamethylenebis[3-[2-(dimethylamino)ethyl]-5-methoxy-, oxalate (1:2) 859041-52-4P, Indole, 1,1'-hexamethylenebis[3-[2-(diethylamino)ethyl]-6-methoxy-, oxalate (1:2) 859041-54-6P, Indole, 1,1'-hexamethylenebis[3-[2-(diethylamino)ethyl]-5-methoxy-, oxalate (1:2)
RL: PREP (Preparation)
(preparation of)

RN 856331-74-3 CAPLUS

CN Indole, 1,1'-trimethylenebis[3-[2-(diethylamino)ethyl]-5-methoxy-, oxalate (1:2) (7CI) (CA INDEX NAME)

CM 1

CRN 105765-89-7
CMF C33 H48 N4 O2



CM 2

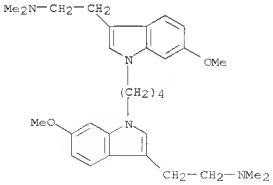
CRN 144-62-7
CMF C2 H2 O4

RN 859040-92-9 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

CM 1

CRN 105312-14-9
CMF C30 H42 N4 O2



CM 2

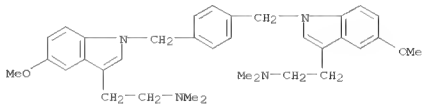
CRN 144-62-7
CMF C2 H2 O4

RN 856334-51-5 CAPLUS

CN Indole, 1,1'-(p-phenylenedimethylene)bis[3-[2-(dimethylamino)ethyl]-5-methoxy-, oxalate (1:2) (7CI) (CA INDEX NAME)

CM 1

CRN 106194-49-4
CMF C34 H42 N4 O2



CM 2

CRN 144-62-7
CMF C2 H2 O4

RN 859040-78-1 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

CM 1

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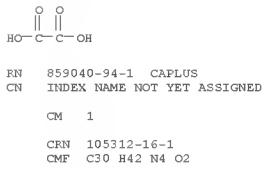
CMF C29 H40 N4 O2



CM 2

CRN 144-62-7
CMF C2 H2 O4

RN 859040-94-1 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

CM 1

CRN 105312-16-1
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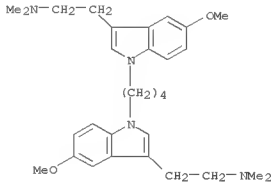


CM 2

CRN 144-62-7
CMF C2 H2 O4

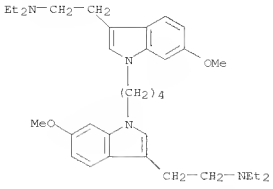
RN 859040-98-5 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

CM 1

CRN 105766-04-9
CMF C34 H50 N4 O2

L4 ANSWER 171 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



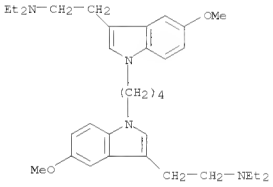
CM 2

CRN 144-62-7
CMF C2 H2 O4

RN 859041-00-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

CM 1

CRN 105766-02-7
CMF C34 H50 N4 O2



CM 2

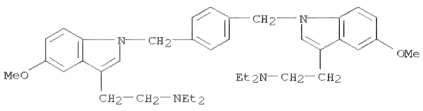
L4 ANSWER 171 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

CRN 144-62-7
CMF C2 H2 O4

RN 859041-10-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

CM 1

CRN 105863-58-9
CMF C38 H50 N4 O2



CM 2

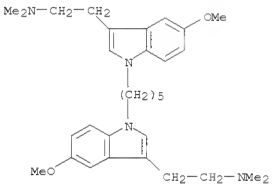
CRN 144-62-7
CMF C2 H2 O4

RN 859041-24-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

CM 1

CRN 105432-56-2
CMF C31 H44 N4 O2

L4 ANSWER 171 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



CM 2

CRN 144-62-7
CMF C2 H2 O4

RN 859041-46-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

CM 1

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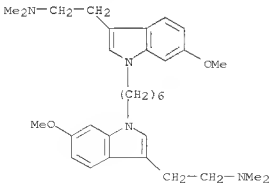


CM 2

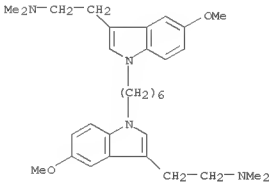
CRN 144-62-7
CMF C2 H2 O4

L4 ANSWER 171 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

RN 859041-48-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

CM 1

CRN 105730-51-6
CMF C32 H46 N4 O2



CM 2

CRN 144-62-7
CMF C2 H2 O4

RN 859041-52-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

CM 1

CRN 106170-60-9
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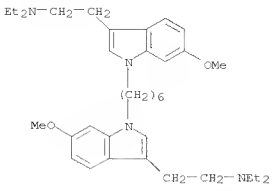
L4 ANSWER 171 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



CM 2

CRN 144-62-7
CMF C2 H2 O4

RN 859041-54-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

CM 1

CRN 106195-21-5
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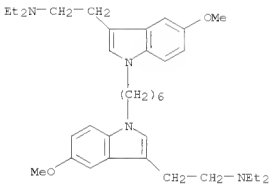


CM 2

L4 ANSWER 171 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

CRN 144-62-7
CMF C2 H2 O4

L4 ANSWER 172 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1964:492262 CAPLUS
DOCUMENT NUMBER: 61:92262
ORIGINAL REFERENCE NO.: 61:16036g-h,16037a-h,16038a
TITLE: Research in the indole series. X. Several 2-(3-indolyl)glutaric acids, glutarimides, and the corresponding piperidines
AUTHOR(S): Julia, Marc; Bagot, Jean; Siffert, Odile
CORPORATE SOURCE: Inst. Pasteur, Paris
SOURCE: Bulletin de la Societe Chimique de France (1964), (8), 1939-45
CODEN: BSCFAS; ISSN: 0037-8968
DOCUMENT TYPE: Journal
LANGUAGE: French

AB A series of esters of I was prepared from BrCH2COCH(CO2Et)CH2CH2CO2Et (II) and the appropriate aromatic amines and converted into I. Also prepared were III, which were reduced to the corresponding IV. AcCH2CO2Et (390 g.) condensed with CH2:CHCO2Et in the presence of 1 g. K in 5 cc. MeOH yielded 475 g. AcCH(CO2Et)CH2CH2CO2Et (V), b14 162-5°. V (230 g.) in 350 cc. Et2O treated with 160 g. Br yielded 300 g. II, m. 78° (C6H6). II (62 g.) condensed with 43 g. MeNHPh, and the product (70 g.) cyclized with ZnCl2 in absolute EtOH yielded 40 g. di-Et ester (VI) of I (R = Me, X = H) (VII), b0.1 185-9°, which saponified gave 28 g. VII, m. 153° (MeOH); mono-K salt m. 185°. VII decarboxylated gave 72% 4-(1-methyl-3-indolyl)butyric acid, m. 101-2° (25% aqueous EtOH). II (62 g.) condensed with 48.4 g. EtNHPh, and the oily product (40 g.) cyclized gave 29.8 g. di-Et ester of I (R = Et, X = H) (VIII), b0.1 182-3°, which saponified yielded 21 g. VIII, m. 156-7° (H2O); mono-K salt m. 180°. II (309 g.) condensed with 366 g. PhCH2NHPh, and the oily product (400 g.) cyclized yielded 112 g. di-Et ester (IX) of I (R = PhCH2, X = H)(X), b0.1 230-40°. IX (100 g.) saponified yielded 72 g. X, m. 129° (aqueous EtOH); mono-K salt m. 237° (H2O). II (100 g.) condensed with 92 g. p-MeOC6H4NHMe and the product cyclized gave 54 g. di-Et ester of I (R = Me, X = 5-MeO) (XI), b0.1 190-200°; a 35-g. portion saponified gave 23 g. X1, m. 157° (10% aqueous EtOH), which decarboxylated gave 4-(1-methyl-5-methoxy-3-indolyl)butyric acid, m. 119-20° (MeOH). VII (5 g.) with 50 cc. NH4OH yielded 3.2 g. III (R = Me, R1 = X = H), m. 198° (absolute EtOH). Similarly were prepared the following III: R, R1, X, m.p., % yield; Me, Me, H, 158°, 60; Me, Et, H, 70°, 38; Me, PhCH2, H, 186°, 97; PhCH2, H, H, 134°, 53; PhCH2, Me, H, 164°, 45; Me, H, 5-MeO, 129°, 30; Me, Me, 5-MeO, 156°, 40; Me, Et, 5-MeO, 135°, 40; Me, PhCH2, 5-MeO, 149°, 41; The appropriate III reduced with LiAlH4 in dry Et2O yielded the very hygroscopic IV, which were isolated as the HCl salts; in this manner were prepared the following IV.HCl which crystallized with 0.5, 1, or 2 moles H2O: R, R1, X, moles H2O, m.p., % yield; Me, Me, H, 0.5 (XII), 220°, 40; Me, PhCH2, H, 1, 130°, 77; PhCH2, Me, H, 1, 183°, 60; Me, Me, 5-MeO, 1 (XIIa), 137°, 64; Me, PhCH2, 5-MeO, 2, 165°, 45; Me, H, 5-MeO, 2 (XIII), 110°, 71; XII (6.8 g.) in 100 cc. absolute EtOH hydrogenated 7 hrs. at 55-60° over 0.2 g. 5% Pd-C gave 3.2 g. IV.HCl.H2O (R = Me, R1 = X = H) (XIV.HCl.H2O), m. 130° (EtOH-Et2O). 1-Methyl-3-indolylacetonitrile (XV) (20 g.) treated at 120° with 0.2 cc. 2N KOH-MeOH and 0.1 g. p-C6H4(OH)2 and then 6.3 cc. CH2:CHCO2Et (XVI) in 2 portions and the mixt. heated 1.5 hrs. at 170° gave 9 g. unreacted XV, b0.04 127-30°, m. 57°, and 3.5 g. Et 4-cyano-4-(1-methyl-3-indolyl)butyrate (XVII), b0.04 180-200°. XV (20 g.), 13 cc. XVI, and 1 cc. Triton B heated 60 hrs. at 170° in a sealed tube gave 4.7 g. XVII. XVII refluxed 15 hrs. with KOH-MeOH gave VII, m. 152°. XVII (4 g.) refluxed 48 hrs. with 2 g. LiAlH4 in 250 cc. dry Et2O gave 2.5 g. XIV, isolated as XIV.HCl, m. 128-9°. IX (7 g.) in 100 cc. MeOH satd. with dry NH3 and the mixt. heated 24 hrs. at 100° in an autoclave yielded 3.4 g. diamide (XVIII) of X, m. 226° (2:1 AcOH-H2O). XVIII (3.3 g.) refluxed 4 days with 1 g. LiAlH4 in 60 cc. Et2O, and the product treated with HCl gave 1.8 g. 1,5-diamino-2-(1-benzyl-3-indolyl)pentane-2HCl (XIX), very hygroscopic, m. 114°. X (10 g.) treated with 10 g. PhCH2NH2 in 40 cc. H2O gave 9 g. N,N'-dibenzyl-2-(1-benzyl-3-indolyl)glutaramide (XX), m. 175° (AcOH). XX (10 g.) refluxed 48 hrs. with 2.5 g. LiAlH4 in 160 cc. dry THF gave the N,N'-dibenzyl deriv. of XIX, isolated as the di-HCl salt, 5.6 g., m. 109°; this treated with (CO2H)2 yielded the dioxolate of the N,N'-dibenzyl deriv. of XIX, m. 148° (repptd. from MeOH with dry Et2O). X (3.37 g.) in 100 cc. dry Et2O refluxed 48 hrs. with 1 g. LiAlH4 yielded 1.86 g. 2-(1-benzyl-3-indolyl)-1,5-pentanediol, m. 102° (60% aq. EtOH). V (100 g.) added dropwise with stirring to 10 g. powd. Na in 200 cc. dry Et2O, and the mixt. treated slowly with stirring with 80 g. MeI, refluxed 4 hrs., dild. with 200 cc. EtOH, and refluxed 2 hrs. yielded 79 g. EtO2CCAcMeCH2CH2CO2Et (XXI), b9 148-50°. XXI (74 g.) in 250 cc. dry Et2O treated with 50 g. Br gave 84 g. EtO2CCMe(COCH2Br)CH2CH2CO2Et (XXII), yellow oil. XXII (84 g.) condensed with 56 g. MeNHPh, and the product cyclized yielded 42 g. di-Et ester of 2-methyl-2-(1-methyl-3-indolyl)glutaric acid (XXIII), b0.05 190-200°, which sapond. gave 14.6 g. XXIII, m. 157° (EtOH). XXII (4 g.) with 70 cc. NH4OH gave 1.8 g. imide (XXIV) of XXIII, m. 153°. XXIII (4 g.) with 55 cc. 33% aq. MeNH2 gave 2 g. 1-Me deriv. of XXIV, m. 142° (EtOH). The indolylglutarimides were less active as anticonvulsants than the succinimides. The indolylpiperidines exhibited the same toxicity as the corresponding pyrrolines; their antiserotonine activity in the rat uterus test was moderate; the most active one was X1Ia. XII and XIV exhibited a prolonged sedative activity; X1I was also active as an analgesic (1/5 as active as morphine).

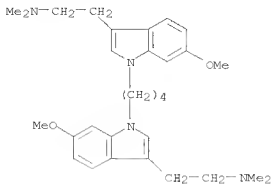
IT 105312-15-0 105312-17-2 105432-57-3
105641-35-8 105730-52-7 105765-90-0
105766-03-8 105766-05-0 105767-74-6
105863-59-0 106170-48-3 106170-61-0
106194-50-7 106195-22-6
(Derived from data in the 7th Collective Formula Index (1962-1966))

RN 105312-15-0 CAPLUS
CN Indole, 1,1'-tetramethylenebis[3-[2-(dimethylamino)ethyl]-6-methoxy-, oxalate (7CI) (CA INDEX NAME)

CM 1

L4 ANSWER 172 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

CRN 105312-14-9
CMF C30 H42 N4 O2



CM 2

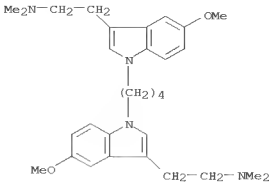
CRN 144-62-7
CMF C2 H2 O4

RN 105312-17-2 CAPLUS
CN Indole, 1,1'-tetramethylenebis[3-[2-(dimethylamino)ethyl]-5-methoxy-, oxalate (7CI) (CA INDEX NAME)

CM 1

CRN 105312-16-1
CMF C30 H42 N4 O2

L4 ANSWER 172 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



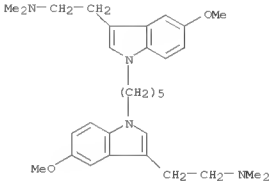
CM 2

CRN 144-62-7
CMF C2 H2 O4

RN 105432-57-3 CAPLUS
CN Indole, 1,1'-pentamethylenebis[3-[2-(dimethylamino)ethyl]-5-methoxy-, oxalate (7CI) (CA INDEX NAME)

CM 1

CRN 105432-56-2
CMF C31 H44 N4 O2



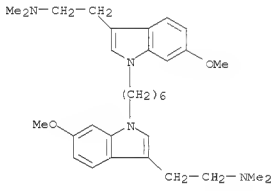
L4 ANSWER 172 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
CM 2

CRN 144-62-7
CMF C2 H2 O4

RN 105641-35-8 CAPLUS
CN Indole, 1,1'-hexamethylenebis[3-[2-(dimethylamino)ethyl]-6-methoxy-, oxalate (7CI) (CA INDEX NAME)

CM 1

CRN 105641-34-7
CMF C32 H46 N4 O2



CM 2

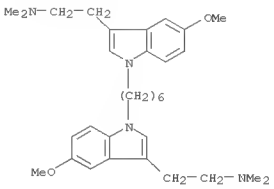
CRN 144-62-7
CMF C2 H2 O4

RN 105730-52-7 CAPLUS
CN Indole, 1,1'-hexamethylenebis[3-[2-(dimethylamino)ethyl]-5-methoxy-, oxalate (7CI) (CA INDEX NAME)

CM 1

CRN 105730-51-6
CMF C32 H46 N4 O2

L4 ANSWER 172 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



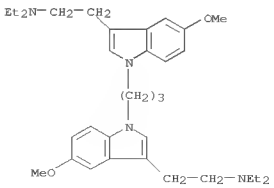
CM 2

CRN 144-62-7
CMF C2 H2 O4

RN 105765-90-0 CAPLUS
CN Indole, 1,1'-trimethylenebis[3-[2-(diethylamino)ethyl]-5-methoxy-, oxalate (7CI) (CA INDEX NAME)

CM 1

CRN 105765-89-7
CMF C33 H48 N4 O2



CM 2

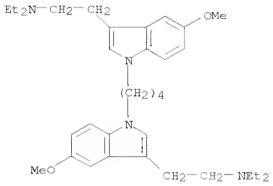
CRN 144-62-7
CMF C2 H2 O4

L4 ANSWER 172 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

RN 105766-03-8 CAPLUS
CN Indole, 1,1'-tetramethylenebis[3-[2-(diethylamino)ethyl]-5-methoxy-, oxalate (7CI) (CA INDEX NAME)

CM 1

CRN 105766-02-7
CMF C34 H50 N4 O2



CM 2

CRN 144-62-7
CMF C2 H2 O4

RN 105766-05-0 CAPLUS
CN Indole, 1,1'-tetramethylenebis[3-[2-(diethylamino)ethyl]-6-methoxy-, oxalate (7CI) (CA INDEX NAME)

CM 1

CRN 105766-04-9
CMF C34 H50 N4 O2

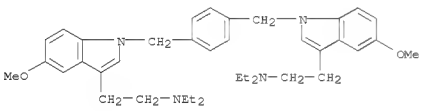
L4 ANSWER 172 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

CRN 144-62-7
CMF C2 H2 O4

RN 105863-59-0 CAPLUS
CN Indole, 1,1'-(p-phenylenedimethylene)bis[3-[2-(diethylamino)ethyl]-5-methoxy-, oxalate (7CI) (CA INDEX NAME)

CM 1

CRN 105863-58-9
CMF C38 H50 N4 O2



CM 2

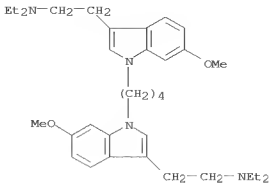
CRN 144-62-7
CMF C2 H2 O4

RN 106170-48-3 CAPLUS
CN Indole, 1,1'-pentamethylenebis[3-[2-(diethylamino)ethyl]-5-methoxy-, oxalate (7CI) (CA INDEX NAME)

CM 1

CRN 106170-47-2
CMF C35 H52 N4 O2

L4 ANSWER 172 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



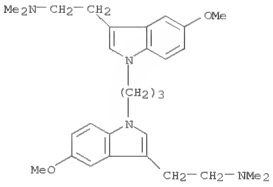
CM 2

CRN 144-62-7
CMF C2 H2 O4

RN 105767-74-6 CAPLUS
CN Indole, 1,1'-trimethylenebis[3-[2-(dimethylamino)ethyl]-5-methoxy-, oxalate (7CI) (CA INDEX NAME)

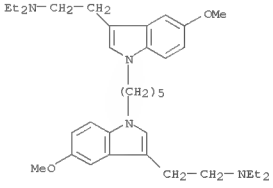
CM 1

CRN 105767-73-5
CMF C29 H40 N4 O2



CM 2

L4 ANSWER 172 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



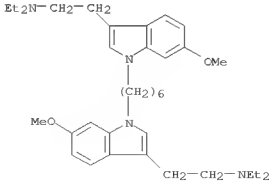
CM 2

CRN 144-62-7
CMF C2 H2 O4

RN 106170-61-0 CAPLUS
CN Indole, 1,1'-hexamethylenebis[3-[2-(diethylamino)ethyl]-6-methoxy-, oxalate (7CI) (CA INDEX NAME)

CM 1

CRN 106170-60-9
CMF C36 H54 N4 O2



CM 2

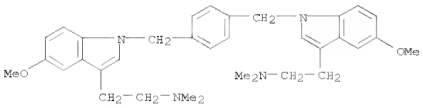
CRN 144-62-7
CMF C2 H2 O4

L4 ANSWER 172 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

RN 106194-50-7 CAPLUS
CN Indole, 1,1'-(p-phenylenedimethylene)bis[3-[2-(dimethylamino)ethyl]-5-methoxy-, oxalate (7CI) (CA INDEX NAME)

CM 1

CRN 106194-49-4
CMF C34 H42 N4 O2



CM 2

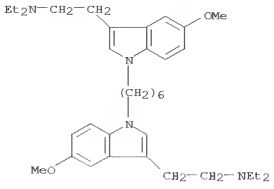
CRN 144-62-7
CMF C2 H2 O4

RN 106195-22-6 CAPLUS
CN Indole, 1,1'-hexamethylenebis[3-[2-(diethylamino)ethyl]-5-methoxy-, oxalate (7CI) (CA INDEX NAME)

CM 1

CRN 106195-21-5
CMF C36 H54 N4 O2

L4 ANSWER 172 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



CM 2

CRN 144-62-7
CMF C2 H2 O4

L4 ANSWER 173 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER:	1964:432337 CAPLUS
DOCUMENT NUMBER:	61:32337
ORIGINAL REFERENCE NO.:	61:5613h,5614a-b
TITLE:	Isoindolines
INVENTOR(S):	Graf, Wilfried; Schmid, Erich; Stoll, Willy G.
PATENT ASSIGNEE(S):	J. R. Geigy A.-G.
SOURCE:	2 pp.
DOCUMENT TYPE:	Patent
LANGUAGE:	Unavailable
FAMILY ACC. NUM. COUNT:	1
PATENT INFORMATION:	

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CH 374670		19640313	CH	19590527
PRIORITY APPLN. INFO.:			CH	19590527

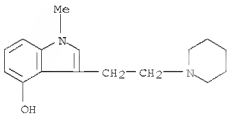
GI For diagram(s), see printed CA Issue.

AB 3'-Methylsulfamyl4'-chlorobenzophenone-2-carboxylic acid was treated with SOCl2 to give 3-(3-methylsulfamyl-4-chlorophenyl)phthalide, which was refluxed 15 min. with EtOH to give a solution of Et 3'-methyl-sulfamyl-4'-chlorobenzophenone-2-carboxylate, which was partly concentrated, saturated with NH3 gas, and heated 6 hrs. at 120° in a pressure tube to give 1-oxo-3-(3'-methylsulfamyl-4'-chlorophenyl)-3-hydroxyisoindoline (I), m. 250-3° (dioxane). I (m. 220-3°) (50% HOAc) was also prepared from the corresponding Me ester. I had diuretic and saluretic activity, but no inhibiting action on carbonic anhydrase.

IT 1568-25-8P, Indol-4-ol, 1-methyl-3-(2-piperidinoethyl)-
RL: PREP (Preparation)
(preparation of)

RN 1568-25-8 CAPLUS

CN 1H-Indol-4-ol, 1-methyl-3-[2-(1-piperidinyl)ethyl]- (CA INDEX NAME)



L4 ANSWER 174 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER:	1964:432336 CAPLUS
DOCUMENT NUMBER:	61:32336
ORIGINAL REFERENCE NO.:	61:5613e-h
TITLE:	Esters of indoles
INVENTOR(S):	Hofmann, Albert; Troxler, Franz
PATENT ASSIGNEE(S):	Sandoz Ltd.
SOURCE:	6 pp.
DOCUMENT TYPE:	Patent
LANGUAGE:	Unavailable
PATENT INFORMATION:	

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3075992		19630129	US 1961-98740	19610328
PRIORITY APPLN. INFO.:			CH	19580912

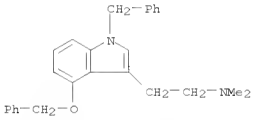
GI For diagram(s), see printed CA Issue.

AB Esterification of the 4-hydroxyindoles gave I. Thus, 0.408 parts 3-(2-dimethylamino-ethyl)-4-hydroxyindole (II) and 2 parts by volume N aqueous NaOH solution were evaporated to dryness, the residue dissolved in 15 parts 1,2-dimethoxyethane, 0.267 parts BzCl in 5 parts 1,2dimethoxyethane added, and the mixture shaken 2 hrs. to give I(R = Bz, R1 = H, R2 = Me) (III), m. 109-11°. 4-Benzyloxyindole (12 parts) and 300 parts Et2O were stirred at 0-3°, 9.6 parts oxalyl chloride was added dropwise, after 30 min. 2 parts anhydrous Me2NH slowly added, while stirring and cooling in ice, the mixture stirred a few min. at room temperature and filtered, the precipitate washed with H2O, and the solid dried in high vacuum to give 4-benzyloxy-3-indolylglyoxylic acid dimethylamide (IV), m. 148-50°. IV was reduced with LiAlH4 to 3-(2-dimethylaminoethyl)-4-benzyloxyindole (V), m. 119-21°, which in turn was reduced using a Pd catalyst on Al2O3 and H to II, m. 173-6°. Also prepared were the following I (R, R1, R2, and m.p. given): (HO)2P(O), Me, Me, 242-4°; (HO)2P(O), Bz, Me, 235-7°; Ac, H, Me, 92-5°; Me3CCO, H, Me, 123-4°; (HO)2P(O), H, Me (VI), 210-12° (decomposition); Bz, Me, Me, 69.5-71°; Me3CCO, allyl, Me, 123-4° (bimaleate 124-6°); Ac, Me, Me, 140-1°; Me3CCO, Me, Me, 137-8°; Bz, Bz, Me, 127-9°; Bz, Me, Me, 168-9°. The following I [R = (HO)2P(O), R1 = Me) were prepared (R2 and m.p. given)]: Et, 257°; (NR22 =) piperidino, 260-2°. Also reported were the following I (R = PhCH2) (R1, R2, and m.p. given): Me, Me, 125-7°; Bz, Me, 87-8°; H, Et, 100-1°; H, (NR22 =) piperidino, 126-8°; Me, Et, - (b0.001 195-200°); Me, (NR22 =) piperidino, - (b0.001 200°). The following I were prepared (R, R1, R2, and m.p. given): H, Me, Me, 125-7°; H, PhCH2, Me, 112-18°; H, H, Et, 104-6°; H, H, (NR22 =) piperidino, 182-3°; H, Me, Et, 92-5°; H, Me, (NR22 =) piperidino, 121-6° (b0.001 155-60°). 4-Benzyloxy3-indoleglyoxylic acid piperidide m. 132-7°. These compds. show a characteristic color reaction with Keller reagent; they have pharmacodynamic properties.

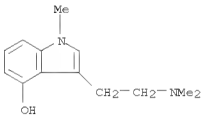
IT 1443-36-3P, Indole, 1-benzyl-4-(benzyloxy)-3-[2-(dimethylamino)ethyl]- 1465-16-3P, Indol-4-ol, 3-[2-(dimethylamino)ethyl]-1-methyl- 1568-25-8P, Indol-4-ol,

L4 ANSWER 174 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
1-methyl-3-(2-piperidinoethyl)- 1568-26-9P, Indole,
4-(benzyloxy)-3-[2-(diethylamino)ethyl]-1-methyl- 1568-49-6P,
Indol-4-ol, 3-[2-(dimethylamino)ethyl]-1-methyl-, benzoate (ester)
1568-52-1P, Indol-4-ol, 3-[2-(diethylamino)ethyl]-1-methyl-
1568-54-3P, Indol-4-ol, 3-[2-(dimethylamino)ethyl]-1-methyl-,
acetate (ester) 1568-55-4P, Indol-4-ol,
3-[2-(dimethylamino)ethyl]-1-methyl-, pivalate (ester) 1568-56-5P
, Indol-4-ol, 1-allyl-3-[2-(dimethylamino)ethyl]-, pivalate (ester)
1568-57-6P, Indol-4-ol, 1-benzyl-3-[2-(dimethylamino)ethyl]-,
benzoate (ester) 1568-58-7P, Indol-4-ol,
1-methyl-3-(2-piperidinoethyl)-, benzoate (ester) 1568-59-8P,
Indole, 4-(benzyloxy)-1-methyl-3-(2-piperidinoethyl)- 1640-03-5P
, Indol-4-ol, 1-benzyl-3-[2-(dimethylamino)ethyl]- 1640-04-6P,
Indole, 4-(benzyloxy)-3-[2-(dimethylamino)ethyl]-1-methyl-
3575-66-4P, Pivalic acid, 1-allyl-3-[2-(dimethylamino)ethyl]indol-
4-yl ester, maleate (1:2) 4548-63-4P, Indol-4-ol,
1-allyl-3-[2-(dimethylamino)ethyl]-, pivalate (ester), maleate (1:2)
18483-72-2P, Indol-4-ol, 3-[2-(dimethylamino)ethyl]-1-methyl-,
dihydrogen phosphate (ester) 100260-65-9P, Indol-4-ol,
1-benzyl-3-[2-(dimethylamino)ethyl]-, dehydrogen phosphate (ester)
RL: PREP (Preparation)
(prepn. of)

RN 1443-36-3 CAPLUS
CN 1H-Indole-3-ethanamine, N,N-dimethyl-4-(phenylmethoxy)-1-(phenylmethyl)-
(CA INDEX NAME)

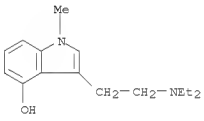


RN 1465-16-3 CAPLUS
CN 1H-Indol-4-ol, 3-[2-(dimethylamino)ethyl]-1-methyl- (CA INDEX NAME)

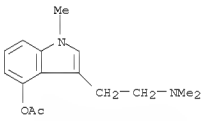


RN 1568-25-8 CAPLUS
CN 1H-Indol-4-ol, 1-methyl-3-[2-(1-piperidinyl)ethyl]- (CA INDEX NAME)

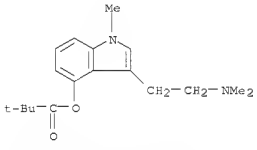
L4 ANSWER 174 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 1568-54-3 CAPLUS
CN 1H-Indol-4-ol, 3-[2-(dimethylamino)ethyl]-1-methyl-, 4-acetate (CA INDEX
NAME)

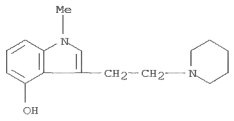


RN 1568-55-4 CAPLUS
CN Propanoic acid, 2,2-dimethyl-, 3-[2-(dimethylamino)ethyl]-1-methyl-1H-
indol-4-yl ester (CA INDEX NAME)

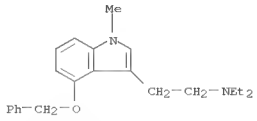


RN 1568-56-5 CAPLUS
CN Propanoic acid, 2,2-dimethyl-, 3-[2-(dimethylamino)ethyl]-1-(2-propen-1-
yl)-1H-indol-4-yl ester (CA INDEX NAME)

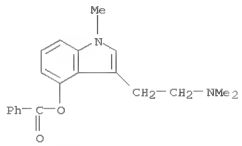
L4 ANSWER 174 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 1568-26-9 CAPLUS
CN 1H-Indole-3-ethanamine, N,N-diethyl-1-methyl-4-(phenylmethoxy)- (CA
INDEX
NAME)

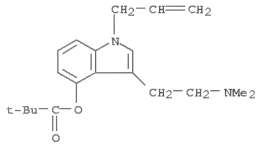


RN 1568-49-6 CAPLUS
CN 1H-Indol-4-ol, 3-[2-(dimethylamino)ethyl]-1-methyl-, 4-benzoate (CA
INDEX
NAME)

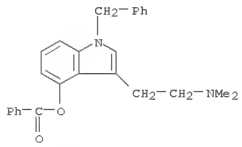


RN 1568-52-1 CAPLUS
CN 1H-Indol-4-ol, 3-[2-(diethylamino)ethyl]-1-methyl- (CA INDEX NAME)

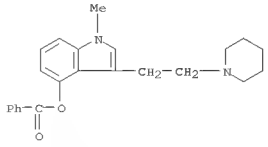
L4 ANSWER 174 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 1568-57-6 CAPLUS
CN 1H-Indol-4-ol, 3-[2-(dimethylamino)ethyl]-1-(phenylmethyl)-, 4-benzoate
(CA INDEX NAME)

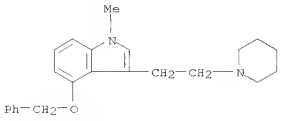


RN 1568-58-7 CAPLUS
CN 1H-Indol-4-ol, 1-methyl-3-[2-(1-piperidinyl)ethyl]-, 4-benzoate (CA
INDEX
NAME)

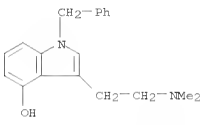


RN 1568-59-8 CAPLUS
CN 1H-Indole, 1-methyl-4-(phenylmethoxy)-3-[2-(1-piperidinyl)ethyl]- (CA
INDEX NAME)

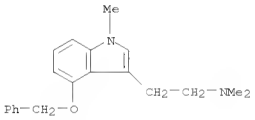
L4 ANSWER 174 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 1640-03-5 CAPLUS
CN 1H-Indol-4-ol, 3-[2-(dimethylamino)ethyl]-1-(phenylmethyl)- (CA INDEX NAME)



RN 1640-04-6 CAPLUS
CN 1H-Indole-3-ethanamine, N,N,1-trimethyl-4-(phenylmethoxy)- (CA INDEX NAME)



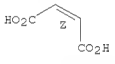
RN 3575-66-4 CAPLUS
CN Propanoic acid, 2,2-dimethyl-, 3-[2-(dimethylamino)ethyl]-1-(2-propenyl)-1H-indol-4-yl ester, (2Z)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

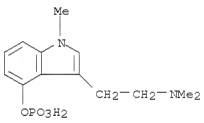
CRN 1568-56-5
CMF C20 H28 N2 O2

L4 ANSWER 174 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

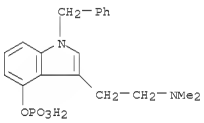
Double bond geometry as shown.



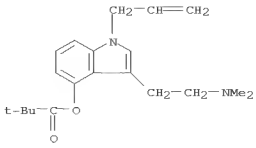
RN 18483-72-2 CAPLUS
CN 1H-Indol-4-ol, 3-[2-(dimethylamino)ethyl]-1-methyl-, dihydrogen phosphate (ester) (9CI) (CA INDEX NAME)



RN 100260-65-9 CAPLUS
CN Indol-4-ol, 1-benzyl-3-[2-(dimethylamino)ethyl]-, dihydrogen phosphate (7CI) (CA INDEX NAME)



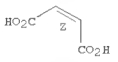
L4 ANSWER 174 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



CM 2

CRN 110-16-7
CMF C4 H4 O4

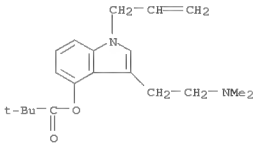
Double bond geometry as shown.



RN 4548-63-4 CAPLUS
CN Pivalic acid, 1-allyl-3-[2-(dimethylamino)ethyl]indol-4-yl ester, butenedioate (1:1) (8CI) (CA INDEX NAME)

CM 1

CRN 1568-56-5
CMF C20 H28 N2 O2



CM 2

CRN 110-16-7
CMF C4 H4 O4

L4 ANSWER 175 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1964:425320 CAPLUS
DOCUMENT NUMBER: 61:25320
ORIGINAL REFERENCE NO.: 61:4318h,4319a-f
TITLE: Indole derivatives substituted in the 4-position
PATENT ASSIGNEE(S): Sandoz Ltd.
SOURCE: 15 pp.; Addn. to Brit. 911,946 (see Ger. 1,087,321, CA
55, 27768h)
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 942548		19631127	GB	
CH 373381			CH	
CH 380130			CH	
CH 380131			CH	
CH 383379			CH	
PRIORITY APPLN. INFO.:			CH	19590407

GI For diagram(s), see printed CA Issue.
AB The title compds. (I) and their acid salts have interesting pharmacol. properties. In these compds. the protective 4-substituent is split off by acid hydrolysis, or hydrogenation with a Pd catalyst, or with an alkali metal in liquid NH3, novel methods which do not affect 1-substituents. Substitution at N-1 can also be effected as a last step with an alkyl halide in presence of an alkaline condensing agent. The Grignard reagent from 4.8 g. Mg and 14.5 ml. MeI in 300 ml. Et2O is slowly treated at room temperature with 22.3 g. 4-benzyloxyindole in 250 ml. Et2O and the mixture heated for 1.5 hrs. To this, 25.4 g. α-chloropropionyl chloride in 200 ml. Et2O is added at 0°, agitation continued for 0.5 hr. at 0° and 2 hrs. at room temperature Without isolating the resulting 4-benzyloxy-3-(α-chloropropionyl)indole, 150 ml. 33% alc. Me2NH solution is added at 0° while agitating. The next day, 250 ml. of a 20% NH4Cl solution is introduced while stirring and cooling. When the precipitate has dissolved, the product is separated by extraction with N tartaric acid solution, from which the base is set free with alkali and extracted with CHCl3. The crude 4-benzyloxy-3-(α-dimethylaminopropionyl)indole (II) is recrystd. from EtOAc and Me2CO, m. 149-52°. II (2.27 g.) in 140 ml. absolute dioxane is reduced with 2.8 g. LiAlH4 in 60 ml. boiling absolute dioxane by refluxing 36 hrs. to give I (R = PhCH2, R1 = H, A = CHMe, R2 = R3 = Me), m. 126° (benzene-petr. ether). The 4-benzyl group is cleaved by hydrogenation with a Pd-Al2O3 catalyst to yield the 4-HO analog, m. 138-9°. By analogous methods were made: 4-benzyloxy-3-(β-dimethylaminopropionyl)indole, m. 131- 32° (acetone); 4-benzyloxy-3-(3 - dimethylaminopropyl)indole, m. 196-9° (MeOH-CHCl3); 1-methyl-3-(2-dimethylaminoethyl)-4-benzyloxyindole, m. 62-7° (Et2O-petr. ether); 3-(2-dimethylaminoethyl)-4-benzyloxyindole, m. 119-21° (Et2O-petr. ether);

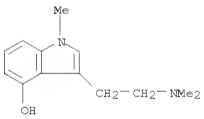
L4 ANSWER 175 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
1-methyl-3-(2-dimethylaminoethyl)-4-hydroxyindole, m. 125-7° (MeOH-Et2O) [acid oxalate m. 166-7° (MeOH)]; 1-benzyl-3-(2-dimethylaminoethyl)-4-benzyloxyindole, m. 87-8° (benzene-petr. ether); 1-benzyl-3-(2-dimethylaminoethyl)-4-hydroxyindole, m. 112-18° (benzene); 1-ethyl-3-(2-dimethylaminoethyl)-4-benzyloxyindole, m. 43-5°; 1-ethyl-3-(2-dimethylaminoethyl)-4-hydroxyindole, m. 105-7° (benzene-petr. ether); 3-(2-methylaminoethyl)-4-benzyloxyindole, m. 105-6° (ether); 4-benzyloxy-3-indoleacetic acid, m. 186-9° (aq. MeOH); 4-benzyloxy-3-indoleacetic acid ethylamide, m. 150-3° (benzene); 3-(2-methylaminoethyl)-4-hydroxyindole (oxalate m. 150 2°); 3-(2-ethylaminoethyl)-4-benzyloxyindole, m. 97-100° (ether); 4-benzyloxy-3-indole acetic acid ethylamide, m. 155-156° (benzene); 3-(2-ethylaminoethyl)-4-hydroxyindole [oxalate m. 218- 222° (MeOH-acetone); 1-butyl-3-(2-aminoethyl)-4-benzyloxyindole [acid oxalate m. 180-2° (EtOH); 1-butyl-4-benzyloxyindole, f.p. 5°, b0.1 170-5°; 1-butyl-4-benzyloxy-3-indoleacetonitrile, m. 67-69° (benzene-petr. ether); 1-butyl-3-(2-aminoethyl)-4-hydroxyindole oxalate, m. 271-3° (MeOH); 3-(2-aminopropyl)-4-benzyloxyindole, m. 148-9° (MeOH) [methanesulfonate m. 271-3° (EtOH)]; 3-(2-aminopropyl)-4-hydroxyindole, m. 125-6° (CH; Cl3-MeOH petr. ether) [acid maleate m. 174-5° (acetone)]1-methyl-3-(2-aminopropyl)-4-benzyloxyindole, m. 109-10° (Et- OAc); 1-methyl-4-benzyloxyindole, m. 78-79° (petr. ether); 1-methyl-4-benzyloxyindole-3-aldehyde, m. 120° (CHCl3-petr. ether); 1-methyl-3-(2-methyl-2-nitrovinyl)-4-benzyloxyindole, m. 142° (CHCl3-EtOH); 1-methyl-3-(2-aminopropyl)-4-hydroxyindole, m. 133-4° (EtOAc).

IT 97435-37-5
(Derived from data in the 7th Collective Formula Index (1962-1966))

RN 97435-37-5 CAPLUS
CN 1H-Indol-4-ol, 3-[2-(dimethylamino)ethyl]-1-methyl-, ethanedioate (1:1) (CA INDEX NAME)

CM 1

CRN 1465-16-3
CMF C13 H18 N2 O



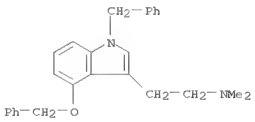
CM 2

CRN 144-62-7

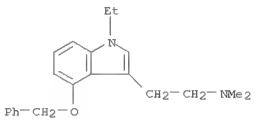
L4 ANSWER 175 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
CMF C2 H2 O4

IT 1443-36-3P, Indole, 1-benzyl-4-(benzyloxy)-3-[2-(dimethylamino)ethyl]- 1464-37-5P, Indole, 4-(benzyloxy)-3-[2-(dimethylamino)ethyl]-1-ethyl- 1465-16-3P, Indol-4-ol, 3-[2-(dimethylamino)ethyl]-1-methyl- 1640-02-4P, Indol-4-ol, 3-[2-(dimethylamino)ethyl]-1-ethyl- 1640-03-5P, Indol-4-ol, 1-benzyl-3-[2-(dimethylamino)ethyl]- 1640-04-6P, Indole, 4-(benzyloxy)-3-[2-(dimethylamino)ethyl]-1-methyl- 859042-79-8P, Indol-4-ol, 3-[2-(dimethylamino)ethyl]-1-methyl-, oxalate (salt)
RL: PREP (Preparation)
(preparation of)

RN 1443-36-3 CAPLUS
CN 1H-Indole-3-ethanamine, N,N-dimethyl-4-(phenylmethoxy)-1-(phenylmethyl)- (CA INDEX NAME)

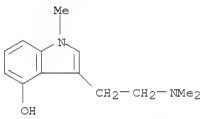


RN 1464-37-5 CAPLUS
CN 1H-Indole-3-ethanamine, 1-ethyl-N,N-dimethyl-4-(phenylmethoxy)- (CA INDEX NAME)

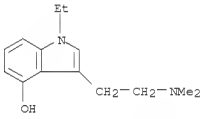


RN 1465-16-3 CAPLUS
CN 1H-Indol-4-ol, 3-[2-(dimethylamino)ethyl]-1-methyl- (CA INDEX NAME)

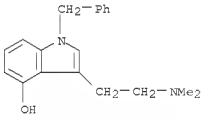
L4 ANSWER 175 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



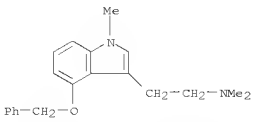
RN 1640-02-4 CAPLUS
CN 1H-Indol-4-ol, 3-[2-(dimethylamino)ethyl]-1-ethyl- (CA INDEX NAME)



RN 1640-03-5 CAPLUS
CN 1H-Indol-4-ol, 3-[2-(dimethylamino)ethyl]-1-(phenylmethyl)- (CA INDEX NAME)

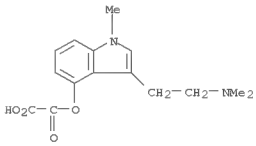


RN 1640-04-6 CAPLUS
CN 1H-Indole-3-ethanamine, N,N,1-trimethyl-4-(phenylmethoxy)- (CA INDEX NAME)



RN 859042-79-8 CAPLUS
CN Ethanedioic acid, 1-[3-[2-(dimethylamino)ethyl]-1-methyl-1H-indol-4-yl] ester (CA INDEX NAME)

L4 ANSWER 175 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



L4 ANSWER 176 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1964:425319 CAPLUS
DOCUMENT NUMBER: 61:25319
ORIGINAL REFERENCE NO.: 61:4318f-h
TITLE: β,β-Diethyltryptamine
INVENTOR(S): Allais, Andre; Meier, Jean
PATENT ASSIGNEE(S): Roussel-UCLAF
SOURCE: 11 pp.; Addn. to Fr. 1,296,586 (CA 58, 508g)
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

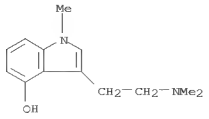
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 82654		19640327	FR 1962-883991	19620105
PRIORITY APPLN. INFO.:			FR	19620105

AB (N-Benzylindol-3-yl)diethylacetonitrile (I) is reduced to yield 1-benzyl-β,β-diethyltryptamine (II) which is treated with Na in NH3 to give the title compound Thus, 3 g. Na is added to 200 ml. liquid NH3 in the presence of Fe(NO3)3, a solution of 20.5 g. indolylacetonitrile in 20 ml. ether added, the mixture cooled to -50°, a solution of 16.6 g. PhCH2Cl in 20 ml. ether added in .apprx.10 min., and the mixture agitated 90 min. at <-50° to give 27.3 g. (N-benzylindol-3-yl)acetonitrile (III), m. 96° (EtOH). III (49.2 g.) is added to a mixture of 11.5 g. Na, 750 ml. liquid NH3, and Fe(NO3)3 at -50°, 42 ml. EtBr added in 30 min. at <-50°, and the temperature rises to room temperature to give 56.5 g. I. A solution of 55 g. I in 250 ml. ether is added to a mixture of 16 g. LiAlH4 in 100 ml. ether and the mixture refluxed .apprx.2 hrs. to give 46.5 g. II, benzoate, m. 159-60° (C6H6). A solution of 40 g. II in 40 ml. ether is added to liquid NH3, 6.7 g. Na added in portions, the mixture decolorized with NH4Cl, the NH3 allowed to evaporate, the residue taken up in diluted HCl, the mixture extracted with ether, the aqueous phase cooled and adjusted to pH 8, and the mixture fitered to give 20 g. β, β -diethyltryptamine, m. 124° (cyclohexane).
IT 97435-37-5
(Derived from data in the 7th Collective Formula Index (1962-1966))
RN 97435-37-5 CAPLUS
CN 1H-Indol-4-ol, 3-[2-(dimethylamino)ethyl]-1-methyl-, ethanedioate (1:1) (CA INDEX NAME)

CM 1

CRN 1465-16-3
CMF C13 H18 N2 O

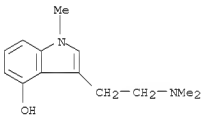
L4 ANSWER 176 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



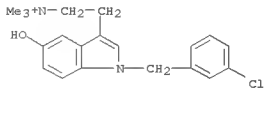
CM 2

CRN 144-62-7
CMF C2 H2 O4

L4 ANSWER 177 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1964:32382 CAPLUS
DOCUMENT NUMBER: 60:32382
ORIGINAL REFERENCE NO.: 60:5819g-h
TITLE: Enzymic oxidation of psilocine and other hydroxyindoles
AUTHOR(S): Blaschko, H.; Levine, W. G.
SOURCE: Biochemical Pharmacology (1960), 3(2), 168-9
CODEN: BCPCA6; ISSN: 0006-2952
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB The gill plates of Mytilus edulis contain an enzyme (hydroxyindole oxidase) which acts on 5-hydroxyindoles and related compds. with uptake of O. Rapid oxidation of psilocine, together with the development of deep blue color (absorption maximum at 625 mμ) suggest that in the enzymic reaction of 4-hydroxyin-dole an o-quinonoid compound is formed. N'-Methylpsilocine is oxidized to a blue product at a slower rate. Oxidation of the 5-hydroxy and the 6-hydroxy indoles may lead to the formation of p-quinones.
IT 1465-16-3, Indol-4-ol, 3-[2-(dimethylamino)ethyl]-1-methyl-
(oxidation by enzyme)
RN 1465-16-3 CAPLUS
CN 1H-Indol-4-ol, 3-[2-(dimethylamino)ethyl]-1-methyl- (CA INDEX NAME)



L4 ANSWER 178 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1963:463465 CAPLUS
DOCUMENT NUMBER: 59:63465
ORIGINAL REFERENCE NO.: 59:11775d-e
TITLE: Antagonists of 5-hydroxytryptamine
AUTHOR(S): Gyermek, L.
CORPORATE SOURCE: Geigy Res. Labs., Ardsley, NY
SOURCE: Proc. Intern. Union Physiol. Sci. Intern. Congr., 22nd. Leiden (1962), 1(Pt. 1), 28-36
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB cf. CA 56, 5366b; 57, 7838i. A survey based in part on the biol. actions of 5-hydroxytryptamine (I) antagonists at different receptors and in part on their chemical classification. A method for classification of antagonists of I according to their affinity for different peripheral receptor sites and methods for testing anti-I activity are described.
IT 856622-14-5, Bufoteninium bromide, N-(m-chlorobenzyl)-
(as 5-hydroxytrytpamine antagonist)
RN 856622-14-5 CAPLUS
CN 1H-Indole-3-ethanaminium, 1-[(3-chlorophenyl)methyl]-5-hydroxy-N,N,N-trimethyl-, bromide (1:1) (CA INDEX NAME)



L4 ANSWER 179 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1963:448276 CAPLUS
DOCUMENT NUMBER: 59:48276
ORIGINAL REFERENCE NO.: 59:8707e-h,8708a-h,8709a-b
TITLE: Indoles
INVENTOR(S): Shen, Tsung-Ying
PATENT ASSIGNEE(S): Merck & Co., Inc.
SOURCE: 48 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
BE 615395		19620921	BE	
FR M2079			FR	
GB 997638			GB	
PRIORITY APPLN. INFO.:			US	19610322

AB I showed antiinflammatory and antipyretic properties. 4-MeOC6H4NHNH2.HCl (II) (25 g.) and 20 g. AcCH2CHMeCO2Et (III) in 250 ml. 2N ethanolic HCl heated a few min. on a steam bath reacted exothermically, with separation of NH4Cl. The mixture refluxed 30 min., concentrated in vacuo to 80 ml., diluted with 400 ml. H2O, the whole extracted with Et2O, the extract washed with saturated NaHCO3 solution, then with H2O, dried, and evaporated gave a brown sirup, which, chromatographed on acid-washed alumina, and the column eluted twice with ether-petr. ether (1:9 and 1:1, resp.) afforded I (R = H, R1 = OMe, R2 = Me, R3 = OEt) (IV), b0.25, 150-3°; m. 53-5.5° after trituration with petr. ether. Similarly, 4-MeC6H4NHNH2.HCl and III gave the 5-Me analog of IV, m. 88-8.5°. A suspension of 2.3 g. 50% NaH in mineral oil and 250 ml. HCONMe2 (DMF) stirred (ice cooling) 20 min. under N, 8.64 g. IV added, the whole stirred 20 min., 8.6 g. 4-MeSC6H4COCl in 50 ml. DMF added in 30 min., the whole stirred 5 hrs. under N (ice cooling), poured into a mixture of 500 ml. Et2O, 5 ml. AcOH, and 1 l. ice-H2O, extracted three times with 300 ml. Et2O, and the exts. washed with H2O, dried, and evaporated gave a residue, which, chromatographed over 300 g. alumina and the column eluted with 10% Et2O in petr. ether gave I (R = COC6H4SMe-4, R1 = OMe, R2 = Me, R3 = OEt), yellow oil. I (R = R2 = H, R1 = R3= OMe) (V), NaH, and 4-ClC6H4COCl (VI) gave the N-COC6H4Cl-4 analog of V, m. 99-100° (C6H6-petr. ether). IV, NaH, and 2,4-Me(MeS)C6H3COCl gave the N-COC6H3Me(SMe)-2,4 analog of IV, oil. The N-Bz (yellow oil), N-COC6H4Cl-4, and N-COC6H4F-4 analogs of IV were similarly prepared A solution of 15 g. V and 0.2 g. Na in 60 ml. PhCH2OH was fractionated (Vigreux) in 4.5 hrs. to eliminate MeOH, and excess PhCH2OH distilled (60°/2.5 mm.) to give 18.6 g. I (R = R2 = H, R1 = OMe, R3 = OCH2Ph) (VII), which with NaH and BzCl gave the N-Bz analog (VIII) of VII, m. 91-2°. To 20

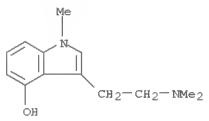
L4 ANSWER 179 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
ml. AcOEt contg. 1 drop AcOH was added 1.5 g. VIII, and the whole reduced (Pd-C) to give I (R = Bz, R1 = OMe, R2 = H, R3 = OH) (IX),m. 172-3° (aq. EtOH). The Na salts of I (R = H, R1 = OMe, R2 = Me, R3 = OCH2Ph) and VII reacted with 37 aromatic acid chlorides to give the N-substituted derivs. (no details given). To 22 g. I (R = R2 = H, R1 = OMe, R3 = OH) (X) in 200 ml. tetrahydrofuran (THF) was added 10 g. N,N-dicyclohexylcarbodiimide (XI), the soln. kept 2 hrs. at room temp., the sepd. N,N-dicyclohexylurea filtered off, and the filtrate evapd. in vacuo to give the anhydride of X, oil, to which was added 25 ml. tert-BuOH and 0.3 g. fused ZnCl2, and the whole refluxed 16 hrs., excess alc. distd. in vacuo, the residue dissolved in Et2O, the soln. washed with satd. NaHCO3, H2O, and satd. NaCl, dried, treated with C, and the solvent evapd. to give 93% crude I (R = R2 = H, R1 = OMe, R3 = OBu-tert) (XII), 18 g. of which with NaH and VI gave 4.5 g. N-COC6H4Cl-4 analog of XII, m. 103-4° (MeOH); the free acid (R3 = OH) m. 151° (aq. EtOH). I (R = H, R1 = OMe, R2 = Me, R3 = OH) (XIII) and XI gave the anhydride of XIII, oil, converted into the tert-Bu ester (XIV) of XIII, oil. The N-COC6H4SMe-4 analog of XIV, yellow oil, was pyrolyzed to give the N-COC6H4SMe-4 analog of XIII, m. 175-6° (aq. MeOH). The N-COC6H4Cl-4 analog of XIV was converted into the free acid. Isonicotinic acid, 4-HOC6H4NO2, and XI in THF gave p-nitrophenyl isonicotinate (XV), m. 126-7° (C6H6). To 10.5 g. V in 100 ml. DMF at 0° (N atm.) was added 2.5 g. of an emulsion of 50% NaH in mineral oil, the whole stirred 30 min., 11 g. XV in 50 ml. DMF added, the mixt. stirred under N 4 hrs. at 0°, and the whole stirred in N atm. overnight at room temp. Workup gave the N-isonicotinoyl analog of V. AcCH2CH2CO2H (XVI) and 4-O2NC6H4NHNH2.HCl gave a hydrazone, m. 175-9°, which with fused ZnCl2 in EtOH refluxed 18 hrs. gave I (R = R2 = H, R1 = NO2, R3 = OH), m. 238° (CHCl3; Me ester (XVII) m. 132-41° (C6H6). XVII (3 g.) in 300 ml. anhyd. MeOH reduced with H in the presence of Raney Ni in an autoclave gave the 5-NH2 analog (XVIII) of XVII, m. 144-5° (C6H6). XVIII (1 g.), 0.99 g. Br(CH2)4Br, and 0.975 g. anhyd. Na2CO3 was refluxed 6 hrs. under N, the mixt. filtered, the filtrate concd. in vacuo, dild. with Et2O, the Et2O washed with H2O, the dried soln. concd. in vacuo, the product absorbed on 6 g. silica gel, chromatographed on 30 g. silica gel, and the column eluted with petr. ether and ether to give I (R = R2 = H, R1 = pyrrolidino, R3 = OMe), m. 117-18° (C6H6-Skellysolve B), which was converted into its N-COC6H4Cl-4 analog, m. 62-4° (Et2O). The N-COC6H4Cl-4 analog (XIX) of XVII, m. 170-1°, and 37% H2CO in dimethoxyethane contg. AcOH was reduced with Raney Ni at room temp. at 2.8 kg./cm.2 to give I (R = COC6H4Cl-4, R1 = NMe2, R2 = H, R3 = OMe), oil. Similar redn. of XIX and Ac2O in AcOEt gave I (R = COC6H4Cl-4, R1 = NHAc, R2 = H, R3 = OMe), m. 176-7° (C6H6-Et2O), the NHAc group of which was converted with NaH and MeI into the NMeAc group. I (R = R2 = H, R1 = NO2, R3 = OCH2Ph), m. 147-8°, was converted into its N-COC6H4Cl-4

L4 ANSWER 179 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
analog, m. 166-7° (C6H6-Skellysolve B). Redn. (Pd-C) of the NO2 group from I (R = COC6H4Cl-4, R1 = NO2, R2 = Me, R3 = OMe) gave the corresponding NH2 compd., which, autoclaved with ethylene oxide, afforded I (R = COC6H4Cl-4, R1 = N(CH2CH2OH)2, R2 = Me, R3 = OMe) (XX). A soln. of 1 mole XX and 2 moles p-MeC6H4SO2Cl (XXI) in C5H5N stirred at 0° and the mixt. poured into H2O gave the 5-N(CH2CH2OSO2C6H4Me-4)2 analog of XX, which with MeNH2 in C6H6 3 days gave I (R = COC6H4Cl-4, R1 = 4-methyl-1-piperazinyl, R2 = H, R3 = OMe). I (R = COC6H4Cl-4, R1 = N(CH2CH2OH)2, R2 = H, R3 = OMe) (XXII) and XXI gave the 5-morpholino analog of XXII. NCC6H4NHNH2 and XVI gave I (R = R2 = H, R1 = CN, R3 = OH), which with CH2N2 gave the Me ester (XXIII). Reductive amination of the N-COC6H4Cl-4 analog of XXIII in EtOH gave I (R = COC6H4Cl-4, R1 = CH2NH2, R2 = H, R3 = OMe), converted into its 5-CH2NMe2 analog with MeI. AcCH2CHEtCO2Et and II gave I (R = H, R1 = OMe, R2 = Et, R3 = OEt), of which the N-COC6H4SMe-4 analog was prepd. Addn. of Al2(SO4)3.18H2O in H2O to IX in aq. Na2CO3 in N atm. gave the Al salt of IX. A mixt. of 500 ml. Et2O, 36.02 g. triphenylphosphonium bromide, and 94.36 ml. 1.1N BuLi was stirred under N; after 1 hr. 38 g. Et (2-methyl-5-methoxy-3-indolyl)glyoxylate in 260 ml. C6H6 and 500 ml. Et2O added, the whole stirred 1 hr., autoclaved at 65-70° 5 hrs., triturated with 500 ml. 33% C6H6 in Et2O, the soln. washed with H2O, the dried ext. concd. in vacuo, and the sirup chromatographed to give Et α-(2-methyl-5-methoxy-3-indolyl)acrylate, which was converted with 4-O2NC6H4O2CPh into its N-Bz analog (XXIV). To CH2I2, Zn-Cu, and iodine in THF was added XXIV, the mixt. refluxed 20 hrs. in N atm., and worked up to give Et α-(1-benzoyl-2-methyl-5-methoxy-3-indolyl)cyclopropylcarboxylate. I (R = R2 = H, R1 = OMe, R3 = NH2) was converted into its N-Bz analog, m. 219-20° (AcOEt), λ (EtOH) 267.5 mμ (E1% 406), 316 mμ (E1% 188), which with HNO2 gave IX. The following I (R1 = OMe) were prepd. (R, R2, R3, and m.p. given): COC6H4OMe-4, H, OH, 88-9°; COC6H4OMe-4, Me, OH, 65°; COC6H4Br-4, H, OMe, 106-7.5°; COC6H4NO2-4, H, OMe, 130-2°; COC6H4Cl-2, H, OMe, 91-3°; COC6H4Cl-3, H, OMe, 51-2°; COC6H4Ph-4, H, OMe, 101.5-3.0°; COC6H4OAc, H, OMe, 99-101°; 4-thiazolylcarboxy (sic), H, OEt, 76-82°; 2-thenoyl, H, OEt, -(oil); COC6H4Br-4, Me, tert-Bu, 103-5°; α-naphthoyl, H, OMe, -(oil); COC6H4OCH2Ph-4, H, OMe, 116-18°; COC6H4OH-4, H, OMe, 155-8°; COC6H4OH-2, H, OMe, -(oil); COC6H4F-2, H, OMe, 98-9°; 2-thenoyl, H, OH, 62°; β-naphthoyl, H, OMe, 120-4°; 5-chloro-2-thenoyl, H, OMe, -(oil); COC6H4CF3-4, H, OH, 169-71°; COC6H3(OMe)2-2,6, H, OMe, 139.5-41.0°; COC6H3Cl2-2,4, H, OMe, -(oil). Redn. (H, Ni) of Me (5-methoxy-3-indolyl)acetate gave its 2,3-dihydro analog, converted into Me (1-p-chlorobenzoyl-5-methoxy-2,3-dihydro-3-indolyl)acetate, which with 0.1N NaOH gave the free acid.

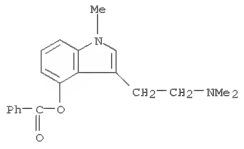
IT 1465-16-3P, Indol-4-ol, 3-[2-(dimethylamino)ethyl]-1-methyl-
1568-49-6P, Indol-4-ol, 3-[2-(dimethylamino)ethyl]-1-methyl-, benzoate (ester)
RL: PREP (Preparation)
(preparation of)

RN 1465-16-3 CAPLUS
CN 1H-Indol-4-ol, 3-[2-(dimethylamino)ethyl]-1-methyl- (CA INDEX NAME)

L4 ANSWER 179 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 1568-49-6 CAPLUS
CN 1H-Indol-4-ol, 3-[2-(dimethylamino)ethyl]-1-methyl-, 4-benzoate (CA INDEX NAME)

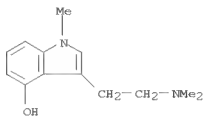


L4 ANSWER 180 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1963:448275 CAPLUS
DOCUMENT NUMBER: 59:48275
ORIGINAL REFERENCE NO.: 59:8707a-e
TITLE: Esters of indoles for treatment of mental disturbances
INVENTOR(S): Hofmann, Albert; Troxler, Franz
PATENT ASSIGNEE(S): Sandoz Ltd.
SOURCE: 3 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
PATENT INFORMATION:

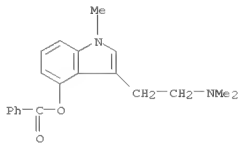
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3078214		19630219	US 1960-19204	19600401
CH 371116			CH	
CH 373382			CH	
DE 1156077			DE	
GB 941707			GB	
PRIORITY APPLN. INFO.:			CH	19580912

GI For diagram(s), see printed CA Issue.
AB Ia, where R is a lower alkyl or phenyl group, and R1 is a lower alkyl, are psychic-stimulant. 4-Hydroxy-N,N-dimethyltryptamine (I) 0.408 and N NaOH 2 was evaporated to dryness, the dry residue dissolved in 1,2-dimethoxyethane 15, and treated with a solution of BzCl 0.267 in 1,2-dimethoxyethane 5 parts. The mixture was shaken for 2 hrs., diluted with H2O, and extracted with CHCl3 to give Ia (R = Bz, R1 = Me) (Ib), m. 109-11°. Oxalyl chloride 9.6 was stirred dropwise into a solution at 0-3° of 4-benzyloxyindole 12 in ether 300 parts; after 0.5 hr. anhydrous HNMe2 20 parts was slowly added with ice-cooling, the mixture stirred for a few min. at room temperature, filtered, the precipitate washed with H2O, and the H2O-insol. portion dried in a high vacuum to give the dimethylamide of (4-benzyloxy-3-indolyl)glyoxylic acid (II), m. 148-50°. A solution of II 4 in absolute dioxane 80 was stirred dropwise into a solution of LiAlH4 5 in absolute dioxane 100 parts. The mixture was refluxed for 24 hrs., the complex and excess reducing agent were decomposed by treatment with MeOH and a saturated solution of Na2SO4, the mixture was filtered, and the filtrate shaken with a solution of tartaric acid and ether. The tartaric acid extract was made alkaline to phenolphthalein by addition of aqueous NaOH to give 4-benzyloxy-N,N-dimethyltryptamine (III), m. 119-21°. A solution of III 4 in MeOH 100 was shaken with Pd catalyst on Al2O3 2 parts and H. When the H uptake had ceased, the solution was filtered, the solvent

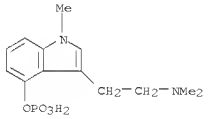
L4 ANSWER 180 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
evapd., and the residue sublimed in vacuo at 130° to give I, m. 173-6°. Also prepd. were the following Ia (R, R1, and m.p. given): Ac, Me, 92-5°; p-MeC6H4SO2, Me, 134-6°; MeNHCO, Me, 141-4°; SO2H, Me, 251-2°; Me3CCO, Me, 123-4°. Also prepd. were the 1-methyl analog of Ib, 69.5-71°, and 1-methyl-4-hydroxy-N,N-dimethyltryptamine, m. 125-7°.
IT 1465-16-3P, Indol-4-ol, 3-[2-(dimethylamino)ethyl]-1-methyl-
1568-49-6P, Indol-4-ol, 3-[2-(dimethylamino)ethyl]-1-methyl-, benzoate (ester)
RL: PREP (Preparation)
(preparation of)
RN 1465-16-3 CAPLUS
CN 1H-Indol-4-ol, 3-[2-(dimethylamino)ethyl]-1-methyl- (CA INDEX NAME)



RN 1568-49-6 CAPLUS
CN 1H-Indol-4-ol, 3-[2-(dimethylamino)ethyl]-1-methyl-, 4-benzoate (CA INDEX NAME)



L4 ANSWER 181 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1963:431339 CAPLUS
DOCUMENT NUMBER: 59:31339
ORIGINAL REFERENCE NO.: 59:5666d-f
TITLE: An electrographic study of psilocin and 4-methyl-α'-methyl-tryptamine (MP-809 Sandoz)
AUTHOR(S): Brodey, James F.; Steiner, Wm. G.; Himwich, Harold E.
CORPORATE SOURCE: Galesburg State Res. Hosp., Galesburg, IL
SOURCE: Journal of Pharmacology and Experimental Therapeutics (1963), 140, 8-18
CODEN: JPETAB; ISSN: 0022-3565
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB Rabbits were used for an electroencephalog. (EEG) study of psilocin, psilocybin, methylpsilocybin, and MP-809 and its 4-hydroxy analog. In rabbits with intact brains all 5 drugs produced EEG alert patterns (tracings are shown). When MP-809 was injected in rabbits with brain transected in a prepontine, precollicular plane only a slight lowering of amplitude was seen in the EEG pattern, and in those with brain transected in a postpontine, postcollicular plane the EEG pattern was nearly similar to the EEG arousal pattern of intact animals. Thus, a potent site of action of MP-809 was found in the midbrain, in a region possessing a strong adrenergic component. Results of similar expts. with psilocin excluded the midbrain and structures more rostrally situated as possible sites of action. Rabbits injected with psilocin and subsequently transected at the level of the first cervical vertebra continued to display EEG alerting, thus indicating a site of action below the midbrain but excluding the spinal cord. It appears unlikely that small changes in brain serotonin or in systemic blood pressure could account for the alterations in EEG pattern produced by these 2 drugs.
IT 18483-72-2, Indol-4-ol, 3-[2-(dimethylamino)ethyl]-1-methyl-, dihydrogen phosphate (ester)
(brain elec. activity response to)
RN 18483-72-2 CAPLUS
CN 1H-Indol-4-ol, 3-[2-(dimethylamino)ethyl]-1-methyl-, dihydrogen phosphate (ester) (9CI) (CA INDEX NAME)

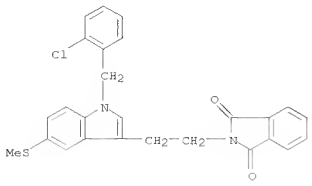


L4 ANSWER 182 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1963:403417 CAPLUS
DOCUMENT NUMBER: 59:3417
ORIGINAL REFERENCE NO.: 59:578a-d
TITLE: 5-Methylthio-1-benzyl tryptamines
INVENTOR(S): Archer, Sydney
PATENT ASSIGNEE(S): Sterling Drug Inc.
SOURCE: 10 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3074960		19630122	US 1960-10070	19600223
PRIORITY APPLN. INFO.:			US	19600223

AB HCl and EtSO3H salts of the title compds. can be used to lower blood pressure. p-MeSC6H4N(NO)CH2Ph (32 g.) is mixed with 400 ml. Cellosolve and 100 ml. H2O, 60 g. Zn dust added in 3 portions, 150 ml. HOAc added in 1.5 hrs. at 25-30°, and the mixture stirred 1 hr. The mixture is filtered, the filtrate evaporated to dryness, the residue made basic with NaOH, the mixture extracted with ether, and alc. HCl added to give 88% 1-benzyl-1-(4-methylthiophenyl)hydrazine-HCl (I). K phthalimide (205 g.) is mixed with 1 l. refluxing HCONMe2, 132 g. Cl(CH2)3COMe added in 1 hr., and the mixture refluxed 1 hr. and poured into 2 l. ice and H2O. The solid material is filtered off, dried, washed twice with 300 ml. boiling C6H6, the filtrate concentrated, and the residue cooled to give 102 g. 3-phthalimidopropyl methyl ketone (II). I (19 g.) and 24.1 g. II are dissolved in 200 ml. absolute alc., the solution refluxed 2 hrs., and the hot solution allowed to crystallize to give 19 g. solid, m. 150-4°; the cool filtrate gives 9 g. addnl. material, m. 140-7°. Both crops are boiled with 200 ml. H2O, the mixture filtered, and the solid washed with boiling H2O and recrystd. from dioxane and 50% alc. to give 65% 1-benzyl-2-methyl-5-methylthio-3-phthalimidoethylindole (III), m. 149-51°. III (18 g.) is dissolved in 50 ml. boiling Cellosolve, 7.8 ml. 85% N2H4.H2O added, the mixture refluxed 45 min., and 110 ml. H2O added. The mixture is acidified with dilute HCl, refluxed, filtered, and the filtrate cooled to approx. 5° to give 50 g. 1-benzyl-2-methyl-5-methylthiotryptamine-HCl, m. 198-200° (H2O, EtOH, MeOH-ether). Similarly prepared are (m.p. given) 1-(o-chlorobenzyl)-2-methyl-5-methylthiotryptamine-HCl, 197.8-9.8° (MeOH); 1-(p-chlorobenzyl)-2-methyl-5-methylthiotryptamine-HCl, 197.6-202.6° (MeOH); 1-(2,4-dichlorobenzyl)-2-methyl-5-methylthiotryptamine-HCl, 231.4-3.2°; 1-(3,4-dichlorobenzyl)-2-methyl-5-methylthiotryptamine-HCl, 227.6-30.6° (MeOH); 1-(3,4-methylenedioxybenzyl)-2-methyl-5-methylthiotryptamine-HCl, 236.4-8.2° (MeOH); and 1-(o-chlorobenzyl)-2-phenyl-5-methylthiotryptamine-EtSO3H, 192.6-9.8° (EtOH).
IT 97255-57-7P, Phthalimide, N-[2-[1-(o-chlorobenzyl)-5-(methylthio)indol-3-yl]ethyl]-
RL: PREP (Preparation)
(preparation of)

L4 ANSWER 182 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
RN 97255-57-7 CAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2-[2-[1-[(2-chlorophenyl)methyl]-5-(methylthio)-1H-indol-3-yl]ethyl]- (CA INDEX NAME)

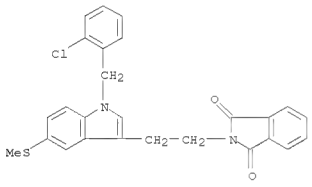


L4 ANSWER 183 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1962:469147 CAPLUS
DOCUMENT NUMBER: 57:69147
ORIGINAL REFERENCE NO.: 57:13726f-i
TITLE: Glycolic acid esters of N-substituted 2-pyrrolidylcarbinols
PATENT ASSIGNEE(S): Lakeside Laboratories, Inc.
SOURCE: 3 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 891569		19620314	GB 1960-16453	19600510
US 3051726		19620828	US 1959-840015	19590915
PRIORITY APPLN. INFO.:			US	19590915

AB Compds. of the general formula I where R is a lower alkyl or a phenyl-lower alkyl group, R1 is a phenyl, cyclohexyl, cyclopentyl, or 2-thienyl group, and R2 is a cyclopentyl or 2-thienyl group are prepared by treating II with R3O2CC(OH)R1R2 where R3 is a hydrocarbon group. The products have high antispasmodic activity as the base or a nontoxic salt thereof and the acid addition salts thereof are powerful central nervous system stimulants. Thus, 10.6 g. N-ethyl-2-pyrrolidylmethanol, 19.3 g. Me phenylcyclopentylglycolate, 1.0 g. NaOMe, and 200 cc. n-heptane were refluxed 4 hrs., while MeOH was separated in a Dean-Stark H2O separator. The catalyst was filtered off and the filtrate washed 3 times with 100 cc. H2O. The organic phase was separated and dried with MgSO4. The solvent was removed by distillation in vacuo (care should be taken not to heat the residue beyond 100° since rearrangement to the ring expanded N-ethyl-3-piperidyl phenylcyclopentylglycolate occurs at elevated temperature). The residual base was dissolved in 300 cc. ether and converted to the HCl salt with ethereal HCl and the solid isolated by filtration to give 84% product, m. 170-2°. After recrystn. from acetonitrile, the yield was 14 g. N-ethyl-2-pyrrolidylmethyl phenylcyclopentylglycolate-HCl.
IT 97255-57-7
(Derived from data in the 7th Collective Formula Index (1962-1966))
RN 97255-57-7 CAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2-[2-[1-[(2-chlorophenyl)methyl]-5-(methylthio)-1H-indol-3-yl]ethyl]- (CA INDEX NAME)

L4 ANSWER 183 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



L4 ANSWER 184 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1962:469146 CAPLUS
DOCUMENT NUMBER: 57:69146
ORIGINAL REFERENCE NO.: 57:13725e-i,13726a-f
TITLE: Tryptamine derivatives
PATENT ASSIGNEE(S): Sterling Drug Inc.
SOURCE: 12 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 895430		19620502	GB 1959-11367	19590403
PRIORITY APPLN. INFO.:			US	19580407

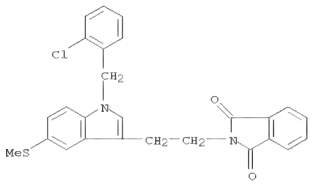
AB Subsubtituted 1-benzyl-5-methylmercaptotryptamine salts were prepared by condensation of a 1-benzyl-1-p-(methylmercaptophenyl)hydrazine salt with a 3-phthalimidopropyl ketone, followed by hydrolysis of the 1-benzyl-5-methylmercapto-3-(2-phthalimidoethyl)indole and treatment with acid to give the desired salt. The unsym. NH2NH2 derivs. were prepared (A) by reduction of the corresponding nitrosamine or (B) by reaction of p-MeSC6H4NHNH2 with the desired benzyl chloride derivative and Na in NH3 (Fe(NO3)3 catalyst). Thus, in A, 32 g. N-benzyl-4-methylmercapto-N-nitrosoaniline (from LiAlH4-reduction and nitrosation of the Schiff base from BzH and p-MeSC6H4NH2) in 400 cc. EtOCH2CH2OH and 150 cc. H2O was reduced with 60 g. Zn-dust and 150 cc. glacial AcOH over 1.5 hrs. at 25-30°, the mixture filtered, the filtrate evaporated, made alkaline with NaOH, extracted with Et2O, and treated with alc. HCl to give 88% unsym. benzyl-4-methylmercaptophenylhydrazine-HCl (I), m. 174-5°. In B, 1 crystal Fe(NO3)3, 3.1 g. Na, 17.2 g. p-MeSC6H4NHNH2 and 17 g. PhCH2Cl were successively added to 250 cc. NH3, as the intermediate reactions came to completion. After standing overnight, the mixture was evaporated, treated with EtOH, then with H2O and Et2O, separated, the Et2O layer washed and treated with alc. HCl to give 72% I. Other prepns. by method A gave unsym. 2-chlorobenzyl-4-methylmercaptophenylhydrazine-HCl (II), m. 188-90° (46%) from o-ClC6H4CHO; unsym. 4-methylbenzyl-4-methylmercaptophenylhydrazine-HCl (III), m. 156-63° (37%) from p-MeC6H4CHO; and unsym. 3,4-methylenedioxybenzyl-4-methylmercaptophenylhydrazine-HCl (IV) (76%) from 3,4-CH2O2C6H3CHO. Other prepns. by B gave II (88%) from o-ClC6H4CH2Cl; unsym. 3,4-dichlorobenzyl-4-methylmercaptophenylhydrazine-HCl (V), m. 152-4° (61%) from 3,4-Cl2C6H3CH2Cl; unsym. 2,4-dichlorobenzyl-4-methylmercaptophenylhydrazine-HCl (VI) (54%) from 2,4-Cl2C6H3CH2Cl and unsym. 4-chlorobenzyl-4-methylmercaptophenylhydrazine-HCl (VII), m. 166-8° (42%) from p-ClC6H4CH2Cl. γ-Phthalimidobutyraldehyde (VIII) (oil) was prepared in 80% yield by addition of 75 g. γ-phthalimidobutyronitrile to a HCl-saturated suspension of 106 g. SnCl2 in 900 ml. anhydrous Et2O. The intermediate stannic aldimonium chloride (96%) was decomposed by boiling in H2O, extracted with Et2O.

L4 ANSWER 184 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
dried, and evapd. 3-Phthalimidopropyl methyl ketone (IX) was obtained by dropwise addn. of 123 g. ClCH2CH2CH2COMe to 205 g. K phthalimide in 1 l. refluxing HCON(Me)2 over 1 hr., refluxing an addnl. hr., and the mixt. then poured into 2 l. ice and H2O, to yield 102 g. IX after extn. with hot
C6H6. 3-Phthalimidopropyl phenyl ketone (X), m. 125-30° (32%), was prepd. by refluxing 16 g. γ-phthalimidobutyroyl chloride in 100 ml. C6H6 as 16 g. anhyd. AlCl3 was added over 10 min., the mixt. refluxed an addnl. 2 hrs., cooled, treated with 100 ml. 1:3 HCl, the excess C6H6 distd., and the solidified product recrystd. from 50%, then 95% EtOH. I (19 g.) and 24.1 g. IX in 200 ml. abs. EtOH were refluxed 2 hrs., the ppt.
filtered off, washed with hot H2O, and recrystd. from dioxane and 50% EtOH
to give a 65% yield of 1-benzyl-2-methyl-5-methylmercapto-3-phthalimidoethylindole (XI), m. 149-51°. XI (18 g.) in 50 ml. boiling EtOCH2CH2OH, was hydrolyzed with 7.8 ml. 85% NH2NH2.H2O by refluxing 45 min. The mixt. was dild. with H2O, acidified with HCl, boiled, filtered, cooled, filtered, and the product recrystd. successively
from H2O, EtOH, and MeOH-Et2O to give 5.0 g. 1-benzyl-2-methyl-5-methylmercaptotryptamine-HCl, m. 198-200°. Similarly, II and IX gave 93%
1-(2-chlorobenzyl)-2-methyl-5-methylmercapto-3-phthalimidoethylindole, m. 165-7° (EtOCH2CH2OH), which was hydrolyzed to 1-(2-chlorobenzyl)-2-methyl-5-methylmercaptotryptamine-HCl, m. 197.8-9.8° (MeOH); VII and IX gave 90%
1-(4-chlorobenzyl)-2-methyl-5-methylmercapto-3-phthalimidoethylindole, m. 150-2° (EtOCH2CH2OH), hydrolyzed to
1-(4-chlorobenzyl)-2-methyl-5-methylmercaptotryptamine-HCl, m. 197.6-202.6° (MeOH); VI and IX gave 94%

1-(2,4-dichlorobenzyl)-2-methyl-5-methylmercapto-3-phthalimidoethylindole, m. 160-1° (EtOCH2CH2OH), hydrolyzed to
1-(2,4-dichlorobenzyl)-2-methyl-5-methylmercaptotryptamine-HCl, m. 231.4-3.2°; V and IX gave 90%

1-(3,4-dichlorobenzyl)-2-methyl-5-methylmercapto-3-phthalimidoethylindole, m. 171-3° (EtOCH2CH2OH), hydrolyzed to
1-(3,4-dichlorobenzyl)-2-methyl-5-methylmercaptotryptamine-HCl, m. 227.6-30.6° (MeOH); IV and IX gave 54%
1-(3,4-methylenedioxybenzyl)-2-methyl-5-methylmercapto-3-phthalimidoethylindole, m. 145-7° (EtOCH2CH2OH), hydrolyzed to
1-(3,4-methylenedioxybenzyl)-2-methyl-5-methylmercaptotryptamine-HCl, m. 236.4-8.2° (MeOH); II and X gave
1-(2-chlorobenzyl)-2-phenyl-5-methylmercapto-3-phthalimidoethylindole, m. 195-8° (EtOCH2CH2OH), hydrolyzed and acidified with EtSO3H to give
1-(2-chlorobenzyl)-2-phenyl-5-methylmercaptotryptamine-EtSO3H, m. 192.6-9.8° (EtOH); and II and VIII gave
1-(2-chlorobenzyl)-5-methylmercapto-3-phthalimidoethylindole, m. 137-9° (EtOCH2CH2OH), hydrolyzed to
1-(2-chlorobenzyl)-5-methylmercaptotryptamine-HCl, m. 188-96.2° (MeOH-Et2O). The tryptamine derivs. of the invention have hypotensive activity. Pharmacol. and toxicity data are given.

L4 ANSWER 184 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
IT 97255-57-7P, Phthalimide, N-[2-[1-(o-chlorobenzyl)-5-(methylthio)indol-3-yl]ethyl]-
RL: PREP (Preparation)
(preparation of)
RN 97255-57-7 CAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2-[2-[1-[(2-chlorophenyl)methyl]-5-(methylthio)-1H-indol-3-yl]ethyl]- (CA INDEX NAME)



L4 ANSWER 185 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1962:449171 CAPLUS
DOCUMENT NUMBER: 57:49171
ORIGINAL REFERENCE NO.: 57:9785b-i,9786a-i,9787a-b
TITLE: Research in the indole series. VI. Some substituted tryptamines
AUTHOR(S): Julia, Marc; Igolen, Jean; Igolen, Hanne
SOURCE: Bulletin de la Societe Chimique de France (1962) 1060-8
CODEN: BSCFAS; ISSN: 0037-8968
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
GI For diagram(s), see printed CA Issue.
AB A series of substituted 3-indolylacetic acids was prepared from secondary aromatic amines and 4-bromo-3-oxo esters; the acids were converted via the
amides or the alcs. and bromides to the corresponding tryptamines. PhNH2 (279 g.) and 185 g. PhCH2CH2Br (I) in 500 cc. dry xylene refluxed 12 h. gave 151 g. PhNHCH2CH2Ph, b0.4 155-60°. p-MeOC6H4NH2 (295 g.) and 148 g. I in 350 cc. xylene gave similarly 95 g. unreacted p-MeOC6H4NH2 and
135 g. yellow-green oily p-MeOC6H4NHCH2CH2Ph (II), b0.1 170-5°; HCl salt m. 127-8° (EtOH-Et2O). p-MeOC6H4NH2 (3 mol) and Ph(CH2)3Br gave p-MeOC6H4NH(CH2)3Ph, b0.2 180-90°, needles, m. 44° (EtOH); HCl salt, plates, m. 158-9° (H2O); HBr salt, needles, 129° (EtOH). 4-Aminoveratrole gave similarly 89% 3,4-(MeO)2C6H3NHCH2Ph, b0.2 170-2° [HCl salt, plates, m. 142-5° (iso-PrOH)], and 3,4-(MeO)2C6H3NHC6H4OMe-p, 72%, needles, 86.5° (EtOH); HCl salt m. 188° (EtOH). By the direct bromination of the corresponding oxoesters were prepared the following compds.: MeCHBrCOCH2CO2Et, 73%, b0.25 82-5°; BrCH2COCHMeCO2Et, 65%, b0.2 80-5°; BrCH2COCMe2CO2Et, 95%, -(crude); BrCH2COCH(OCEt)CO2Et, 66, b0.1 69-72°. II (209 g.) and 96.1 g. BrCH2COCH2CO2Et (III) diluted with cooling with 250 cc. dry Et2O, filtered from 138 g. II.HBr, evaporated, the residue refluxed 15 h. with 63 g. ZnCl2 in 250 cc. absolute EtOH,
evaporated, treated with H2O and C6H6, and the organic layer worked up gave 113
g. Et ester (IV) of 1-phenethyl-5-methoxy-3-indolylacetic acid (V), b0.1 215-20°, yellow-orange oil, which refluxed 1-2 h. with KOHMeOH yielded 73% V, m. 129-31° (aqueous EtOH); method A. III (50 g.) and 100 g. p-MeOC6H4NHCH2Ph in 300 cc. absolute EtOH refluxed 40 h., evaporated, the
residue treated with H2O and Et2O, and the Et2O phase worked up yielded 44.7 g. Et ester (VI) of 1-benzyl-5-methoxy-3-indolylacetic acid (VII), b0.15 180-5°, yellow-orange oil, which saponified in the usual manner yielded 84% VII, m. 128-9°; method B. VI was also obtained in 64% yield by method A. In the same manner were prepared the following VIII (X,
R1, R2, R3, R4, method, % yield of Et ester, b.p./mm. or m.p. of Et ester,
% yield of free VIII, m.p., and m.p. of corresponding skatole given): H, PhCH2CH2, H, H, H, A, 68, 204-8°/0.15, 90, 103° (C6H6) (IX),
-; 5-MeO, p-MeOC6H4CH2, H, H, H, A, 55 (47% by method B), 220-8°/0.05 [m. 50-2° (EtOH)], 85, 116-18° (EtOH)
(X), -; 5-MeO, Ph(CH2)3, H, H, H, A, 72, 230-5°/0.4 (XI), 50, 86° (Et2O-petr. ether) (XII), -; 5,6-(MeO)2, PhCH2, H, H, H, A, 69, 215-25°/0.15 (m. 64-5°), 82, 141° (EtOH) (XIII), 81.5°; 5,6-(MeO)2, p-MeO-C6H4CH2, H, H, H, B, 82, 86-5.87°

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(EtOH), 100, 127° (EtOH) (XIV), 102° (EtOH); 5-MeO, PhCH2, Me, H, H, A, 48, 201-5°/0.01 (m. 70.5-1.5°), 82, 173-4° (EtOH) (XV), -; 5-MeO, PhCH2, H, Me, H, A, 20, 200-10°/0.6, 45, 108° (Et2O-petr. ether) (XVI), -; 5-MeO, PhCH2, H, Me, Me, A, 65, 210-30°/0.25 (m. 80°), 70, 151-2° (EtOH) (XVII), 58° (EtOH); H, PhCH2, Me, Me, H, A, 26 (43% by method B), 178-81°/0.05, 63, 160-2° (aq. EtOH) (XVIII), --; 5-MeO, PhCH2, Me, Me, H, A, 41 (30% by method B), 190-3°/0.1 [m. 80-1° (MeOH)], 89, 148-51° (EtOH), --; 5-MeO, p-MeOC6H4CH2, Me, Me, H, A, 28, 208-12°/0.1, 76, 159-60° (EtOH), --. IV (8 g.) in 80 cc. MeOH (satd. with NH3) heated 24 h. in a sealed tube at 105°, filtered, and evapd. gave 5.2 g. 1-phenethyl-5-methoxy-3-indolylacetamide (XIX), needles, m. 147-8° (abs. EtOH); method D. The amides were also prepd. by heating the acid with urea; method C. XI (13.6 g.) in 200 cc. CHCl3 and 4.26 g. Et3N cooled to -5°, treated rapidly with 4.58 g. ClCO2Et, stirred 15 min., treated 5 min. with a stream of dry NH3, kept 1 h. at room temp., dild. with H2O, and the CHC13 layer worked up gave 7.7 g. amide of XII, needles, m. 124-5°; method E. Similarly were prepd. the amides of the following compds. (m.p., % yield, and method given): IX,
146-7° (C6H6), 70, C; VII, 156-7°, 70, C (69% by method E); X, 138.5-9.5° (EtOH), 81, C (66% by method D); V, 147-8° (EtOH), 74, D; XII, 1245° (C6H6-petr. ether), 57, E; XIII, 167-8° (EtOH), 67, D; XIV, 166° (EtOH), 95, D; XV, 129-30° (Et2O-petr. ether), 70, C; XVI, 180.5-82° (EtOH), 39, C; XVII, 183° (EtOH), 81, E; XVIII, 163-4° (EtOH), 70, C. By the same methods were prepd. the dimethylamides of the following acids (same data given): IX, -- (oil), 80, E [picrate m. 84° (Et2O-petr. ether)]; V, --, 94, E; XII, --, 75, E [picrate m. 97° (Et2O-petr. ether)]. The diethylamides of the following acids (same data
given): IX, 63-4° (Et2O), 50, E [picrate m. 104-5° (Et2O-Et2O)]; V,--, 85, E [picrate m. 103-4° (Et2O-Et2O)]; XII, --, 75, E [picrate m. 117° (Et2O-petr. ether)]. X (0.5 g.) and 0.17 g. PhNH2 in 5 cc. CH2Cl2 treated with 0.33 g. dicyclohexyldicarbodiimide, kept 16 h. at room temp., filtered from 0.26 g. dicyclohexylurea, treated with AcOH to ppt. an addnl. 0.08 g. urea, and the filtrate worked up gave 0.4 g. anilide of X, m. 133° (aq. EtOH). VI (28 g.) in 100 cc. Et2O added gradually at 0° to 4 g. LiAlH4 in 900 cc. Et2O, refluxed 3 h., and worked up gave 21 g. 1-benzyl-3-(2-hydroxyethyl)-5-methoxyindole
(XX), b0.05 172-8°, m. 47-8° (Et2O-petr. ether); 3,5-dinitrobenzoate, red crystals, m. 158-61° (EtOAc). Similarly were prepd. the 3-(2-HOCH2CH2) analogs of the following compds. (b.p./mm. and % yield given): X, 185-95°/0.05, 79 [3,5-dinitrobenzoate m. 169-71° (EtOH-Et2O)]; XIII, 95-6° (Et2O-petr. ether), 91; V, 195°/0.1, 78 [picrate m. 79-81° (C6H6-petr. ether)]; XVIII, 89°, 65; XIV, 81-2° (Et2O), 80. XX (3 g.) in 140 cc. dry Et2O treated dropwise at 0° with 1.8 g. PBr3 in 30 cc. Et2O, kept 16 h. at room temp., decanted, the residual resin extd. with Et2O, and the
ext. worked up gave 2.5 g. 1-benzyl-3(2-bromoethyl)-5-methoxyindole, prisms, m. 94-5° (abs. EtOH). Similarly were prepd. the 3-(2-BrCH2CH2) analogs of the following compds. (m.p. and % yield given): V, --, 45; XIII, 77-8° (EtOH), 55; XVIII, 89°, 65. XIX (5.5 g.) and 1.4 g. LiAlH4 in 500 cc. Et2O refluxed 66 h. and worked up in the usual manner yielded 1-phenethyl-5-methoxy-3-(2-aminoethyl)indole-HCl, m.

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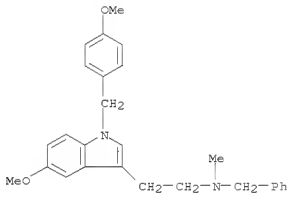
136-8° (abs. EtOH). Similarly were prepd. the 3-(2-H2NCH2CH2) analog HCl salts of the following compds. (m.p. and % yield given): IX (XXI), 128-30° (EtOAc), 72; VII, 156-9° (EtOH-Et2O), 74 [picrate m. 167-8° (EtOH)]; X, 162-4° (EtOH-Et2O), 71; V, 136-8° (EtOH), 74; XII, 124-6° (EtOH-Et2O), 70; XIII, 95-6° (Et2O-petr. ether), 91; XIV, -- (hygroscopic), 42 [picrate m. 190-3° (EtOH)]; XV (XXII), 229-31° (EtOH), 52; XVI, 168-73° (EtOH-Et2O), 68; XVII, 228-32° (EtOH-Et2O), 73; XVIII, 78-80° (iso-PrOH), 50. The 3-(2-Me2NCH2CH2) analog HCl salts of the following compds. (same data given): IX (XXIII), 199-200° (EtOH), 58; VII, 189-91° (EtOH), 50; X, 174-6° (EtOH), 55; V (XXIIIA), 122-4° (iso-PrOH-Et2O), 60 (44) [methiodide m. 194-6° (EtOH), 75%]; XII, 143-5° (EtOH-Et2O), 66; XIII, -- (hygroscopic), 35 [picrate m. 172-4° (EtOAc)]; XVIII, 193-4° (EtOH), 86. In the same manner were prepd. the 3-(Et2NCH2CH2) analog HCl salts of the following compds. (same data given): IX (XXIV), 104-5° (EtOH-Et2O), 72; X, --, 65 [picrate m. 88-9° (C6H6)]; V (XXV), 99-100° (EtOH-Et2O), 60; XII, -- (hygroscopic), 45; XVIII, 167-9° (EtOH-iso-Pr2O), 30. 1-Benzyl-5-methoxy-3-(2-piperidinoethyl)indole-HCl, m. 202-4° (iso-PrOH), was obtained in 60% yield by heating the corresponding 3-(2-BrCH2CH2) analog (2 g.) with 1.5 g. piperidine in 65 cc. MeOH 15 h. in a sealed tube at 100°. Similarly was prepd. the 3-(2-piperidinoethyl) analog HCl salt of X, m. 180-3° (iso-PrOH), in 56% yield. VI (1.62 g.) and 0.32 g. N2H4.H2O in 20 cc. abs. EtOH refluxed 20 h., cooled, and filtered yielded 1.1 g. hydrazide of VII, m. 140° (EtOH). Similarly were prepd. the hydrazides of the following acids (m.p. and % yield given): IX, 128-30° (EtOH), 50; X, 144-6° (EtOH), 61; V, 117-18° (EtOH), 68; XIII, 173.5° (EtOH), 63; XIV, 179-82° (EtOH), 82. VII (5.1 g.) and 3.1 g. NaOAc in 10 cc. Ac2O refluxed 18 h., cooled, worked up, and the crude product (1.85 g.) chromatographed on Al2O3 gave 409 mg. 1-benzyl-5-methoxy-3-acetonylindole, m. 62.5-3.5° (Et2O-petr. ether); 2,4-dinitrophenylhydrazone, orange prisms, m. 62.5-63° (EtOAc); oxime (XXVI), prisms, m. 98.5-9.5° (C6H6-petr. ether). Similarly was prepd. the 3-acetonyl analog of XIII in 56% yield; 2,4-dinitrophenylhydrazone m. 186° (EtOH). In the same manner as XXI was prepd. the 3-(2-H2NCHMeCH2) analog HCl salt of VII, 71%, m. 190-2° (EtOH-Et2O), and the 3-(PhCH2NMeCH2CH2) analog HCl salt of X, 32%, m. 160° (EtOH-Et2O). The antiserotonin activities of XXI, XXIII, XXIIIA, XXIV, and XXV were detd. XXII did not show any tuberculostatic activity in vivo at the max. tolerable dose.

IT 2297-76-9
(Derived from data in the 7th Collective Formula Index (1962-1966))

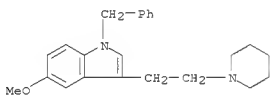
RN 2297-76-9 CAPLUS
CN 1H-Indole-3-ethanamine, N,N-diethyl-5-methoxy-1-(phenylmethyl)-, hydrochloride (1:1) (CA INDEX NAME)

L4 ANSWER 185 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



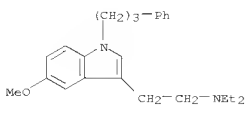
● HCl

RN 1947-67-7 CAPLUS
CN 1H-Indole, 5-methoxy-1-(phenylmethyl)-3-[2-(1-piperidinyl)ethyl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

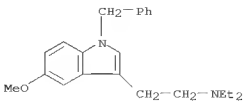
RN 1947-73-5 CAPLUS
CN 1H-Indole-3-ethanamine, N,N-diethyl-5-methoxy-1-(3-phenylpropyl)-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 1947-74-6 CAPLUS

L4 ANSWER 185 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

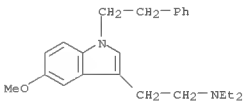


● HCl

IT 1947-66-6P, Indole, 3-[2-(benzylmethylamino)ethyl]-5-methoxy-1-(p-methoxybenzyl)-, hydrochloride 1947-67-7P, Indole, 1-benzyl-5-methoxy-3-(2-piperidinoethyl)-, hydrochloride 1947-73-5P, Indole, 3-[2-(diethylamino)ethyl]-5-methoxy-1-(3-phenylpropyl)-, hydrochloride 1947-74-6P, Indole, 3-[2-(diethylamino)ethyl]-5-methoxy-1-phenethyl-, hydrochloride 1947-77-9P, Indole, 3-[2-(dimethylamino)ethyl]-5-methoxy-1-(3-phenylpropyl)-, hydrochloride 1947-79-1P, Indole, 3-[2-(dimethylamino)ethyl]-5-methoxy-1-phenethyl-, hydrochloride 1947-80-4P, Indole, 1-benzyl-3-[2-(dimethylamino)ethyl]-5-methoxy-, hydrochloride 2297-74-7P, Indole, 3-[2-(dimethylamino)ethyl]-5-methoxy-1-(p-methoxybenzyl)-, hydrochloride 96113-44-9P, Indole, 1-benzyl-3-[2-(dimethylamino)ethyl]-5,6-dimethoxy-, picrate 96310-73-5P, Indole, 3-[2-(diethylamino)ethyl]-5-methoxy-1-(p-methoxybenzyl)-, picrate 104978-46-3P, Indole, 5-methoxy-1-(p-methoxybenzyl)-3-(2-morpholinoethyl)-, hydrochloride 106503-89-3P, Indole, 3-[2-(dimethylamino)ethyl]-5-methoxy-1-phenethyl-, methiodide
RL: PREP (Preparation)
(preparation of)

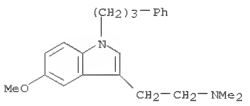
RN 1947-66-6 CAPLUS
CN 1H-Indole-3-ethanamine, 5-methoxy-1-[(4-methoxyphenyl)methyl]-N-methyl-N-(phenylmethyl)-, hydrochloride (1:1) (CA INDEX NAME)

L4 ANSWER 185 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
CN 1H-Indole-3-ethanamine, N,N-diethyl-5-methoxy-1-(2-phenylethyl)-, hydrochloride (1:1) (CA INDEX NAME)



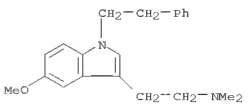
● HCl

RN 1947-77-9 CAPLUS
CN 1H-Indole-3-ethanamine, 5-methoxy-N,N-dimethyl-1-(3-phenylpropyl)-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

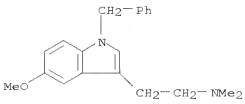
RN 1947-79-1 CAPLUS
CN 1H-Indole-3-ethanamine, 5-methoxy-N,N-dimethyl-1-(2-phenylethyl)-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

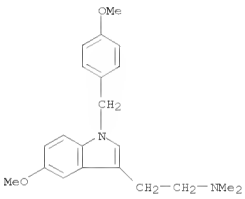
RN 1947-80-4 CAPLUS
CN 1H-Indole-3-ethanamine, 5-methoxy-N,N-dimethyl-1-(phenylmethyl)-, hydrochloride (1:1) (CA INDEX NAME)

L4 ANSWER 185 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



● HCl

RN 2297-74-7 CAPLUS
CN 1H-Indole-3-ethanamine, 5-methoxy-1-[(4-methoxyphenyl)methyl]-N,N-dimethyl-, hydrochloride (1:1) (CA INDEX NAME)



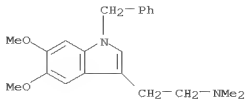
● HCl

RN 96113-44-9 CAPLUS
CN 1H-Indole-3-ethanamine, 5,6-dimethoxy-N,N-dimethyl-1-(phenylmethyl)-, compd. with 2,4,6-trinitrophenol (1:1) (CA INDEX NAME)

CM 1

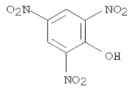
CRN 96113-43-8
CMF C21 H26 N2 O2

L4 ANSWER 185 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



CM 2

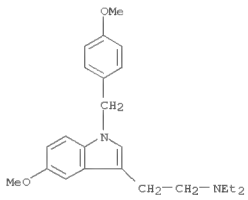
CRN 88-89-1
CMF C6 H3 N3 O7



RN 96310-73-5 CAPLUS
CN 1H-Indole-3-ethanamine, N,N-diethyl-5-methoxy-1-[(4-methoxyphenyl)methyl]-, compd. with 2,4,6-trinitrophenol (1:1) (CA INDEX NAME)

CM 1

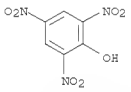
CRN 96310-72-4
CMF C23 H30 N2 O2



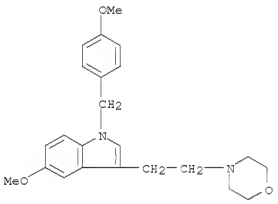
CM 2

L4 ANSWER 185 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

CRN 88-89-1
CMF C6 H3 N3 O7

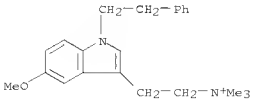


RN 104978-46-3 CAPLUS
CN 1H-Indole, 5-methoxy-1-[(4-methoxyphenyl)methyl]-3-[2-(4-morpholinyl)ethyl]-, hydrochloride (1:?) (CA INDEX NAME)



●x HCl

RN 106503-89-3 CAPLUS
CN 1H-Indole-3-ethanaminium, 5-methoxy-N,N,N-trimethyl-1-(2-phenylethyl)-, iodide (1:1) (CA INDEX NAME)



● I⁻

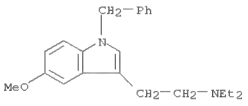
L4 ANSWER 185 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

L4 ANSWER 186 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1962:443170 CAPLUS
DOCUMENT NUMBER: 57:49170
ORIGINAL REFERENCE NO.: 57:9784b-i,9785a-b
TITLE: Research in the indole series. V. Preparation of 3-indolylacetamides and tryptamines
AUTHOR(S): Julia, Marc; Igolen, Jean
SOURCE: Bulletin de la Societe Chimique de France (1962) 1056-60
CODEN: BSCFAS; ISSN: 0037-8968
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
OTHER SOURCE(S): CASREACT 57:49170

AB A series of 3-indolylacetamides was prepared from 4-bromoacetoacetamides with secondary aromatic amines and reduced to the corresponding tryptamines, p-MeOC6H4CH:NPh in AcOEt hydrogenated over PtO2 yielded p-MeOC6H4CH2NHPh (I), b15 206-8°, m. 48-9°. p-MeOC6H4CH:NC6H4OMe-p, m. 142° (EtOH), in EtOAc hydrogenated over Raney Ni at 75°/150 atmospheric yielded 90% p-MeOC6H4CH2NHC6H4OMe-p (II), plates, m. 94-5° (EtOH). 3,4-(EtO)2C6H3CH:NC6H4OMe-p, m. 96-8° (EtOH), in EtOAc hydrogenated under ambient conditions over PtO2 yielded 80% 3,4-(EtO)2C6H3CH2NHC6H4OMe-p (III), b0.15 210-12°, m. 54-5° (petr. ether). N-Piperonylidene-p-anisidine, m. 119-20° (EtOH), gave similarly N-piperonyl-p-anisidine (IV), m. 76-8° (EtOH). AcCH2CONEt2 (15.7 g.) treated with 16.0 g. Br in 90 cc. CHCl3 gave 20 g. crude BrCH2COCH2CONEt2 (V), yellow oil, which decomposed rapidly at 100° and was used without purification. BrCH2COCH2CONHPh (VI) (5.12 g.) in 12 cc. HCONMe2 and 4.28 g. MeNHPh in 6 cc. HCONMe2 kept overnight, diluted with 300 cc. H2O, extracted with C6H6, the aqueous layer basified, and extracted with Et2O gave 1.42 g. MeNHPh; the C6H6 phase worked up yielded 4.15 g. p-MeC6H4NHCH2COCH2CONHPh (VII), m. 90-1° (80% EtOH). VII (4 g.) and 4 g. ZnCl2 heated 45 min. at 100-10°, cooled, dissolved with heating in 40 cc. 4N HCl, extracted with C6H6, and the extract worked up gave 3.4 g. crystals, m. 92-112°, which chromatographed from C6H6 on Al2O3 yielded 2.65 g. 1-methyl-3-indolylacetamide (VIII), needles, m. 111-12° (80% EtOH); method A. VI (5.12 g.), 4.28 g. MeNHPh, and 90 cc. absolute EtOH refluxed 18 hrs., concentrated, diluted with 200 cc. H2O, extracted with C6H6, and the aqueous phase worked up yielded 1.75 g. MeNHPh; the C6H6 extract yielded 1.8 g. (crude) VIII, m. 111-12°; method B. VIII (200 mg.) and 15 cc. 5N HCl refluxed 1.5 hrs., refrigerated overnight, and filtered gave 1-methyl-3-indolylacetic acid, m. 125-7° (H2O). Similarly were prepared the following compds. (appearance, m.p., acetoacetanilide, secondary amine, and % yields by methods A and B obtained given): 1-ethyl-3-indolylacetanilide (IX), prisms, 104-5° (70% EtOH), VI, EtNHPh, 3.1, 2.1; 1-benzyl-3-indolylacetanilide (X), needles, 127-8° (EtOH), VI, PhNHCH2Ph, 2.4, 1.5; 5-MeO derivative of X, --, 136-7° (70% EtOH), VI, p-MeOC6H4NHCH2Ph (XI), 1.1, 1.4; 5-PhCH2O derivative (XII) of VIII, --, 162-4° (C6H6), VI, p-PhCH2OC6H4NMePh, --, 4.5; 1-anisyl-3-indolylacetanilide (XIII), needles, 130-1° (absolute EtOH), VI, I, --, 2.3; 5-MeO derivative (XIV) of XIII, prisms, 134° (80% EtOH), VI, II, 5.2, 4.8; 1-(3,4-diethoxybenzyl)-5-methoxy-3-indolylacet anilide (XV), needles, 134-6° (MeOH), VI, III, --, 4.1; 1-piperonyl analog (XVI) of XV, needles, 158-9° (C6H6), VI, IV, --, 5.5; N,N-di-Et deriv. (XVII) of VIII, --, 80-1° (petr. ether), V, MeNHPh, 0.25, -- [picrate m. 124-6° (C6H6-petr. ether)]; N,N-di-Et deriv. (XVIII) of IX, yellow oil, --, V, EtNHPh, 6.7, -- [picrate, yellow-orange needles, m. 109-11° (C6H6-petr. ether)]; N,N-di-Et deriv. of X, prisms, 95-6° (60% EtOH), V, PhNHCH2Ph, 5.3, -- [PhCH2NPhCH2COCH2NEt2, 7.1 g., needles, m. 103-5° (abs. EtOH), was obtained as the intermediate]; 1-benzyl-5-methoxy-3-indolyl(N,N-diethyl)acetamide (XIX), -- (oil), --, V, XI, 12.1, -- [picrate, yellow needles, m. 133-5° (C6H6-petr. ether)]. X (1 g.), 0.25 g. LiAlH4, and 300 cc. Et2O refluxed 14 hrs., worked up, and the base isolated as the HCl salt gave 400 mg. 1-benzyl-3-(2-phenylaminoethyl)indole-HCl (XX), m. 136-8° (C6H6-petr. ether). XII (2.2 g.), 0.6, LiAlH4, and 1100 cc. Et2O refluxed 18 hrs. gave similarly 1.1 g. 5-PhCH2O deriv. of XX, m. 151-4° (isoPrOH). Powd. XIV (5 g.), 3 g. LiAlH4, and 1600 cc. dry Et2O refluxed 27 hrs., worked up, the yellow oily residue dissolved in Et2O, and treated with dry HCl gave 3.8 g. 1-anisyl-5-methoxy-3-(2-anilinoethyl)indole-HCl, m. 147-9° (abs. EtOH). Similarly were prepd. the following compds. (m.p. given): 1-anisyl-3-(2-anilinoethyl)indole-HCl, 151-3° (abs. EtOH)(needles); 1-piperonyl-5-methoxy-3-(2-anilinoethyl)indole-HCl (XXI), 172-5° (abs. EtOH) (needles); 1-[3,4-(EtO)2C6H3CH2] analog of XXI, 142-4° (iso-PrOH); 1-methyl-3-(2-diethylaminoethyl)indole-HCl (XXII), 203° (abs. EtOH) (needles); 1-Et homolog of XXII, 115-16° (iso-PrOH); 1-benzyl-5-methoxy-3-(2-diethylaminoethyl)indole-HCl, 135° (iso-PrOH).

IT 2297-76-9P, Indole, 1-benzyl-3-[2-(diethylamino)ethyl]-5-methoxy-, hydrochloride
RL: PREP (Preparation)
(preparation of)

RN 2297-76-9 CAPLUS
CN 1H-Indole-3-ethanamine, N,N-diethyl-5-methoxy-1-(phenylmethyl)-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

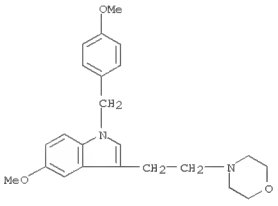
L4 ANSWER 187 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1960:112390 CAPLUS
DOCUMENT NUMBER: 54:112390
ORIGINAL REFERENCE NO.: 54:21486i,21487a-e
TITLE: Some substituted tryptamines and their pharmacological properties
AUTHOR(S): Julia, Marc; Igolen, Jean; Felix, Martine; Jacob, Joseph
CORPORATE SOURCE: Inst. Pasteur, Paris
SOURCE: Compt. rend. (1960), 250, 1741-3
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

GI For diagram(s), see printed CA Issue.

AB Tryptamines (I) were prepared from the corresponding β-keto-γ-bromo esters and secondary aromatic amines by using Bischler's indole synthesis followed by amidation or by converting tryptophol to the halide and amine, (R, R', X, Y, R'', A, A', n, and m.p. of the HCl salt given): H, H, H, H, H, H, H, 1, 156-9°; H, H, Me, H, H, H, H, 1, 229-31°; H, H, H, OMe, H, H, H, 1, hygroscopic; H, H, H, H, OMe, H, H, 1, 162-4°; H, H, H, H, H, Me, H, 1, 168-73°; H, H, H, H, H, Me, Me, 1, 228-32°; H, H, H, OMe, OMe, H, H, 1, hygroscopic; H, H, Me, H, H, Me, H, 1, 178-80°; H, H, H, H, H, H, H, 2, 136-8°; H, H, H, H, H, H, H, 3, 124-6°; Me, Me, H, H, H, H, 1, 189-91°; Me, Me, H, OMe, H, H, 1, hygroscopic; Me, Me, H, H, OMe, H, H, 1, 174-6°; Me, Me, Me, H, H, Me, H, 1, 193-4°; Me, Me, H, H, H, H, 2, 122-4°; Me, Me, H, H, H, H, H, 3, 143-5°; Et, Et, H, H, H, H, 1, 135°; Et, Et, Me, H, H, Me, H, 1, 167-9°; R and R' are pentamethylene, H, H, H, H, H, 1, 202-4°; R and R' are 3-oxapentamethylene, H, H, OMe, H, H, 1, 180-3°; and Me, CH2Ph, H, H, OMe, H, H, 1, 159-60°. Their abilities to enhance or diminish the effects of serotonin (II) (5-hydroxytryptamine) were then compared. The effect on rat uterus varied from zero to a 150-fold elimination with activity of II. All had a similar antagonism to II, induced hypertension in the dog, but that caused by adrenaline was scarcely affected by doses inhibiting 50% of the II activity. A general effect was a transient hypotension and moderate bradycardia. With mice, the toxicities were similar to that of benanserine-HCl with a general depressant action, sedation, and reduction of motor activity at lower doses. The primary derivs. had least, and the tertiary most, thermoanalgesic activity. In general, however, the primary amines were more active than the tertiary.

IT 2639-42-1
(Derived from data in the 6th Collective Formula Index (1957-1961))

RN 2639-42-1 CAPLUS
CN 1H-Indole, 5-methoxy-1-[(4-methoxyphenyl)methyl]-3-[2-(4-morpholinyl)ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

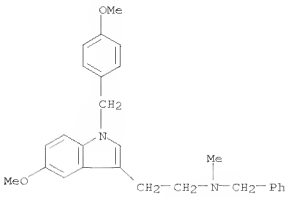


● HCl

IT 1947-66-6, Indole, 3-[2-(benzylmethylamino)ethyl]-5-methoxy-1-p-methoxybenzyl-, hydrochloride 1947-67-7, Indole, 1-benzyl-5-methoxy-3-(2-piperidinoethyl)-, hydrochloride 1947-77-9, Indole, 3-(2-dimethylaminoethyl)-5-methoxy-1-(3-phenylpropyl)-, hydrochloride 1947-79-1, Indole, 3-(2-dimethylaminoethyl)-5-methoxy-1-phenethyl-, hydrochloride 1947-80-4, Indole, 1-benzyl-3-(2-dimethylaminoethyl)-5-methoxy-, hydrochloride 2297-74-7, Indole, 3-(2-dimethylaminoethyl)-5-methoxy-1-p-methoxybenzyl-, hydrochloride 2297-76-9, Indole, 1-benzyl-3-(2-diethylaminoethyl)-5-methoxy-, hydrochloride 104978-46-3, Indole, 5-methoxy-1-p-methoxybenzyl-3-(2-morpholinoethyl)-, hydrochloride 112350-81-9, Indole, 1-benzyl-3-(2-dimethylaminoethyl)-5,6-dimethoxy-, hydrochloride
(pharmacol. activity of)

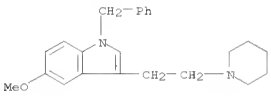
RN 1947-66-6 CAPLUS
CN 1H-Indole-3-ethanamine, 5-methoxy-1-[(4-methoxyphenyl)methyl]-N-methyl-N-(phenylmethyl)-, hydrochloride (1:1) (CA INDEX NAME)

L4 ANSWER 187 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



● HCl

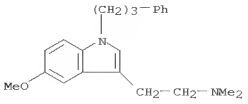
RN 1947-67-7 CAPLUS
CN 1H-Indole, 5-methoxy-1-(phenylmethyl)-3-[2-(1-piperidinyl)ethyl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

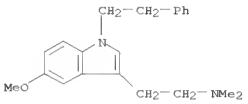
RN 1947-77-9 CAPLUS
CN 1H-Indole-3-ethanamine, 5-methoxy-N,N-dimethyl-1-(3-phenylpropyl)-, hydrochloride (1:1) (CA INDEX NAME)

L4 ANSWER 187 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



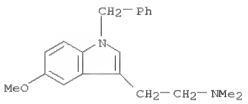
● HCl

RN 1947-79-1 CAPLUS
CN 1H-Indole-3-ethanamine, 5-methoxy-N,N-dimethyl-1-(2-phenylethyl)-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

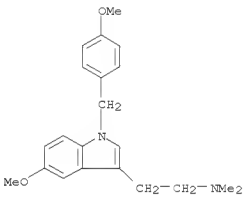
RN 1947-80-4 CAPLUS
CN 1H-Indole-3-ethanamine, 5-methoxy-N,N-dimethyl-1-(phenylmethyl)-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

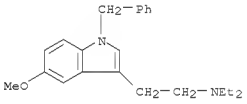
RN 2297-74-7 CAPLUS
CN 1H-Indole-3-ethanamine, 5-methoxy-1-[(4-methoxyphenyl)methyl]-N,N-dimethyl-, hydrochloride (1:1) (CA INDEX NAME)

L4 ANSWER 187 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



● HCl

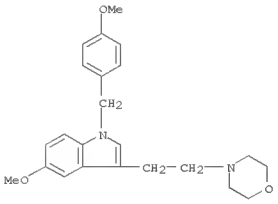
RN 2297-76-9 CAPLUS
CN 1H-Indole-3-ethanamine, N,N-diethyl-5-methoxy-1-(phenylmethyl)-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

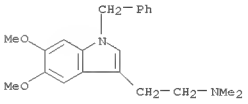
RN 104978-46-3 CAPLUS
CN 1H-Indole, 5-methoxy-1-[(4-methoxyphenyl)methyl]-3-[2-(4-morpholinyl)ethyl]-, hydrochloride (1:?) (CA INDEX NAME)

L4 ANSWER 187 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



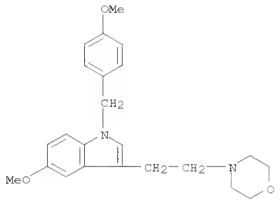
●x HCl

RN 112350-81-9 CAPLUS
CN 1H-Indole-3-ethanamine, 5,6-dimethoxy-N,N-dimethyl-1-(phenylmethyl)-, hydrochloride (1:1) (CA INDEX NAME)



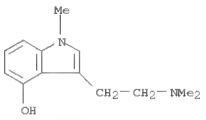
● HCl

L4 ANSWER 188 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1960:112389 CAPLUS
DOCUMENT NUMBER: 54:112389
ORIGINAL REFERENCE NO.: 54:21486h-i
TITLE: Metabolism of testosterone in normal and neoplastic human tissues
AUTHOR(S): Breuer, H.; Nocke, Lieselotte; Pechthold, Ilse
CORPORATE SOURCE: Chir. Univ.-Klin., Bonn, Germany
SOURCE: Zeitschrift fuer Vitamin-, Hormon- und Fermentforschung (1959), 10, 106-15
CODEN: ZVHFAW; ISSN: 0373-0220
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB The metabolism of testosterone was studied in normal testes and ovaries and in mammary carcinoma, benign mastopathy, prostatic carcinoma, prostatic hypertrophy, thyroid adenoma, and bronchial carcinoma. Quant. detns. were made of 4-androstene-3, 17-dione, other Δ4-3-keto steroids, and unidentified metabolites. All these tissues were able to oxidize testosterone to androstenedione. The testosterone metabolized was, in general, appreciably higher for neoplastic mammary tissue than for
the other tissues examined
IT 2639-42-1
(Derived from data in the 6th Collective Formula Index (1957-1961))
RN 2639-42-1 CAPLUS
CN 1H-Indole, 5-methoxy-1-[(4-methoxyphenyl)methyl]-3-[2-(4-morpholinyl)ethyl]-, hydrochloride (1:1) (CA INDEX NAME)



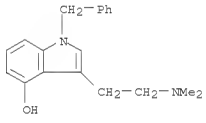
● HCl

L4 ANSWER 189 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1960:98755 CAPLUS
DOCUMENT NUMBER: 54:98755
ORIGINAL REFERENCE NO.: 54:18772d-f
TITLE: Psilocybin and related compounds. I. Structure/activity relation of hydroxyindole derivatives with regard to their effect on the knee jerk of spinal cats
AUTHOR(S): Weidmann, H.; Cerletti, A.
CORPORATE SOURCE: Sandoz Co., Ltd., Basel, Switz.
SOURCE: Helvetica Physiologica et Pharmacologica Acta (1960), 18, 174-82
CODEN: HPPAAL; ISSN: 0367-6242
DOCUMENT TYPE: Journal
LANGUAGE: English
AB cf. CA 54, 4887b. The 4-hydroxyindole derivs. psilocybin and psilocin show a characteristic stimulatory effect on the patellar reflex of spinal cats. This is in contrast to the action of the 5-hydroxyindole derivs., bufotenin and serotonin, which temporarily block the patellar reflex. A study was made of the structure/activity relation with a series of about 30 indole derivs. with substituent groups in various positions. Stimulation of the knee jerk was found to be limited to derivs. of dimethyltryptamine substituted in the 4-position.
IT 1465-16-3, Indol-4-ol, 3-(2-dimethylaminoethyl)-1-methyl-
1640-03-5, Indol-4-ol, 1-benzyl-3-(2-dimethylaminoethyl)-
18483-72-2, Indol-4-ol, 3-(2-dimethylaminoethyl)-1-methyl-, phosphate
(effect on reflexes)
RN 1465-16-3 CAPLUS
CN 1H-Indol-4-ol, 3-[2-(dimethylamino)ethyl]-1-methyl- (CA INDEX NAME)

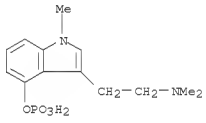


RN 1640-03-5 CAPLUS
CN 1H-Indol-4-ol, 3-[2-(dimethylamino)ethyl]-1-(phenylmethyl)- (CA INDEX NAME)

L4 ANSWER 189 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 18483-72-2 CAPLUS
CN 1H-Indol-4-ol, 3-[2-(dimethylamino)ethyl]-1-methyl-, dihydrogen phosphate (ester) (9CI) (CA INDEX NAME)



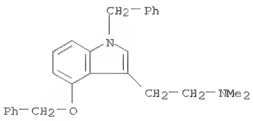
L4 ANSWER 190 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1960:97511 CAPLUS
DOCUMENT NUMBER: 54:97511
ORIGINAL REFERENCE NO.: 54:18471f-i,18472a-i,18473a-c
TITLE: Synthetic indole compounds. II. Psilocybin and psilocin modifications
AUTHOR(S): Troxler, F.; Seemann, F.; Hofmann, A.
CORPORATE SOURCE: Pharm.-Chem. Labor., Sandoz, Basel, Switz.
SOURCE: Helvetica Chimica Acta (1959), 42, 2073-2103
CODEN: HCACAV; ISSN: 0018-019X
DOCUMENT TYPE: Journal
LANGUAGE: German
OTHER SOURCE(S): CASREACT 54:97511
GI For diagram(s), see printed CA Issue.
AB cf. CA 50, 5630c. Several modifications of psilocybin (4-phosphoryloxy-ω-N,N-dimethyltryptamine) (I) and psilocin (4-hydroxy-ω-N,N-dimethyltryptamine) (II) were investigated. 6-Benzyloxyindole in absolute ether was treated dropwise with oxalyl chloride;
the resulting 6-benzyloxy-3-indoleglyoxylic acid chloride (III) reacted with NHMe2 to form N,N-dimethyl-6-benzyloxy-3-indoleglyoxylamide (IIIa), m. 202-4°, yield 77%. Similarly, N,N-dimethyl-7-benzyloxy-3-indoleglyoxylamide (IIIb) m. 209-12°, was formed from 7-benzyloxyindole, N,N-dimethyl-4-methoxy-3-indoleglyoxylamide (IIIc), m. 183-4°, from 4-methoxyindole, N,N-diethyl-4-benzyloxy-3-indoleglyoxylamide (IIId) m. 131-2°, from 4-benzyloxyindole and NHEt2, and 4-benzyloxy-3-indoleglyoxylic piperidide (IIIe), m. 191-3°, from 4-benzyloxyindole (IV) and piperidine. IIIa in dioxane with LiAlH4, refluxed 15 hrs., yielded 6-benzyloxy-ω-N,N-dimethyltryptamine (IVa), m. 87-8°. Similarly, IIIb with LiAlH4 yielded 7-benzyloxy-ω-N,N-dimethyltryptamine (IVb), m. 102-3°, IIIc with LiAlH4 gave 4-methoxy-ω-N,N-dimethyltryptamine (IVc), m. 89-92°, IIId gave 4-benzyloxy-ω-N,N-diethyltryptamine (IVd), m. 101-2°, and IIIe gave 4-benzyloxy-3-piperidinoethylindole (IVe), m. 126-8°. By H reduction on Pd, IVa yielded 6-hydroxy-ω-N,N-dimethyltryptamine, m. 165-6° IVb yielded 7-hydroxy-ω-N,N-dimethyltryptamine, m. 185-8°, IVd yielded 4-hydroxy-ω-N,N-diethyltryptamine, m. 104-6°, and IVe gave 4-hydroxy-3-piperidinoethylindole, m. 182-3°.
4-Benzyloxy-3-indoleacetic acid (V), PCl5 and MeNH2, on reduction gave 4-hydroxy-ω-N-methyltryptamine, m. 150-2°; V, PCl5, and EtNH2, on reduction gave 4-hydroxy-ω-N-ethyltryptamine, m. 218-22°. Hydrogenation of V formed 4-hydroxy-3-indoleacetic acid. The hydroxygramines were prepared from the resp. benzyloxygramines, by a H
on Pd reduction in a methanol-HCl solution 4-Hydroxygramine-HCl, m. 187-8°, 5-hydroxygramine-HCl, m. 197-8°, 6-hydroxygramine-HCl, m. 184-5°, and 7-hydroxygramine (VI), m. 178-80°, were prepared Reaction of psilocin benzyl ether (VII) with MeI in liquid NH3 and KNH2 or NaNH2, yielded 1-methylpsilocin benzyl ether
(VIIa), m. 62-7° VII with benzyl bromide under like conditions gave 1-benzylpsilocin benzyl ether (VIIb), m. 87-8°. Hydrogenation on Pd of VIIa gave 1-methyl-4-hydroxy-ω-N,N-dimethyltryptamine, m. 125-7°, and the same treatment of VIIb gave 1-benzyl-4-hydroxy-ω-N,N-dimethyltryptamine, m. 112-18°. Treatment of VII with Ac2O in molten NaOAc gave 1-acetyl-4 benzyloxy-ω-N,N-tryptamine, (amorphous), which, when debenzylated,

L4 ANSWER 190 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
yielded 1-acetyl-4-hydroxy-ω-N,N-dimethyltryptamine, m. 178-85°. To MeMgI in abs. ether, a 4-benzyloxyindole (VIII) soln. in ether was added. The mixt. was boiled, then cooled to 0°, and an ether soln. of β-chloropropionyl chloride was added. The mixt. was treated with an alc. Me2NH soln. This yielded 3-(β-dimethylaminopropionyl)-4 benzyloxyindole (IX), m. 131-2°. In an analogous manner, 3-(α-dimethylaminopropionyl)-4-benzyl-oxyindole (X), m. 140-2°, was prepd. from VIII and α-chloropropionyl chloride. 3-(3-Dimethylaminopropyl)-4-benzyloxyindole (XI), m. 84-6°, was prepd. from IX with LiAlH4, and 3-(3-dimethylaminopropyl)-4-hydroxyindole, m. 196-9°, was prepd. from XI by debenzylation. 3-(2-Dimethylaminopropyl)-4-benzyloxyindole, m. 126°, and 3-(2-dimethylaminopropyl)-4-hydroxyindole (XII), m. 138-9°, resulted from a 36-hr. reaction of X with LiAlH4. XII could also be obtained by a H on Pd redn. of X. 4-Benzyloxygramine reacted with EtNO2 in a N atm. to give 60% 3-(2-nitropropyl)-4-benzyloxyindole, m. 108-9°, which was reduced with Raney Ni W-6 and a trace of H2PtCl6, and then catalytically debenzylated to 3-(2-aminopropyl)-4-hydroxyindole, m. 125-6°. 3-(1-Isopropylaminoethyl)-4-benzyloxyindole (XIII), m. 140-2°, 39%, was prepd. from 4-benzyloxyindole, AcH, and isopropylamine. XIII reacted with NaCN to form 85% 2-(4-benzyloxy-3-indolyl)-propionitrile, m. 99-100°. The nitrile was sapond. to the resp. acid, which was esterified with CH2N2 and boiled with anhyd. NH2NH2 to yield 30.4% 2-(4-benzyloxy-3-indolyl)propionic acid hydrazide, m. 179-80°. The hydrazide was converted to the resp. dimethylpropionamide, and the product reduced with LiAlH4 in tetrahydrofuran to 3-(1-dimethylamino-2-propyl)-4-benzyloxyindole (XIV). On debenzylation XIV yielded 3-(1-dimethylamino-2-propyl)-4-hydroxyindole, m. 169-70°. N,N-Dimethyl-1-methyl-4-benzyloxy-3-indoleglyoxylamide (XV), m. 165-7°, was prepd. from 1-methyl-4-benzyloxyindole, oxalyl chloride, and NHMe2. Redn. of XV with LiAlH4, and debenzylation, gave 1-methyl-3-(2-dimethylamino-1-hydroxyethyl)-4-hydroxyindole, m. 161-5° N,N-Dimethyl-4-benzyloxy-3-indoleglyoxylamide was reduced by LiAlH4 in boiling dioxane, followed by catalytic debenzylation to 3-(2-dimethylamino 1-hydroxyethyl)-4-hydroxyindole, m. 180-1°. Hydroxyindole derivs. treated with dibenzylphosphoryl chloride and debenzylated yielded the following XVI (position of phosphoryloxy group, R1, R2, and m.p. given): 5, CH2 CH2NMe2, H, 237-42°; 6, CH2CH2NMe2 H, 233-5°; 7, CH2CH2NMe2 H, 229-31°; 4, CH2CH2NEt2, H, 260-3°; 4, 2-piperidinoethyl, H, 255-7°; 4, CH(OH)CH2NMe2, Me, 219-21°; 4, CH2CH2NMe2, Me, 255-7°. The compds. existed largely in the zwitterion form. The following XVII were prepd. by treating the Na salt of II with AcCl, BzCl, p-MeC6H4SO2Cl, ClSO3H, or MeNCO (R and m.p. given): Ac, 92-5°; Bz, 109-11°; SO2C6H4Me-p, 139-41°; SO3H, 251-2°; CONHMe, 141-5°. A suspension of 4-benzyloxy-2-indoleformic acid in benzene was treated with SOCl2, heated to boiling and cooled. NHMe2 was then added. The resulting N,N-dimethyl-4-benzyloxy-2-indoleformamide, m. 197-9, 88%, was reduced with LiAlH4 to 62.5% 2-dimethylaminomethyl-4-benzyloxyindole, m. 117-20°, which was converted to the quaternary amine with MeI and

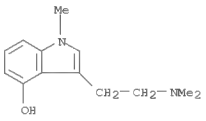
L4 ANSWER 190 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
refluxed with NaCN to form 4-benzyloxy-2-indoleacetonitrile (XVIII). XVIII was refluxed with KOH, acidified, treated with PCl5 and then treated with Me2NH. The resulting N,N-dimethyl-4-benzyloxy-2-indoleacetamide, m. 147-8°, 25%, was reduced with LiAlH4, chromatographed, and the product, 2-(2-dimethylaminoethyl)-4-benzyloxyindole, m. 90-2°, was hydrogenated on Pd to give 2-(2-dimethylaminoethyl)-4-hydroxyindole, m. 173-6°. 1-(2-Dimethylaminoethyl)-4-hydroxyindole, m. 70-1°, was formed from 4-benzyloxyindole and dimethylaminoethyl bromide in liquid NH3 in the presence of KNH2, and, on debenzylation, gave 1-(2-dimethylaminoethyl)-4-hydroxyindole, m. 108-10°. Keller and Van Urk color reactions were listed for all compds.

IT 1443-36-3P, Indole, 1-benzyl-4-(benzyloxy)-3-(2-dimethylaminoethyl)- 1465-16-3P, Indol-4-ol, 3-(2-dimethylaminoethyl)-1-methyl- 1640-03-5P, Indol-4-ol, 1-benzyl-3-(2-dimethylaminoethyl)- 1640-04-6P, Indole, 4-(benzyloxy)-3-(2-dimethylaminoethyl)-1-methyl- 18483-72-2P, Indol-4-ol, 3-(2-dimethylaminoethyl)-1-methyl-, phosphate (ester) 28289-20-5P, Indol-4-ol, 1-acetyl-3-(2-dimethylaminoethyl)- 102375-04-2P, Indole, 1-acetyl-4-(benzyloxy)-3-(2-dimethylaminoethyl)-
RL: PREP (Preparation)
(preparation of)

RN 1443-36-3 CAPLUS
CN 1H-Indole-3-ethanamine, N,N-dimethyl-4-(phenylmethoxy)-1-(phenylmethyl)- (CA INDEX NAME)

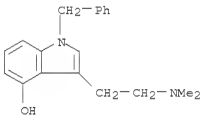


RN 1465-16-3 CAPLUS
CN 1H-Indol-4-ol, 3-[2-(dimethylamino)ethyl]-1-methyl- (CA INDEX NAME)

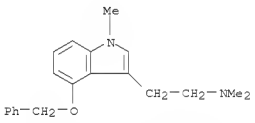


RN 1640-03-5 CAPLUS

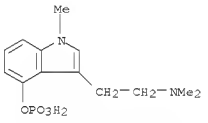
L4 ANSWER 190 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
CN 1H-Indol-4-ol, 3-[2-(dimethylamino)ethyl]-1-(phenylmethyl)- (CA INDEX NAME)



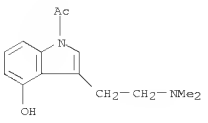
RN 1640-04-6 CAPLUS
CN 1H-Indole-3-ethanamine, N,N,1-trimethyl-4-(phenylmethoxy)- (CA INDEX NAME)



RN 18483-72-2 CAPLUS
CN 1H-Indol-4-ol, 3-[2-(dimethylamino)ethyl]-1-methyl-, dihydrogen phosphate (ester) (9CI) (CA INDEX NAME)

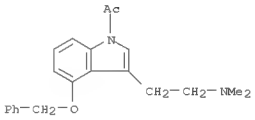


RN 28289-20-5 CAPLUS
CN Ethanone, 1-[3-[2-(dimethylamino)ethyl]-4-hydroxy-1H-indol-1-yl]- (CA INDEX NAME)



L4 ANSWER 190 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

RN 102375-04-2 CAPLUS
CN Ethanone, 1-[3-[2-(dimethylamino)ethyl]-4-(phenylmethoxy)-1H-indol-1-yl]- (CA INDEX NAME)



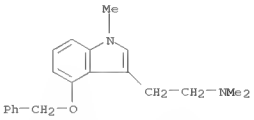
L4 ANSWER 191 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1959:62567 CAPLUS
DOCUMENT NUMBER: 53:62567
ORIGINAL REFERENCE NO.: 53:11342c-i
TITLE: Synthesis of O- and N-methylated derivatives of 5-hydroxytryptamine
AUTHOR(S): Benington, F.; Morin, R. D.; Clark, Leland C., Jr.
CORPORATE SOURCE: Battelle Memorial Inst., Columbus, O.
SOURCE: Journal of Organic Chemistry (1958), 23, 1977-9
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

AB Several new methylated derivs. of serotonin (I) and bufotenine (II) having potential physiol. interest were prepared Convenient syntheses of 1-methylbufotenine (III), 5-methoxy-N,N-dimethyltryptamine (IV), and 1 methyl-5-methoxy-N,N-dimethyltryptamine (V) from 5-benzyloxyindole (VI) are described. The wide study made on I and II in relation to mental disorders prompted the present work. VI in 3 steps gave 62% 5-benzyloxy-1-methyl-N,N-dimethyltryptamine (VII); HCl salt m. 162-3°. Methylation of the 1-position was accomplished with NaNH2 in liquid NH3 and MeI. VII.HCl (13.2 g.) treated with excess 10% NaOH gave free VII; the oil extracted with Et2O added slowly to NaNH2 (from 1 g. Na) in 150 ml. NH3 containing 0.1 g. Fe(NO3)3, stirred 10 min., 3.5 ml. MeI added dropwise, the mixture stirred 10 min., the NH3 evaporated, the solid treated with H2O and Et2O, the Et2O layer separated, and treated with alc.-HCl gave 12.7 g. 5-benzyloxy-N,N-dimethyltryptamine-HCl (VIII), m. 182-3° (alc.-Et2O). VIII in 150 ml. MeOH reduced 6 hrs. at 3 atmospheric in a Parr hydrogenation bottle with 1 g. 10% Pd-C and H, the catalyst removed, and the filtrate concentrated gave 7 g. III.HCl, m. 191-2° (MeOH-Et2O). III.HCl (5.1 g.), 5 ml. alc., 5 ml. H2O, and 4 ml. Me2SO4 treated slowly with 15 ml. 20% aqueous NaOH, heated 15 min. at 50-60°, cooled, diluted with H2O, and isolation attempted gave none of the desired V. Apparently quaternization of the side chain N had occurred to give only H2O soluble products and this method is not suitable for synthesis of V. VI (29.7 g.) in 250 ml. alc. similarly reduced 8 hrs. at room temperature and 3 atmospheric H with 3 g. 10% Pd-C, filtered, concentrated, treated with 28 ml. Me2SO4 and 1.2 g. NaHSO3 at 20-5°, heated 0.5 hr. to 70°, cooled, diluted with an equal volume of H2O, the oil extracted with Et2O-C6H6, dried, filtered, concentrated, and distilled gave 16 g. pure 5-methoxyindole (IX), b0.5 123-5°, m. 57-7.5°. IX (16 g.) in 200 ml. Et2O stirred 10 min. with 25 g. (COCl)2, the solid collected, washed, suspended in 200 ml. fresh dry Et2O, 12.5 ml. NHMe2 in 25 ml. Et2O added slowly, stirred 0.5 hr., the solid collected, washed with Et2O, slurrried with H2O, filtered, washed, and crystd. gave 20 g. 5-methoxy-3-indole-N,N-dimethylglyoxalamide (X), m. 223-3.5 ° (tetrahydrofuran-Et2O). X (18.5 g.) and 200 ml. C6H6 added slowly to 11.7 g. LiAlH4 and 250 ml. Et2O, refluxed 1.5 hrs. longer, cooled, treated with H2O, the soln. filtered, dried, and concd. gave 15 g. IV; HCl salt m. 145-6° (alc.-Et2O). IV (6 g.) in 20 ml. Et2O added portionwise to NaNH2 in liquid NH contg. a trace of Fe(NO3)3, stirred 5 min., 3 ml. MeI added, the NH3 evapd., the residue treated with H2O, extd. with EtOAc and CHCl3, dried, and the filtrate treated with dry HCl gave 3.7 g. V, m. 196-6.5° (alc.-Et2O). II (6.1 g.) (obtained by hydrogenolysis of O-benzylbufotenine-HCl with H and 10% Pd-C) was stirred several min. with NaNH2 in 150 ml. liquid NH3, 5 ml. MeI added, the NH3 evapd., the dark brown residue treated with H2O and Et2O, and the Et2O ext. treated with anhyd. HBr; attempts to purify the dark oil failed.

Finally a sample was converted to the free base and a picrate formed which was identical with the picrate obtained from V, m. 206-7° (decompn.) (Me2CO-H2O).

IT 1640-04-6 103858-18-0 109587-54-4 114187-68-7
(Derived from data in the 6th Collective Formula Index (1957-1961))

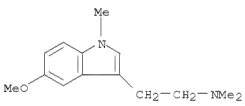
RN 1640-04-6 CAPLUS
CN 1H-Indole-3-ethanamine, N,N,1-trimethyl-4-(phenylmethoxy)- (CA INDEX NAME)



RN 103858-18-0 CAPLUS
CN 1H-Indole-3-ethanamine, 5-methoxy-N,N,1-trimethyl-, compd. with 2,4,6-trinitrophenol (1:1) (CA INDEX NAME)

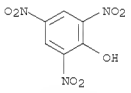
CM 1

CRN 103858-17-9
CMF C14 H20 N2 O

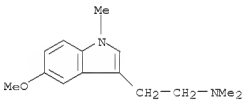


CM 2

CRN 88-89-1
CMF C6 H3 N3 O7

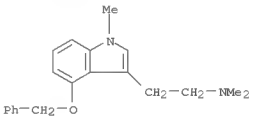


RN 109587-54-4 CAPLUS
CN 1H-Indole-3-ethanamine, 5-methoxy-N,N,1-trimethyl-, hydrochloride (1:1) (CA INDEX NAME)



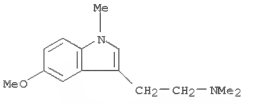
● HCl

RN 114187-68-7 CAPLUS
CN 1H-Indole-3-ethanamine, N,N,1-trimethyl-4-(phenylmethoxy)-, hydrochloride (1:1) (CA INDEX NAME)

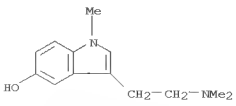


● HCl

IT 103858-17-9, Indole, 3-(2-dimethylaminoethyl)-5-methoxy-1-methyl- (and derivs.)
RN 103858-17-9 CAPLUS
CN 1H-Indole-3-ethanamine, 5-methoxy-N,N,1-trimethyl- (CA INDEX NAME)

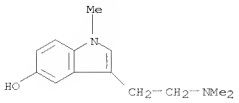


IT 74834-00-7P, Indol-5-ol, 3-(2-dimethylaminoethyl)-1-methyl- 132346-58-8P, Indol-5-ol, 3-(2-dimethylaminoethyl)-1-methyl-, hydrochloride 856782-23-5P, Indole, 5-(benzyloxy)-3-(2-dimethylaminoethyl)-1-methyl-, hydrochloride 856782-24-6P, Indole, 5-(benzyloxy)-3-(2-dimethylaminoethyl)-1-methyl-
RL: PREP (Preparation)
(preparation of)
RN 74834-00-7 CAPLUS
CN 1H-Indol-5-ol, 3-[2-(dimethylamino)ethyl]-1-methyl- (CA INDEX NAME)



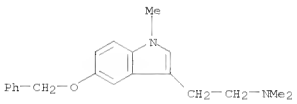
RN 132346-58-8 CAPLUS
CN 1H-Indol-5-ol, 3-[2-(dimethylamino)ethyl]-1-methyl-, hydrochloride (1:1) (CA INDEX NAME)

L4 ANSWER 191 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



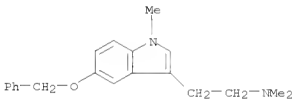
● HCl

RN 856782-23-5 CAPLUS
CN 1H-Indole-3-ethanamine, N,N,1-trimethyl-5-(phenylmethoxy)-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 856782-24-6 CAPLUS
CN 1H-Indole-3-ethanamine, N,N,1-trimethyl-5-(phenylmethoxy)- (CA INDEX NAME)



L4 ANSWER 192 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1959:62566 CAPLUS
DOCUMENT NUMBER: 53:62566
ORIGINAL REFERENCE NO.: 53:11340i,11341a-i,11342a-c
TITLE: Attempts to prepare optically active trivalent nitrogen compounds. III. Attempted resolution of 6-substituted 1,9-phenylenecarbazoles (3-substituted indolo[3,2,1-jk]carbazoles
AUTHOR(S): Buchanan, C.; Tucker, S. Horwood
SOURCE: Journal of the Chemical Society (1958) 2750-5
CODEN: JCSOA9; ISSN: 0368-1769
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

AB Improved methods for preparation of the title compds. are given. Thus, 8.35 g. carbazole (I), 27 g. 4,3-Br(O2N)C6H3Me, 8.4 g. K2CO3, and 0.15 g. Cu bronze heated 80 min. at 244°, the melt extracted with boiling C6H6, the solution steam-distilled, and the tars extracted with EtOH gave 60% 9-(4-methyl-2-nitrophenyl)carbazole (II), m. 93-4° (HOAc). II (2.2 g.) with H and Raney Ni gave 1.83 g. 9-(4-methyl-2-aminophenyl)carbazole (III), m. 116-18° (EtOH). III (2.72 g.) dissolved in a hot mixture of 10 ml. HOAc, 12 ml. concentrated H2SO4, and 50 ml. H2O, the cooled solution treated with 0.76 g. NaNO2 in 10 ml. H2O (all at once), the deep red solution diluted with 80 ml. H2O, treated with H2NSO3H and Cu bronze, heated cautiously until effervescence was vigorous and then on a H2O bath until colorless, cooled, the salmon-colored solids removed, washed, boiled in C6H6, filtered, distilled, and the residual oil chromatographed in ligroine on alumina yielded a clear eluate which gave 2.14 g. 6-methyl-1,9-phenyl-enecarbazole (IV), needles, m. 110-12°. With 2,4,7-trinitrofluorenone, IV gave a deep scarlet complex, softening at 193°, m. 200° (HOAc). 1-Nitrocarbazole (V) (0.8 g.), 6 g. p-IC6H4Me (VI), 0.8 g. anhydrous K2CO3, and 0.01 g. Cu bronze refluxed 6 hrs., the excess VI distilled, the residue extracted with boiling Me2CO, the extract steam-distilled, and the residue extracted with C6H6 and chromatographed on alumina gave 0.74 g. 1-nitro-9-(p-tolyl)carbazole (VII), canary-yellow octahedra, m. 159-60°. Hydrogenation of 3.02 g. VII in 50 ml. C6H6 with Raney Ni gave 2.38 g. 1-amino analog (VIII), pale green needles, m. 131-2° (petr. ether, MeOH, EtOH); Ac derivative, brown prisms, m. 212-13° (HOAc). Cyclization of VIII gave 41% IV. V (2.12 g.), 7.8 g. p-IC6H4CO2Me, 0.7 g. anhydrous K2CO3, and 0.04 g. Cu bronze heated in the vapor of boiling Me salicylate (223°) with continuous stirring with a Cu wire spiral, 0.7 g. K2CO3 and 0.04 g. Cu added, after 2 hrs., heating continued 4 hrs., the melt extracted with hot H2O, acidified with HCl, and filtered yielded 1.14 g. p-IC6H4CO2H. A C6H6 extract of the original melt contained 3.5 g. p-IC6H4CO2Me. The undistd. red residue filtered off, dried, dissolved in C6H6, chromatographed on alumina, and eluted with C6H6

L4 ANSWER 192 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

yielded 2.46 g. Me 1-nitro-9-phenylcarbazole-4'-carboxylate (IX), pale yellow prisms and green needle polymorphs, m. 170-1°. IX (2.08 g.) hydrogenated in 20 ml. C6H6 over Raney Ni, boiled, filtered, extd. with boiling EtOH, and the combined liquors concd. yielded 1.81 g. Me 1-amino-9-phenylcarbazole-4'-carboxylate (X), yellow needles and yellow-ochre prism polymorphs, m. 173-4° (MeOH); Ac deriv. m. 202-4° (EtOH). Hydrogenation of IX in EtOH but not in C6H6 gave Me 1-hydroxyamino-9-phenylcarbazole-4'-carboxylate (XI), m. 140-1°. X (0.316 g.) diazotized without cooling in 2 ml. concd. H2SO4 and 8 ml. H2O by rapid addn. of 1.05 g. NaNO2 in 15 ml. H2O, the soln. dild. with 15 ml. H2O, treated with H2NSO3H then with Cu bronze, boiled 30 min., extd. with hot C6H6, the ext. shaken with 10% aq. KOH, dried, chromatographed on alumina, and eluted with C6H6 yielded 0.10 g. Me 1,9-phenylenecarbazole-6-carboxylate (XII), m. 163-4° (petr. ether); 1.05 g. XII in 25 ml. 10% KOH and 30 ml. EtOH boiled 1 hr., poured into hot H2O, and acidified with excess HCl yielded the free acid (XIII), m. 342°, softening 335° (anisole). The 2,4,7-trinitrofluorenone complex of XIII softens at 280°. Et ester of XIII, m. 173-4° (1:1 C6H6-petr. ether). IV (0.43 g.) with 0.05 g. KOH, 2 ml. H2O, 10 ml. pyridine and 0.75 g. KMnO4 gave 84% XIII; a nearly theoretical yield was obtained with 50% excess reactants. XIII was decarboxylated with Cu bronze. I (11.7 g.), 39.3 g. 2,5-Br2C6H3NO2, 20 g. anhyd K2CO3, and 0.1 g. Cu bronze stirred 1 hr. at 244°, extd. with boiling acetone, and the concd. soln. poured into dil. HCl yielded 12.8 g. 9-(4-bromo-2-nitrophenyl)carbazole (XIV), orange prisms, m. 152-4° (acetone, MeOH). When Cu was omitted, a charred mass resulted. Reduction of XIV by Zn and HCl gave 9-(4-bromo-2-aminophenyl)carbazole (XV), softening at 95°, m. 100° (isolation was difficult); 2,4,7-trinitrofluorenone complex, m. 198-215°; picrate m. 99° (MeOH); Ac deriv. m. 217-19° (EtOH). The oily amine obtained from EtOH and Raney Ni reduction treated in 10 ml. HOAc, 5 ml. concd. H2SO4, and 10 ml. H2O with 2 g. NaNO2 in 3 ml. H2O, the soln. dild. with 10 ml. H2O, heated to the b.p. with H2NSO3H and Cu bronze, extd. with C6H6, the ext. dried, chromatographed on alumina, and eluted yielded 0.07 g. 6-bromo-1,9-phenylenecarbazole (XVI), m. 144-5° (EtOAc); 2,4,7-trinitrofluorenone complex m. 181-2° (HOAc); 1,3,5-C6H3(NO2)3 complex m. 156-8° (HOAc). I (1.0 g.), 0.9 g. XIV, 0.5 g. anhyd. K2CO3, and 0.2 g. Cu bronze heated 5 hrs. to 244° and extd. with boiling acetone gave 0.87 g. 2,4-dicarbazolyl-1-nitrobenzene (XVII), scarlet diamond shaped plates m. 220°; with 0.4 g. Cu, the yield was reduced to 29%. I (1.12 g.), 2.83 g. p-BrC6H4I, 1.5 g. anhyd. K2CO3, and 0.01 g. Cu bronze heated 6 hrs. at 244°, extd. with acetone, and the ext. poured into dil. HCl gave 9-(p-bromophenyl)carbazole (XVIII), m. 146-7° (C6H6-ligroine then MeCN); 2,4,7-trinitrofluorenone complex m. 168-70° (HOAc). Isolatable salts failed to form with: 1,9-phenylenecarbazole-4'-carboxylic acid and (-)-brucine, (-)-quinine, (+)-cinchonine, or (+)-PhCHMeNH2 in acetone. A salt appeared to form with (-)-quinine methohydroxide but could not be isolated. Similar results

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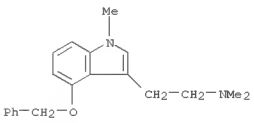
were obtained with the methohydroxides of (+)-chinonine and (+)-quinidine. Both 4'-methyl-1,9-phenylenecarbazole and methyl-1,9-phenylenecarbazole-4'-carboxylate gave mol. complexes with (-)-(2,4,5,7-tetranitro-9-fluorenylidineaminooxy)-propionic acid in HOAc but the substances recovered showed no rotation in CHCl3.

IT 1640-04-6 103858-18-0 109587-54-4
114187-68-7
(Derived from data in the 6th Collective Formula Index (1957-1961))

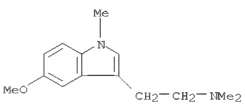
RN 1640-04-6 CAPLUS
CN 1H-Indole-3-ethanamine, N,N,1-trimethyl-4-(phenylmethoxy)- (CA INDEX NAME)



RN 103858-18-0 CAPLUS
CN 1H-Indole-3-ethanamine, 5-methoxy-N,N,1-trimethyl-, compd. with 2,4,6-trinitrophenol (1:1) (CA INDEX NAME)

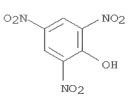
CM 1

CRN 103858-17-9
CMF C14 H20 N2 O

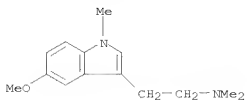


CM 2

CRN 88-89-1
CMF C6 H3 N3 O7

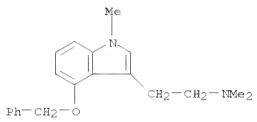


L4 ANSWER 192 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
RN 109587-54-4 CAPLUS
CN 1H-Indole-3-ethanamine, 5-methoxy-N,N,1-trimethyl-, hydrochloride (1:1) (CA INDEX NAME)



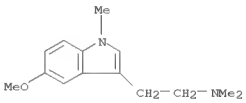
● HCl

RN 114187-68-7 CAPLUS
CN 1H-Indole-3-ethanamine, N,N,1-trimethyl-4-(phenylmethoxy)-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

L4 ANSWER 193 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1956:45883 CAPLUS
DOCUMENT NUMBER: 50:45883
ORIGINAL REFERENCE NO.: 50:8890b-d
TITLE: Methylserotonins as potent antimetabolites of serotonin active both in vitro and in vivo
AUTHOR(S): Shaw, E. N.; Woolley, D. W.
CORPORATE SOURCE: Rockefeller Inst., New York, NY
SOURCE: Journal of Pharmacology and Experimental Therapeutics (1956), 116, 164-76
CODEN: JPETAB; ISSN: 0022-3565
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB 2,5-Dimethylserotonin (I) is a water-soluble and rather active antiserotonin
which was effective not only on isolated artery rings and isolated uteri but also as an antagonist to the pressor action of serotonin in dogs. Most dogs were protected against the pressor effect of 0.5-1.0 mg. serotonin by 1 mg. of I. Other pharmacol. properties of I are reported. A series of other methylserotonins, including 1,5-dimethylserotonin (II), 2,5-dimethylbufotenine, 1,2,5-trimethylserotonin, and 1-benzyl-2,5-dimethylserotonin (III) were studied. These antagonized the pressor effect of serotonin. II showed a considerable degree of serotoninlike activity on the rat uterus, and III exerted an irreversible antagonism in this tissue. III was extremely active when fed to dogs at 1
mg./kg./day and protected them against serotonin. It was therefore the most powerful orally effective known antiserotonin.
IT 103858-17-9, Indole, 3-(2-dimethylaminoethyl)-5-methoxy-1-methyl- (as serotonin antagonist)
RN 103858-17-9 CAPLUS
CN 1H-Indole-3-ethanamine, 5-methoxy-N,N,1-trimethyl- (CA INDEX NAME)



L4 ANSWER 194 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1956:27871 CAPLUS
DOCUMENT NUMBER: 50:27871
ORIGINAL REFERENCE NO.: 50:5623b-i,5624a-h
TITLE: The synthesis of tryptamines related to serotonin
AUTHOR(S): Shaw, Elliott
CORPORATE SOURCE: Rockefeller Inst. for Med. Research, New York, NY
SOURCE: Journal of the American Chemical Society (1955), 77, 4319-24
CODEN: JACSAT; ISSN: 0002-7863
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
OTHER SOURCE(S): CASREACT 50:27871
AB Modifications in the serotonin structure have been made by the introduction of alkyl groups into the 1- and 2-positions. The Fischer rearrangement of p-MeOC6H4NHN:CMe(CH2)2CO2Me (I) gave 80 % 2-methyl-5-methoxy-3-indoleacetic acid (II). With OHC(CH2)2CO2H (III) as the carbonyl moiety, comparable yields were obtained with only an asym-N-alkyl derivative of the hydrazine. The direct amidification of 3-indoleacetic acids by heating with urea or CO(NMe2)2 provided amides for
the reduction to tryptamines by means of LiAlH4. A number of related indoles
has also been prepared p-MeOC6H4NHNH2 (IV) methylated by the method of Audrieth, et al. (C.A. 35, 4745.6), the free base extracted with Et2O, the
extract evaporated and the residue treated with alc. HCl and evaporated gave 53%
p-MeOC6H4NMeNH2.HCl (V.HCl), m. 140-2° (from EtOH and Et2O). Similarly was prepared p-MeOC6H4N(CH2Ph)NH2.HCl (VI.HCl), m. 140-2° (decomposition), in 50% yield. IV liberated from its Sn complex, dried (22
g.), dissolved in 45 cc. glacial AcOH, the solution diluted with 150 cc. H2O,
filtered, and treated with 25 cc. Ac(CH2)2CO2Me (VII), and the crystalline
product washed with H2O and dried gave 75-86% I, m. 84-6°. I (32
g.) refluxed 1 hr. with 320 cc. 2N alc. HCl, the mixture concentrated in vacuo to
a small volume, the residue partitioned between 100 cc. H2O and 250 cc. C6H6, and the organic layer washed with aqueous NaHCO2, dried, and concentrated at
about 15 mm. gave 28.2 g. Et ester of II, oil; the ester dissolved in 300 cc. EtOH, treated with 25 cc. 6N NaOH, kept 3 hrs. at room temperature, and
diluted with 150 cc. H2O, the EtOH removed in a stream of air, the aqueous solution
filtered and acidified with 6N HCl, and the crystalline precipitate filtered and dried
gave 24.7 g. II, m. 157-9°, 161-2°. V.HCl (4.4 g.) in 50 cc. H2O treated with 2.3 cc. N NaOH and 0.05 mole III (from glutamic acid), the mixture adjusted to pH 4-4.5, and the crystalline precipitate washed with H2O
and dried gave 3.7 g. 1-Me isomer (VIII) of II, m. 136-8° (from EtOH). VI.HCl (3.0 g.) in 100 cc. H2O and 3 cc. N NaOH treated with 30 cc. glacial AcOH, and the mixture allowed to stand at pH 4.5 with 0.03 mole
III yielded 2.7 g. 1-PhCH2 analog (IX) of VIII, m. 101-3°. VI.HCl (1.32 g.) in 100 cc. H2O, 30 cc. 3N NaOH, and 40 cc. glacial AcOH gave

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little reaction with 3 cc. VII; a similar run with 30 cc. 6N NaOH gave an oil which was dissolved in C6H6, washed with aq. NaHCO3, dried, evapd., and treated with alc. HCl to give 86% 2-Me deriv. (X) of IX, m. 174-5° (from EtOH). p-PhCH2OC6H4NHNH2 (7.0 g.) in 60 cc. glacial AcOH and 30 cc. H2O treated with 6 cc. VII, and the ppt. washed with aq. AcOH and dried gave 9 g. p-PhCH2O analog of I, m. 95-8°, which subjected to the Fischer rearrangement gave 70% 5-PhCH2O analog (XI) of II, oil. II (7.0 g.) and 7.0 g. urea heated 2.5 hrs. at 180-5°, the cooled melt dissolved in 150 cc. EtOAc and 30 cc. HCl, the org. layer washed with aq. NaHCO3 to remove 5-10% unreacted II, dried, concd. to about 35 cc., and allowed to stand overnight, and the cryst. deposit isolated gave 3.8 g. amide, m. 147-50°, of II. Similarly were prepd. the amides of the following acids (acid, m.p., and % yield of amide
given): VIII, 227-8° (from EtOH), 48; II, 149-50° (from EtOAc-hexane), 57; XI, 143-4° (from EtOH), 35; 1-Me deriv. of II, 164-5° (from EtOAc-hexane), 66; IX, 156-7° (from EtOH), 60; X, 130-1° (from EtOAc-hexane), 54; and 2-methyl-5-methoxy-3-indole-N,N-dimethylacetamide (XII), 134-5° (from AcOEt-hexane), 40 (similarly from 3.5 g. II and 2.5 g. tetramethylurea during 2 hrs. at 195°). The neutral fraction from crude 1-Me isomer of XII reduced with LiAlH4, and the resulting Et2O soln.
extd. with dil. HCl gave 1-methylbufotenine Me ether; the Et2O soln. evapd. and the residue sublimed gave 1,3-dimethyl-5-methoxyindole, long needles, m. 61-2°, in 25% yield. The appropriate substituted 3-indoleacetamide stirred with about 50% by wt. of LiAlH4 in dry Et2O (500
cc./g.) during 2 days, the excess LiAlH4 decompd. cautiously with 20% aq. Na K tartrate, the Et2O phase decanted from the mushy aq. residue and extd. with 0.1N HCl, the acid ext. warmed in an air stream, and poured into hot 5% alc. picric acid, and the ppt. recrystd. from EtOH or Me2CO gave the picrate of the corresponding serotonin; the dil. HCl ext. concd. and dild. with EtOH gave the HCl salts. II in EtOAc treated with (PhCH2)2NH, the resulting salt, m. 141-3° (2.75 g.), heated 3.5 hrs. at 210-20° and 15 mm. pressure, the residue dissolved in 100 cc. C6H6, the soln. filtered, extd. with 0.1N HCl and aq. NaHCO3, and evapd., the residue (1.43 g.) reduced with 1.0 g. LiAlH4 in Et2O, the Et2O
layer extd. with HCl, and the gummy salt recrystd. from hot EtOH gave 0.76
g. 2-methyl-5-methoxy-N,N-dibenzyltryptamine HCl, m. 221-3° (from EtOH). The following substituted serotonins were prepd. similarly (m.p. and % yield of picrate and HCl salt of the actually isolated salt in the hydride reduction given in parentheses): 1-methyl-5-methoxy-tryptamine (XIII), 189-90° (47), 176-7°; 1-PhCH2 analog (XIV) of XIII, 166-7° (54), -; 2-Me isomer (XV) of XIII, 216-17° (48), 179-80°; 5-PhCH2 analog of XV, 207-8° (40), -; 2-Me deriv. of XIII, 197-8°, 230-2° (44); 2-Me deriv. of XIV, -, 230-1° (60); N,N-di-Me deriv. of XIII, -, 189-90° (24); N,N-di-Me deriv. of XV, 147° (and 182°) (71), -; N,N-di-Me deriv. of XIV, -, 191-2° (25). XV.HCl (0.20 g.) refluxed 45 min. with 1.5 cc. 48% HBr, the soln. concd. in vacuo, the residue desiccated in
vacuo over alkali, the residue dissolved in 10 cc. H2O, and the soln. poured into 30 cc. 1% aq. picric acid gave 74% 2-methyl-serotonin picrate,
m. 210° (decompn.); HCl salt, m. 230-1°. XIII.HCl (70 mg.)

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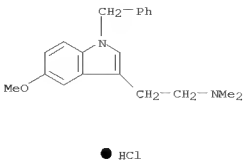
refluxed 0.5 hr. with 2 cc. 48% HBr, the mixt. evapd., the residue dissolved in 7 cc. H2O, and the soln. added to 15 cc. 1% hot aq. picric acid gave 9.1 mg. 1-methylserotonin picrate, m. 197-8°. 5-Methoxytryptophan (0.13 g.) refluxed 6 hrs. with 0.13 g. LiAlH4 in 50 cc. tetra-hydrofuran, the mixt. concd. to 1/3 its original vol., dild. with 125 cc. Et2O, and treated with 10% aq. Na K tartrate, the Et2O layer extd. with 20 cc. 0.2N HCl, and the ext. added to 5 cc. hot 4% alc. picric
acid gave 30% picrate, m. 192-4°, of 5-methoxytryptophanol. Et 3-ethyl-5-benzyloxy-2-indolecarboxylate (XVI), m. 149-50° (from EtOH), was prepd. in 50% yield by the method of Boehme (C.A. 49, 3936g), and sapond. to the free acid (XVII), m. 194-5° (decompn.) (from aq. AcOH). XVII (14.5 g.) heated 1 hr. at 210°, the melt dissolved in EtOAc, the soln. concd. to give 2.3 g. unchanged XVII, the sol. part dried, dissolved in 70 cc. C6H6, and chromatographed on activated Al2O3, the column eluted with C6H6, and the eluate evapd. yielded 7.0 g. 3-ethyl-5-benzyloxyindole (XVIII), m. 78-9° (from EtOAc and hexane). XVIII (8.8 g.) in 100 cc. abs. EtOH hydrogenated at 50 lb. initial pressure over 0.8 g. 5% Pd-C, the mixt. filtered and evapd., and the cryst. residue (5.5 g.) sublimed gave 3-ethyl-5-hydroxyindole, m. 78-9°. XVII (5.0 g.) treated with PCl5, the resulting chloride treated overnight with 100 cc. abs. EtOH half-satd. with NH3, the mixt. evapd., the residue stirred with H2O and filtered, and the filter residue recrystd. from 95% EtOH yielded 1.8 g. amide (XIX) of XVII, m. 162-3° (from C6H6); the mother liquor gave 1.3 g. unchanged XVII. XIX (1.15 g.) stirred overnight with 0.6 g. LiAlH4 in 150 cc. dry Et2O, the excess hydride decompd., the Et2O layer extd. with three 30-cc. portions 0.1N HCl, the aq. ext. evapd., and the residue (0.9 g.) recrystd.
from EtOH and Et2O gave 2-aminomethyl-3-ethyl-5-benzyloxyindole (XX) HCl salt, m. 185-7°. XX.HCl (0.60 g.) in 50 cc. EtOH hydrogenated over 0.5 g. 5% Pd-C, the mixt. filtered, the filtrate evapd., and the residue treated with picric acid gave 0.5 g. of the picrate of the 5-OH analog of XIX, which charred at elevated temp.

IT 1947-80-4P, Indole, 1-benzyl-3-(2-dimethylaminoethyl)-5-methoxy-, hydrochloride 103858-17-9P, Indole, 3-(2-dimethylaminoethyl)-5-methoxy-1-methyl- 109587-54-4P, Indole, 3-(2-dimethylaminoethyl)-5-methoxy-1-methyl-, hydrochloride
RL: PREP (Preparation)
(preparation of)

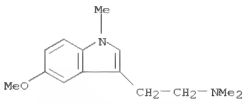
RN 1947-80-4 CAPLUS
CN 1H-Indole-3-ethanamine, 5-methoxy-N,N-dimethyl-1-(phenylmethyl)-, hydrochloride (1:1) (CA INDEX NAME)

L4 ANSWER 194 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

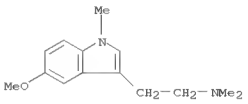


● HCl

RN 103858-17-9 CAPLUS
CN 1H-Indole-3-ethanamine, 5-methoxy-N,N,1-trimethyl- (CA INDEX NAME)



RN 109587-54-4 CAPLUS
CN 1H-Indole-3-ethanamine, 5-methoxy-N,N,1-trimethyl-, hydrochloride (1:1) (CA INDEX NAME)



● HCl